

Jan Delaval  
110348  
**SEARCH REQUEST FORM**

Access DB# \_\_\_\_\_

Scientific and Technical Information Center

Requester's Full Name: Sabika Ozi Examiner #: 74141 Date: 12/11/03  
Art Unit: 1616 Phone Number 30 5-3910 Serial Number: 09/937,276  
Mail Box and Bldg/Room Location: 2D19 Results Format Preferred (circle) PAPER DISK E-MAIL  
3807

**If more than one search is submitted, please prioritize searches in order of need.**

\*\*\*\*\*  
Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Orally Active 7-alkyl androgen  
Inventors (please provide full names): Van der Louw, Jaap et al

Earliest Priority Filing Date: No 09/59920, 4/6/1997

*\*For Sequence Searches Only\* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

Please search for the steroid of formula 1)  
in cl 1.  
7-position is occupied by subs. R2

**STAFF USE ONLY**

	Type of Search	Vendors and cost where applicable
Searcher: <u>Jan</u>	NA Sequence (#) _____	STN <u>✓</u>
Searcher Phone #: <u>7455</u>	AA Sequence (#) _____	Dialog _____
Searcher Location: _____	Structure (#) <u>✓</u>	Questel/Orbit _____
Date Searcher Picked Up: <u>12/16</u>	Bibliographic _____	Dr.Link _____
Date Completed: <u>12/16</u>	Litigation _____	Lexis/Nexis _____
Searcher Prep & Review Time: _____	Fulltext _____	Sequence Systems _____
Clerical Prep Time: <u>20</u>	Patent Family _____	WWW/Internet _____
Online Time: <u>+ 50</u>	Other _____	Other (specify) _____

=> fil reg

FILE 'REGISTRY' ENTERED AT 09:44:21 ON 16 DEC 2003  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2003 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file  
provided by InfoChem.

STRUCTURE FILE UPDATES: 15 DEC 2003 HIGHEST RN 627458-65-5  
DICTIONARY FILE UPDATES: 15 DEC 2003 HIGHEST RN 627458-65-5

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

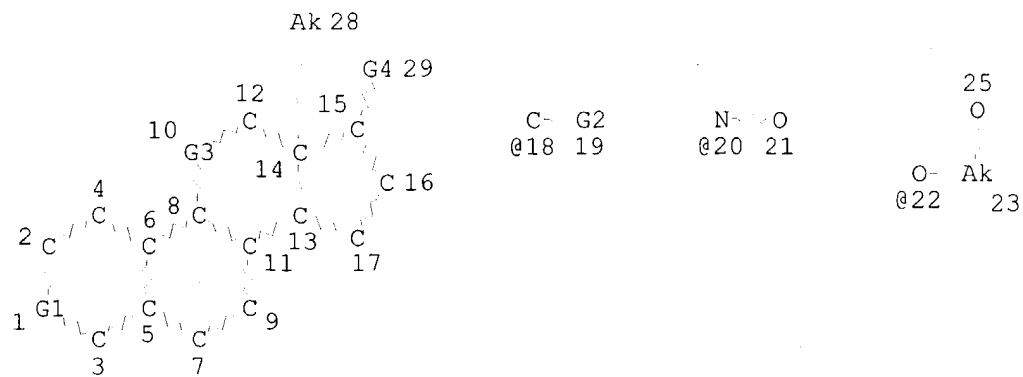
Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=> d sta que 140

L14 88 SEA FILE=REGISTRY ABB=ON PLU=ON (105-53-3/BI OR 116506-60-6/B  
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153004-23-0/BI OR 213889-77-1/BI OR 213890-36-9/BI OR 21800-83-  
9/BI OR 2590-41-2/BI OR 293303-46-5/BI OR 293303-47-6/BI OR  
300542-15-8/BI OR 300542-16-9/BI OR 300542-17-0/BI OR 300542-18  
-1/BI OR 300542-19-2/BI OR 300542-20-5/BI OR 300542-21-6/BI OR  
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-5/BI OR 300542-47-6/BI OR 300542-48-7/BI OR 300542-49-8/BI OR  
300542-50-1/BI OR 300542-51-2/BI OR 300542-52-3/BI OR 300542-53  
-4/BI OR 300542-54-5/BI OR 300542-55-6/BI OR 300542-56-7/BI OR  
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-3/BI OR 300542-61-4/BI OR 300542-62-5/BI OR 300542-63-6/BI OR  
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-0/BI OR 300542-68-1/BI OR 300542-69-2/BI OR 300542-70-5/BI OR  
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300542-78-3/BI OR 300542-79-4/BI OR 300542-80-7/BI OR 300542-81  
-8/BI OR 300542-82-9/BI OR 300542-83-0/BI OR 32297-29-3/BI OR  
3536-96-7/BI OR 5293-84-5/BI OR 540-63-6/BI OR 56896-41-4/BI  
OR 62-23-7/BI OR 74-96-4/BI)  
L15 20 SEA FILE=REGISTRY ABB=ON PLU=ON L14 NOT C5-C6-C6-C6/ES  
L16 68 SEA FILE=REGISTRY ABB=ON PLU=ON L14 NOT L15  
L18 STR

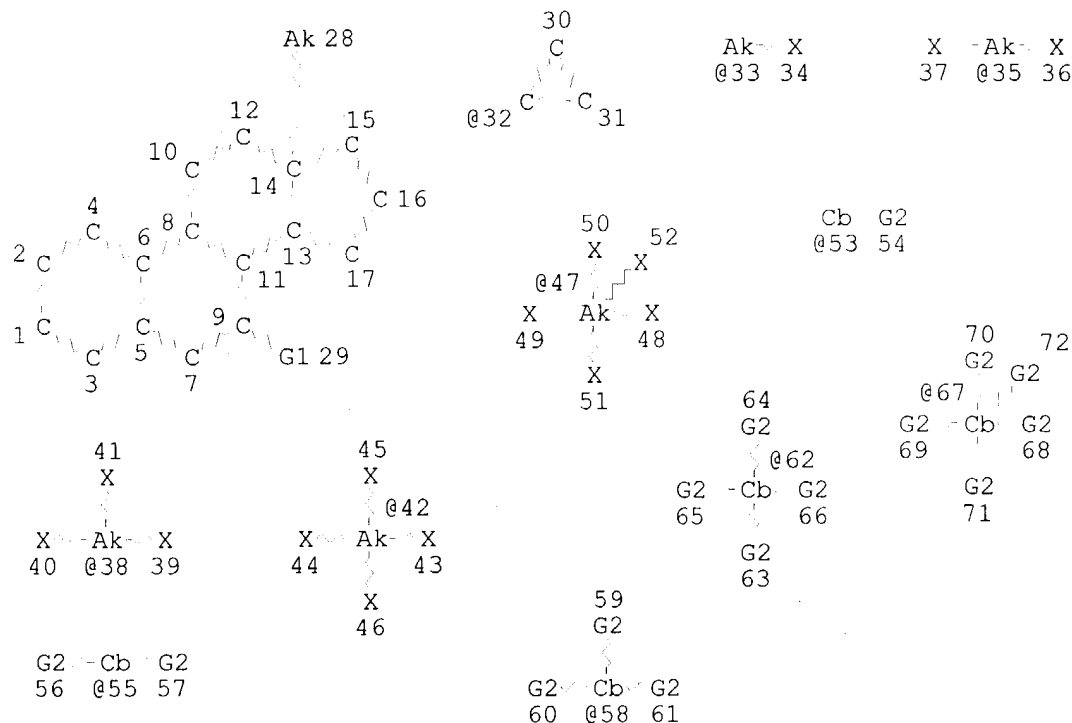


C- Ak  
@26 27

VAR G1=C/18  
VAR G2=O/20/AK/22  
VAR G3=C/26  
VAR G4=O/22  
NODE ATTRIBUTES:  
CONNECT IS M1 RC AT 9  
DEFAULT MLEVEL IS ATOM  
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RSPEC 1  
NUMBER OF NODES IS 28

STEREO ATTRIBUTES: NONE  
L20 243475 SEA FILE=REGISTRY ABB=ON PLU=ON C5-C6-C6-C6/ES  
L22 2571 SEA FILE=REGISTRY SUB=L20 CSS FUL L18  
L23 STR



VAR G1=AK/33/35/38/42/47/32/53/55/58/62/67

VAR G2=AK/X

NODE ATTRIBUTES:

CONNECT IS M1 RC AT 1

CONNECT IS M1 RC AT 10

CONNECT IS M1 RC AT 15

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

ECOUNT IS E3 C AT 53

ECOUNT IS E3 C AT 55

ECOUNT IS E3 C AT 58

ECOUNT IS E3 C AT 62

ECOUNT IS E3 C AT 67

GRAPH ATTRIBUTES:

RSPEC 1 30

NUMBER OF NODES IS 62

STEREO ATTRIBUTES: NONE

L25 253 SEA FILE=REGISTRY SUB=L22 CSS FUL L23

L26 30 SEA FILE=REGISTRY ABB=ON PLU=ON L16 AND L25

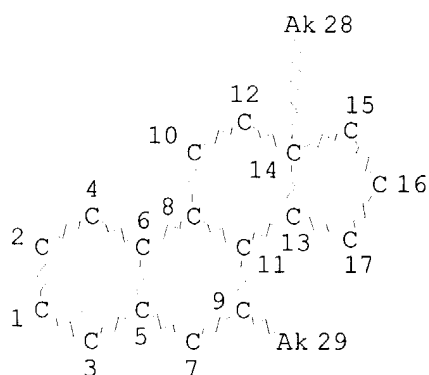
L28 6 SEA FILE=REGISTRY ABB=ON PLU=ON L26 AND C21H30O2

L29 3 SEA FILE=REGISTRY ABB=ON PLU=ON L28 NOT PROPENYL

L30 1 SEA FILE=REGISTRY ABB=ON PLU=ON L29 NOT ESTR

L31 29 SEA FILE=REGISTRY ABB=ON PLU=ON L26 NOT L30

L34 STR



## NODE ATTRIBUTES:

CONNECT IS M1 RC AT 1  
 CONNECT IS M1 RC AT 10  
 CONNECT IS M1 RC AT 15  
 DEFAULT MLEVEL IS ATOM  
 DEFAULT ECLEVEL IS LIMITED  
 ECOUNT IS M2 C AT 29

## GRAPH ATTRIBUTES:

RSPEC 1  
 NUMBER OF NODES IS 19

## STEREO ATTRIBUTES: NONE

L36 55 SEA FILE=REGISTRY SUB=L25 CSS FUL L34  
 L37 31 SEA FILE=REGISTRY ABB=ON PLU=ON L36 NOT L26  
 L38 8 SEA FILE=REGISTRY ABB=ON PLU=ON L37 AND (C22H30O2 OR  
 C23H32O3 OR C21H30O2)  
 L39 4 SEA FILE=REGISTRY ABB=ON PLU=ON L38 AND (116506-62-8/BI OR  
 119020-33-6/BI OR 119020-34-7/BI OR 95171-22-5/BI)  
 L40 33 SEA FILE=REGISTRY ABB=ON PLU=ON (L31 OR L39)

=> d his

(FILE 'HOME' ENTERED AT 08:57:06 ON 16 DEC 2003)  
 SET COST OFF

FILE 'HCAPLUS' ENTERED AT 08:57:16 ON 16 DEC 2003

L1 1 S WO2000-EP2851/AP, PRN  
 L2 1 S EP99-201070/AP, PRN  
 L3 1 S L1, L2  
 E AKZO/PA, CS  
 L4 9579 S (AKZO? OR NOBEL?)/PA, CS  
 E VAN DER LOUW J/AU  
 L5 35 S E3, E4  
 E VANDER LOUW J/AU  
 L6 1 S E4  
 E VAN DERLOUW J/AU  
 E VAN DER LOUW J/AU  
 E VANDERLOUW J/AU  
 E LEYSEN D/AU  
 L7 53 S E3-E9  
 E BUMA BURSI R/AU  
 L8 2 S E4  
 E BUMABURSI R/AU  
 E BURSI R/AU  
 L9 18 S E3, E4

E BURSI /AU  
L10 114 S STEROID?/SC,SX AND L4-L9  
L11 46 S (ANDROGEN? OR PROGEST?)/CW AND L4-L9  
L12 53 S STEROID?/CW AND L4-L9  
L13 151 S L10-L12  
SEL RN L3

FILE 'REGISTRY' ENTERED AT 09:01:04 ON 16 DEC 2003

L14 88 S E1-E88  
L15 20 S L14 NOT C5-C6-C6-C6/ES  
L16 68 S L14 NOT L15  
L17 47 S L16 NOT SI/ELS  
L18 STR  
L19 4 S L18 CSS  
E C5-C6-C6-C6/ES  
L20 243475 S E3  
L21 11 S L18 CSS SAM SUB=L20  
L22 2571 S L18 CSS FUL SUB=L20  
SAV L22 QAZI937/A  
L23 STR L18  
L24 15 S L23 CSS SAM SUB=L22  
L25 253 S L23 CSS FUL SUB=L22  
SAV L25 QAZI937A/A  
L26 30 S L16 AND L25  
L27 38 S L16 NOT L26  
L28 6 S L26 AND C21H3002  
L29 3 S L28 NOT PROPENYL  
L30 1 S L29 NOT ESTR  
L31 29 S L26 NOT L30  
L32 223 S L25 NOT L26  
L33 0 S L32 AND C3/ES  
L34 STR L18  
L35 3 S L34 CSS SAM SUB=L25  
L36 55 S L34 CSS FUL SUB=L25  
SAV L36 QAZI937B/A  
L37 31 S L36 NOT L26  
L38 8 S L37 AND (C22H3002 OR C23H3203 OR C21H3002)  
SEL RN 5-8  
L39 4 S L38 AND E1-E4  
L40 33 S L31,L39  
L41 192 S L32 NOT L36-L40  
L42 67 S L41 NOT 7 METHYL

FILE 'HCAOLD' ENTERED AT 09:36:32 ON 16 DEC 2003

L43 0 S L30  
L44 1 S L40  
SEL AN  
EDIT /AN /OREF

FILE 'HCAPLUS' ENTERED AT 09:38:06 ON 16 DEC 2003

L45 2 S E5  
L46 1 S L45 NOT BURSTEIN ?/AU  
L47 2 S L30  
L48 8 S L40  
L49 9 S L46-L48

FILE 'USPATFULL, USPAT2' ENTERED AT 09:39:14 ON 16 DEC 2003

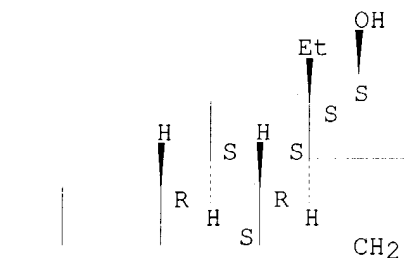
L50 4 S L30  
L51 6 S L40  
L52 6 S L50,L51

FILE 'REGISTRY' ENTERED AT 09:44:21 ON 16 DEC 2003

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L30 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 300542-25-0 REGISTRY  
 CN Gon-4-en-3-one, 7-ethenyl-13-ethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
 (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C21 H30 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

2 REFERENCES IN FILE CA (1907 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

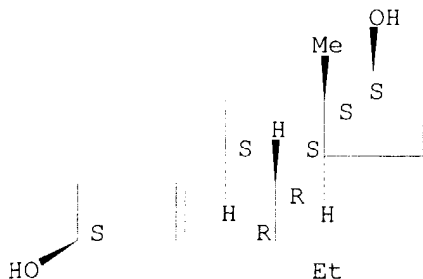
REFERENCE 1: 134:101064

REFERENCE 2: 133:281951

=&gt; d ide can tot 140

L40 ANSWER 1 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 300542-83-0 REGISTRY  
 CN Estr-5(10)-ene-3,17-diol, 7-ethyl-, (3 $\beta$ ,7 $\alpha$ ,17 $\beta$ )- (9CI)  
 (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C20 H32 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



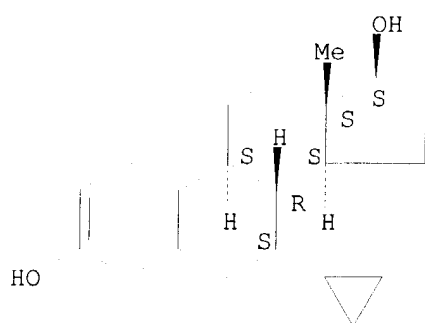
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 2 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 300542-68-1 REGISTRY  
CN Estra-1,3,5(10)-triene-3,17-diol, 7-cyclopropyl-, (7 $\alpha$ ,17 $\beta$ )-  
(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C21 H28 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



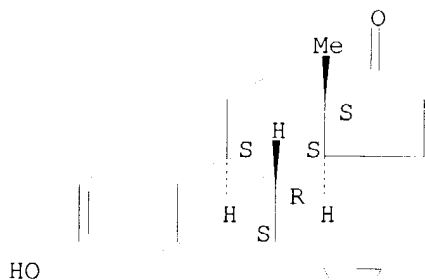
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 3 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 300542-67-0 REGISTRY  
CN Estra-1,3,5(10)-trien-17-one, 7-cyclopropyl-3-hydroxy-, (7 $\alpha$ )- (9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C21 H26 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.





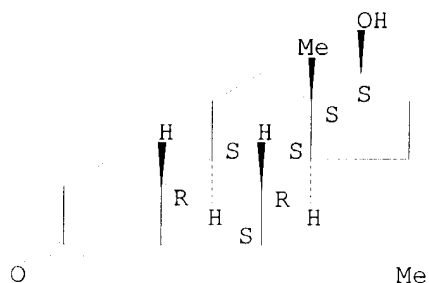
## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 4 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 300542-50-1 REGISTRY  
 CN Estr-4-en-3-one, 17-hydroxy-7-(1-propenyl)-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
 (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C21 H30 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.  
 Double bond geometry unknown.



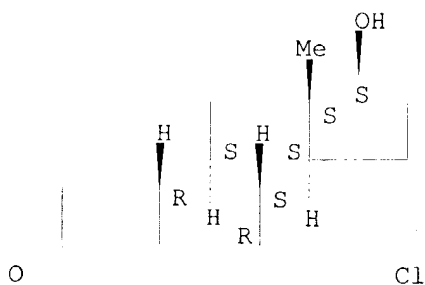
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REFERENCE 1: 133:281951

L40 ANSWER 5 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 300542-47-6 REGISTRY  
 CN Estr-4-en-3-one, 7-(2-chloroethenyl)-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )-  
 (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C20 H27 Cl O2  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.  
 Double bond geometry unknown.



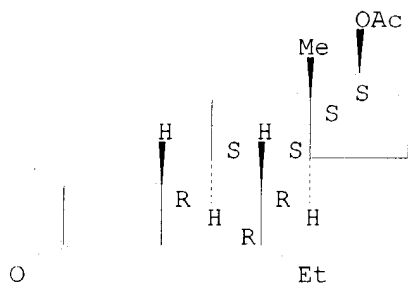
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 6 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **300542-36-3** REGISTRY  
CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
INDEX NAME)  
FS STEREOSEARCH  
MF C22 H32 O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



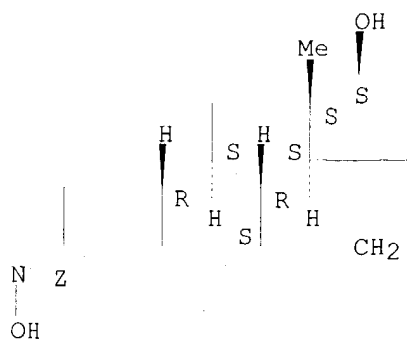
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 7 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **300542-34-1** REGISTRY  
CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, oxime, (3Z,7 $\alpha$ ,17 $\beta$ )-  
(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C20 H29 N O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.  
Double bond geometry as shown.



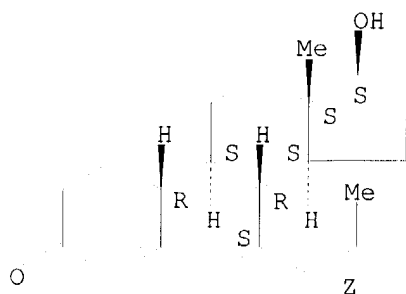
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 8 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 300542-33-0 REGISTRY  
CN Estr-4-en-3-one, 17-hydroxy-7-(1Z)-1-propenyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C21 H30 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.  
Double bond geometry as shown.



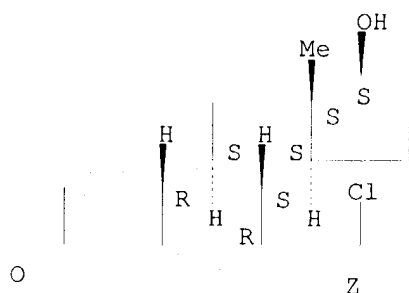
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 9 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 300542-32-9 REGISTRY  
CN Estr-4-en-3-one, 7-[(1Z)-2-chloroethenyl]-17-hydroxy-,  
(7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C20 H27 Cl O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.  
Double bond geometry as shown.



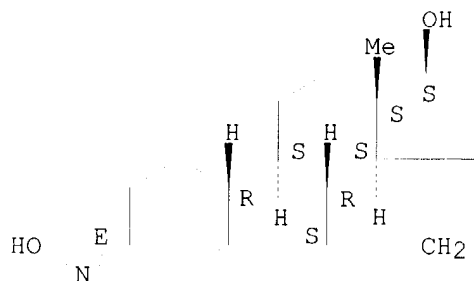
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 10 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **300542-31-8** REGISTRY  
CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, oxime, (3E,7α,17β)-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C20 H29 N O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry. Rotation (-).  
Double bond geometry as shown.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

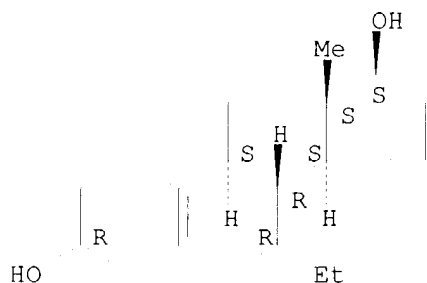
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 11 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **300542-30-7** REGISTRY  
CN Estr-5(10)-ene-3,17-diol, 7-ethyl-, (3α,7α,17β)-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C20 H32 O2  
SR CA

LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



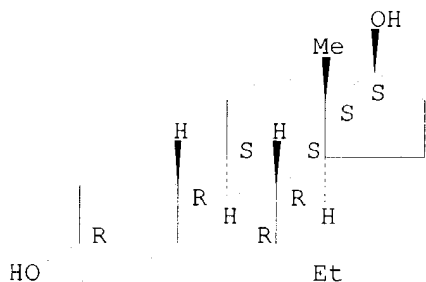
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 12 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **300542-29-4** REGISTRY  
CN Estr-4-ene-3,17-diol, 7-ethyl-, (3 $\alpha$ ,7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
INDEX NAME)  
FS STEREOSEARCH  
MF C20 H32 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



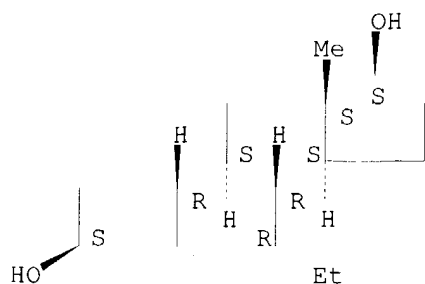
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 13 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **300542-28-3** REGISTRY  
CN Estr-4-ene-3,17-diol, 7-ethyl-, (3 $\beta$ ,7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
INDEX NAME)  
FS STEREOSEARCH  
MF C20 H32 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



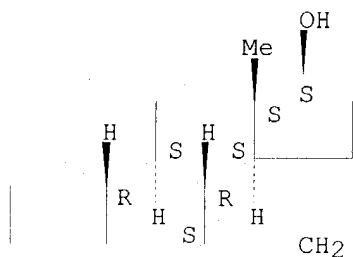
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 14 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 300542-27-2 REGISTRY  
CN Estr-4-en-17-ol, 7-ethenyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C20 H30 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



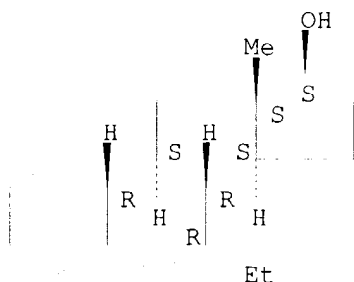
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 15 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 300542-26-1 REGISTRY  
CN Estr-4-en-17-ol, 7-ethyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C20 H32 O  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



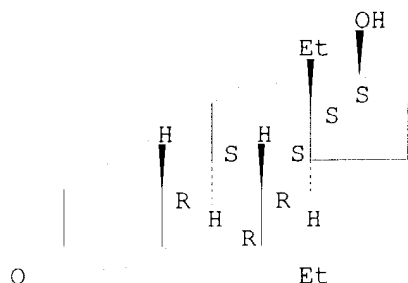
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 16 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 300542-24-9 REGISTRY  
CN Gon-4-en-3-one, 7,13-diethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C21 H32 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

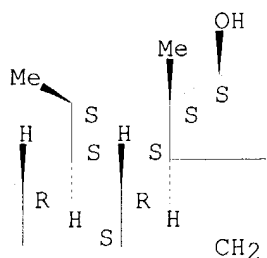
2 REFERENCES IN FILE CA (1907 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:101064

REFERENCE 2: 133:281951

L40 ANSWER 17 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 300542-23-8 REGISTRY  
CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-11-methyl-, (7 $\alpha$ ,11 $\beta$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C21 H30 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



O

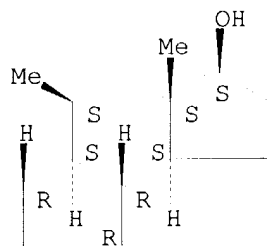
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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 18 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 300542-22-7 REGISTRY  
 CN Estr-4-en-3-one, 7-ethyl-17-hydroxy-11-methyl-,  
 (7 $\alpha$ ,11 $\beta$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C21 H32 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



O

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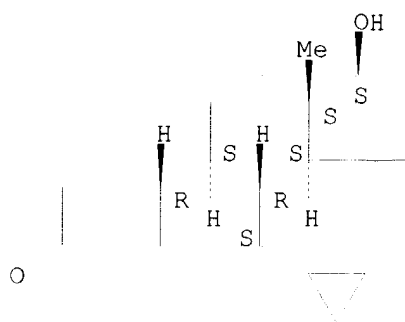
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 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 19 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 300542-21-6 REGISTRY  
 CN Estr-4-en-3-one, 7-cyclopropyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
 (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C21 H30 O2  
 SR CA  
 LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.





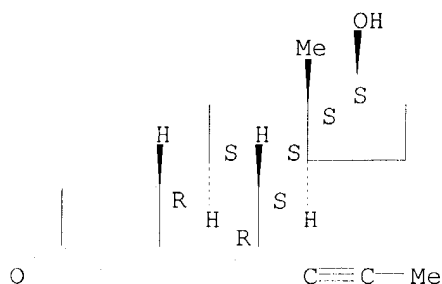
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1 REFERENCES IN FILE CA (1907 TO DATE)  
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REFERENCE 1: 133:281951

L40 ANSWER 20 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **300542-20-5** REGISTRY  
CN Estr-4-en-3-one, 17-hydroxy-7-(1-propynyl)-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C21 H28 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry. Rotation (+).



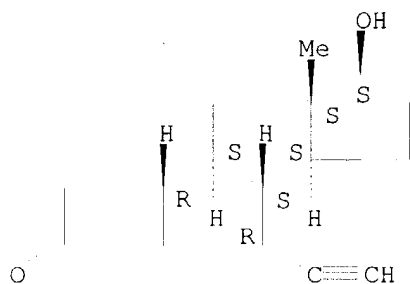
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 21 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **300542-19-2** REGISTRY  
CN Estr-4-en-3-one, 7-ethynyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
INDEX NAME)  
FS STEREOSEARCH  
MF C20 H26 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry. Rotation (+).



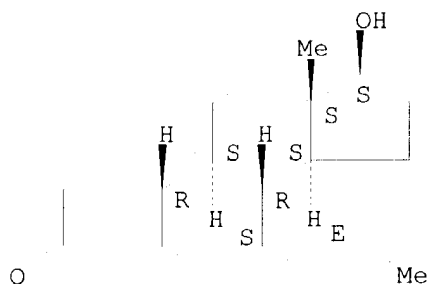
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 22 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 300542-18-1 REGISTRY  
CN Estr-4-en-3-one, 17-hydroxy-7-(1E)-1-propenyl-, (7α,17β)-(9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C21 H30 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry. Rotation (-).  
Double bond geometry as shown.



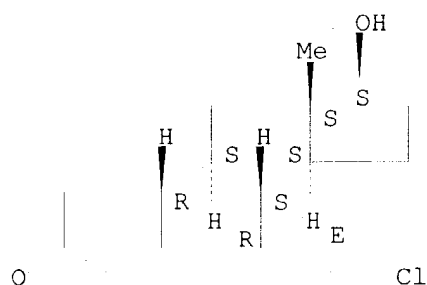
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 23 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 300542-17-0 REGISTRY  
CN Estr-4-en-3-one, 7-[(1E)-2-chloroethenyl]-17-hydroxy-,  
(7α,17β)-(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C20 H27 Cl O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.  
Double bond geometry as shown.



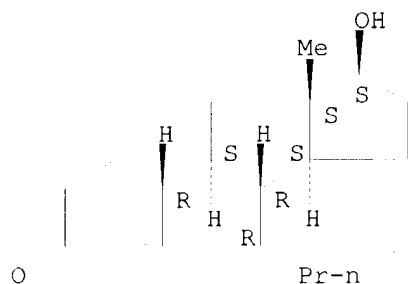
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1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 24 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **300542-16-9** REGISTRY  
CN Estr-4-en-3-one, 17-hydroxy-7-propyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
INDEX NAME)  
FS STEREOSEARCH  
MF C21 H32 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry. Rotation (+).



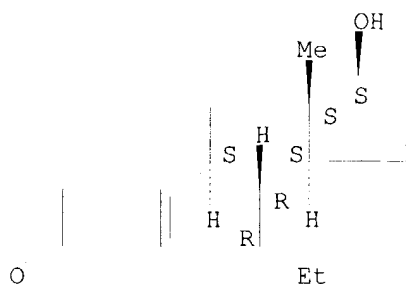
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 25 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **300542-15-8** REGISTRY  
CN Estr-5(10)-en-3-one, 7-ethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
INDEX NAME)  
FS STEREOSEARCH  
MF C20 H30 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER

Absolute stereochemistry.



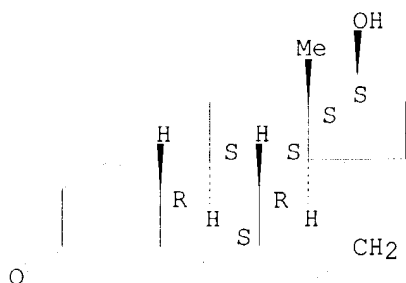
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1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

L40 ANSWER 26 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **293303-47-6** REGISTRY  
CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C20 H28 O2  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

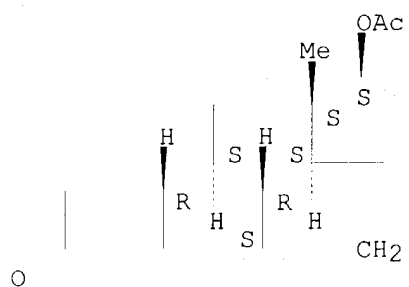
REFERENCE 1: 134:101064

REFERENCE 2: 133:281951

REFERENCE 3: 133:238171

L40 ANSWER 27 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN **293303-46-5** REGISTRY  
CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethenyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C22 H30 O3  
SR CA  
LC STN Files: CA, CAPLUS, TOXCENTER, USPAT2, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:101064

REFERENCE 2: 133:281951

REFERENCE 3: 133:238171

L40 ANSWER 28 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN

RN **213889-77-1** REGISTRY

CN Estr-4-en-3-one, 17-(acetyloxy)-7-propyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
INDEX NAME)

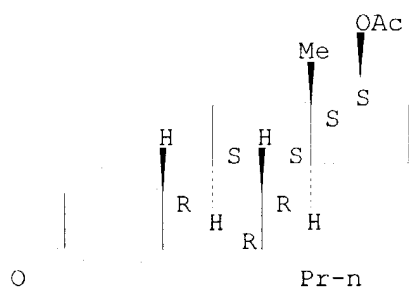
FS STEREOSEARCH

MF C23 H34 O3

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

3 REFERENCES IN FILE CA (1907 TO DATE)  
3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 133:281951

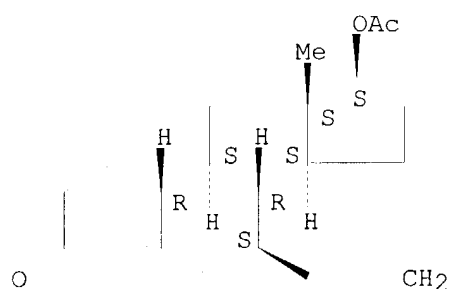
REFERENCE 2: 129:316429

REFERENCE 3: 129:276095

L40 ANSWER 29 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN

RN 119020-34-7 REGISTRY  
CN Estr-4-en-3-one, 17-(acetyloxy)-7-(2-propenyl)-, (7 $\beta$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)  
FS STEREOSEARCH  
MF C23 H32 O3  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



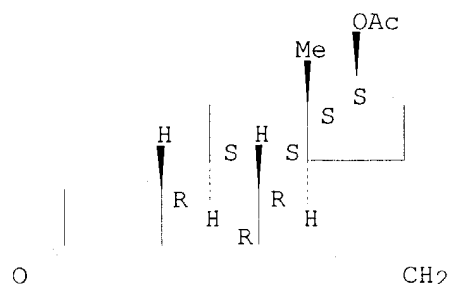
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 110:95601

L40 ANSWER 30 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 119020-33-6 REGISTRY  
CN Estr-4-en-3-one, 17-(acetyloxy)-7-(2-propenyl)-, (7 $\alpha$ ,17 $\beta$ )-  
(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C23 H32 O3  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

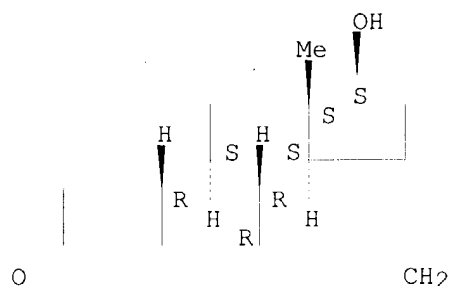
1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 110:95601

L40 ANSWER 31 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 116506-62-8 REGISTRY

CN Estr-4-en-3-one, 17-hydroxy-7-(2-propenyl)-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
 (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C21 H30 O2  
 SR CA  
 LC STN Files: BEILSTEIN\*, CA, CAPLUS, CASREACT  
 (\*File contains numerically searchable property data)

Absolute stereochemistry.



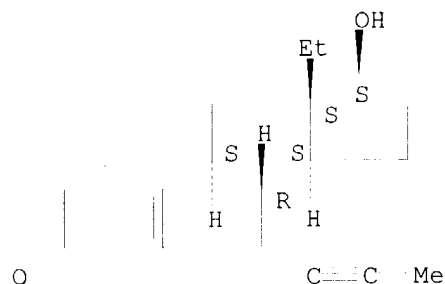
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1 REFERENCES IN FILE CA (1907 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 109:129426

L40 ANSWER 32 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 95171-22-5 REGISTRY  
 CN Gon-5(10)-en-3-one, 13-ethyl-17 $\beta$ -hydroxy-7-(1-propynyl)- (7CI) (CA  
 INDEX NAME)  
 FS STEREOSEARCH  
 MF C22 H30 O2  
 LC STN Files: CAOLD

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CAOLD (PRIOR TO 1967)

L40 ANSWER 33 OF 33 REGISTRY COPYRIGHT 2003 ACS on STN  
 RN 32297-29-3 REGISTRY  
 CN Estr-4-en-3-one, 7-ethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
 INDEX NAME)  
 OTHER CA INDEX NAMES:  
 CN Estr-4-en-3-one, 7 $\alpha$ -ethyl-17 $\beta$ -hydroxy- (8CI)

FILE COVERS 1907 - 16 Dec 2003 VOL 139 ISS 25  
 FILE LAST UPDATED: 15 Dec 2003 (20031215/ED)

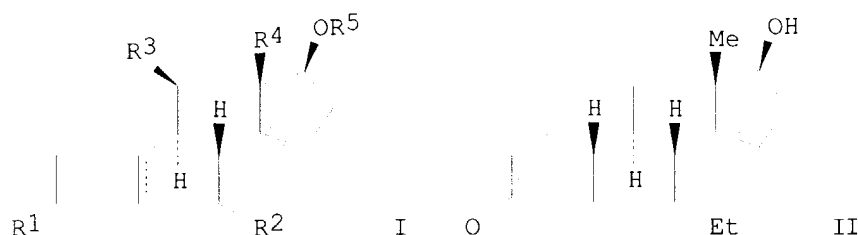
This file contains CAS Registry Numbers for easy and accurate  
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L49 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN  
 AN 2001:64010 HCAPLUS  
 DN 134:101064  
 ED Entered STN: 26 Jan 2001  
 TI Preparation of orally active androgens  
 IN Loozen, Hubert Jan Jozef; Leyssen, Dirk; Van der Louw, Jaap  
 PA Akzo Nobel N.V., Neth.  
 SO PCT Int. Appl., 31 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07J001-00  
 ICS A61K031-565; A61P005-26  
 CC 32-3 (Steroids)  
 Section cross-reference(s): 1  
 FAN.CNT 1

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PI	WO 2001005806	A1	20010125	WO 2000-EP6544	20000710
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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	EP 1203011	A1	20020508	EP 2000-953032	20000710
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	JP 2003505394	T2	20030212	JP 2001-511464	20000710
	NZ 516525	A	20030630	NZ 2000-516525	20000710
	US 6313108	B1	20011106	US 2000-613350	20000711
	US 2002022609	A1	20020221	US 2001-918626	20010731
	US 6541465	B2	20030401		
	NO 2002000222	A	20020125	NO 2002-222	20020115
	US 2003087886	A1	20030508	US 2002-280038	20021024
PRAI	EP 1999-202348	A	19990716		
	WO 2000-EP6544	W	20000710		
	US 2000-613350	A3	20000711		
	US 2001-918626	A3	20010731		
OS	MARPAT 134:101064				
GI					





AB Novel  $7\alpha$ -substituted  $\Delta^{14}$  orally active androgens of formula I  
 [R1 = O, H2, (substituted) OH, N-alkoxy; R2 = alkyl, alkenyl, cyclopropyl, etc.; R3 = H, alkyl, ethenyl; R4 = alkyl; R5 = H, acyl] are prepared Thus, II was prepared from  $17\alpha$ -hydroxy-19-norpregna-4,6-dien-20-yn-3-one in several steps. Compound II was shown to be orally active in the LH suppression assay, and has metabolic stability.

ST androgen prepn orally active; male oral contraceptive androgen prepn  
 IT Contraceptives

(oral, male; preparation of orally active androgens)

IT Androgens

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of orally active androgens)

IT Androgens

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (replacement therapy; preparation of orally active androgens)

IT 319003-75-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of orally active androgens)

IT 319003-76-4P 319003-77-5P 319003-78-6P 319003-79-7P 319003-80-0P  
 319003-81-1P 319003-82-2P 319003-83-3P 319003-84-4P 319003-85-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of orally active androgens)

IT 2590-41-2 21800-83-9 31528-46-8 89031-84-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of orally active androgens)

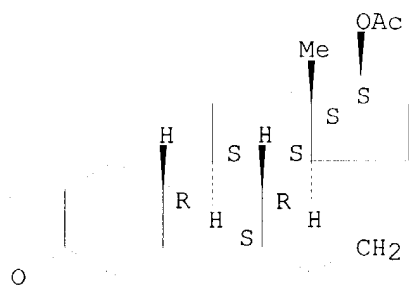
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 319004-47-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

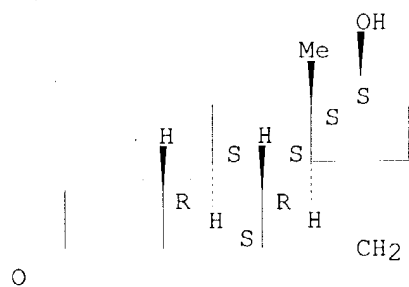
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 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of orally active androgens)  
 RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 RE  
 (1) Avery, M; STEROIDS: STRUCTURE, FUNCTION, AND REGULATION 1990, V55(2), P59  
 HCAPLUS  
 (2) Cochsnor Med Found Alton; GB 1341601 A 1973 HCAPLUS  
 (3) Solo; STEROIDS 1982, V40(6), P603  
 IT 293303-46-5P 293303-47-6P 300542-24-9P  
 300542-25-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of orally active androgens)  
 RN 293303-46-5 HCAPLUS  
 CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethenyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



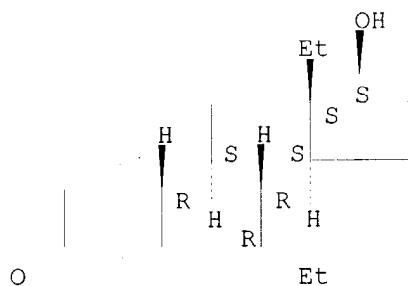
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 CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



RN 300542-24-9 HCAPLUS  
 CN Gon-4-en-3-one, 7,13-diethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
 INDEX NAME)

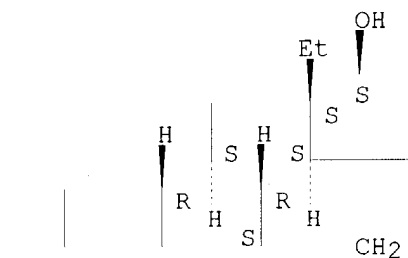
Absolute stereochemistry.



RN 300542-25-0 HCAPLUS

CN Gon-4-en-3-one, 7-ethenyl-13-ethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

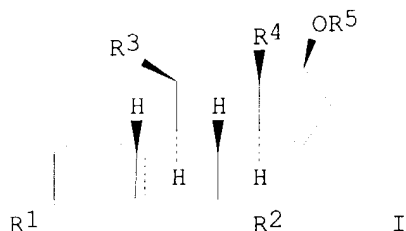


L49 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN  
 AN 2000:733601 HCAPLUS  
 DN 133:281951  
 ED Entered STN: 17 Oct 2000  
 TI synthesis and activity of orally active androgens  
 IN Van der Louw, Jaap; Leysen, Dirk; Buma Bursi, Roberta  
 PA Akzo Nobel N. V., Neth.  
 SO PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07J001-00  
 CC 32-3 (Steroids)  
 Section cross-reference(s): 2

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000059920	A2	20001012	WO 2000-EP2851	20000331
	WO 2000059920	A3	20010215		
	W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1043330	A1	20001011	EP 1999-201070	19990406
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EP 1212345	A2	20020612	EP 2000-936686	20000331
	EP 1212345	B1	20030806		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO, MK, CY, AL  
 JP 2002541153 T2 20021203 JP 2000-609430 20000331  
 AT 246703 E 20030815 AT 2000-936686 20000331  
 PRAI EP 1999-201070 A 19990406  
 WO 2000-EP2851 W 20000331  
 OS MARPAT 133:281951  
 GI



- AB Novel, orally active androgens (I) [R1 = O, (H, H), (H, OR), NOR, with R = H, alkyl, or acyl; R2 = alkyl, CHMe2, alkenyl, isopropenyl, propadienyl, or alkynyl, each optionally substituted by halogen; or R2 = cyclopropyl, or cyclopropenyl, each optionally substituted by alkyl, or halogen; R3 = H, alkyl, or ethenyl; R4 = alkyl; R5 = H, or acyl; and the dotted lines indicate optional bonds] are derivs. of 7 $\alpha$ -methyl-19-nortestosterone. Thus, I (R1 = O, R2 = Et, R3 = H, R4 = Me, R5 = H, bond 4 5 double, bond 5 10 single) (II) is prepared by copper catalyzed alkylation of (17 $\beta$ )-17-[[[1,1-dimethylethyl]dimethylsilyl]oxy]estra-4,6-dien-3-one followed by trimethylsilylation of keto and desilylation with hydrochloric acid. II shows an ED50 of 2.5 mg/kg in assay to suppress serum LH.
- ST nortestosterone methyl analog prepn; orally active androgen insufficiency treatment; male contraceptive kit progestogen oral
- IT Progestogens  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (for male contraceptive kit; synthesis and activity of orally active androgens)
- IT Androgens  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (insufficiency treatment; synthesis and activity of orally active androgens)
- IT Contraceptives  
 (male, kit of progestagen; synthesis and activity of orally active androgens)
- IT 32297-29-3P 293303-47-6P 300542-15-8P  
 300542-16-9P 300542-17-0P 300542-18-1P  
 300542-19-2P 300542-20-5P 300542-21-6P  
 300542-22-7P 300542-23-8P 300542-24-9P  
 300542-25-0P 300542-26-1P 300542-27-2P  
 300542-28-3P 300542-29-4P 300542-30-7P  
 300542-31-8P 300542-32-9P 300542-33-0P  
 300542-34-1P  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or

effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis and activity of orally active androgens)

IT 300542-83-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis and activity of orally active androgens)

IT 62-23-7, 4-Nitrobenzoic acid 74-96-4, Bromoethane 105-53-3, Diethyl malonate 540-63-6, 1,2-Ethanedithiol 1530-32-1, Ethyltriphenylphosphonium bromide 2590-41-2 3536-96-7, Vinylmagnesium chloride 5293-84-5, (Chloromethyl)triphenylphosphonium chloride 13154-15-9 21800-83-9 56896-41-4 116506-60-6 133152-37-1 213890-36-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis and activity of orally active androgens)

IT 153004-23-0P 213889-77-1P 293303-46-5P 300542-35-2P  
300542-36-3P 300542-37-4P 300542-38-5P 300542-39-6P  
300542-40-9P 300542-41-0P 300542-42-1P 300542-43-2P 300542-44-3P  
300542-45-4P 300542-46-5P 300542-47-6P 300542-48-7P  
300542-49-8P 300542-50-1P 300542-51-2P 300542-52-3P  
300542-53-4P 300542-54-5P 300542-55-6P 300542-56-7P 300542-57-8P  
300542-58-9P 300542-59-0P 300542-60-3P 300542-61-4P 300542-62-5P  
300542-63-6P 300542-64-7P 300542-65-8P 300542-66-9P  
300542-67-0P 300542-68-1P 300542-69-2P 300542-70-5P  
300542-71-6P 300542-72-7P 300542-73-8P 300542-74-9P 300542-75-0P  
300542-76-1P 300542-77-2P 300542-78-3P 300542-79-4P 300542-80-7P  
300542-81-8P 300542-82-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and activity of orally active androgens)

IT 32297-29-3P 293303-47-6P 300542-15-8P  
300542-16-9P 300542-17-0P 300542-18-1P  
300542-19-2P 300542-20-5P 300542-21-6P  
300542-22-7P 300542-23-8P 300542-24-9P  
300542-25-0P 300542-26-1P 300542-27-2P  
300542-28-3P 300542-29-4P 300542-30-7P  
300542-31-8P 300542-32-9P 300542-33-0P  
300542-34-1P

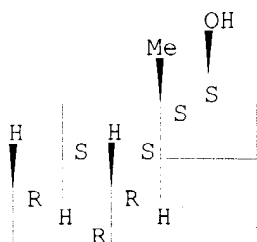
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis and activity of orally active androgens)

RN 32297-29-3 HCAPLUS

CN Estr-4-en-3-one, 7-ethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

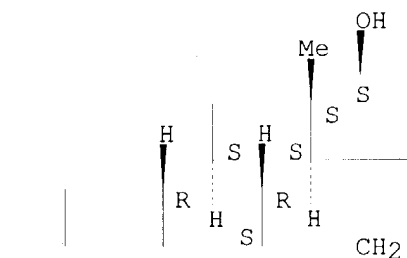


O

Et

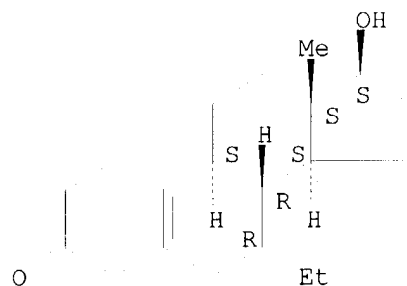
RN 293303-47-6 HCAPLUS  
 CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



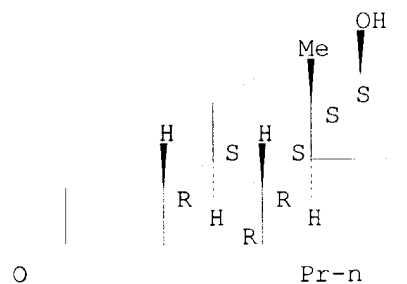
RN 300542-15-8 HCAPLUS  
 CN Estr-5(10)-en-3-one, 7-ethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



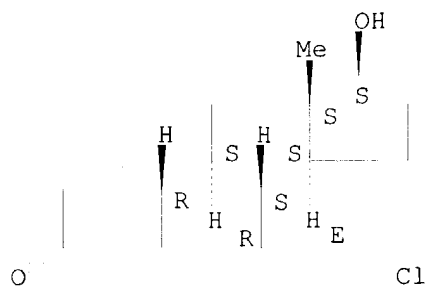
RN 300542-16-9 HCAPLUS  
 CN Estr-4-en-3-one, 17-hydroxy-7-propyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry. Rotation (+).



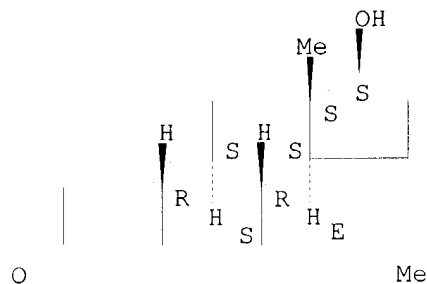
RN 300542-17-0 HCAPLUS  
 CN Estr-4-en-3-one, 7-[(1E)-2-chloroethenyl]-17-hydroxy-,  
 (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry as shown.



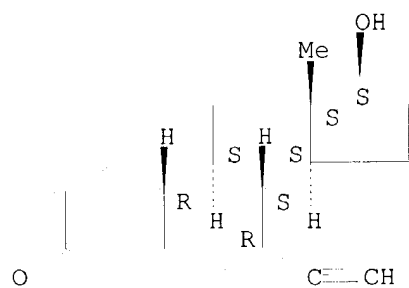
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 CN Estr-4-en-3-one, 17-hydroxy-7-(1E)-1-propenyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).  
 Double bond geometry as shown.



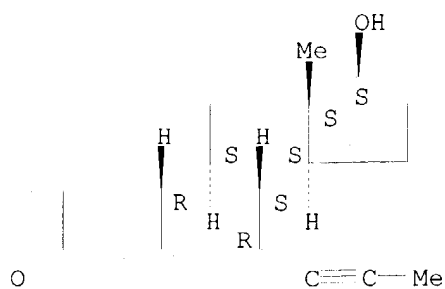
RN 300542-19-2 HCAPLUS  
 CN Estr-4-en-3-one, 7-ethynyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 300542-20-5 HCAPLUS  
 CN Estr-4-en-3-one, 17-hydroxy-7-(1-propynyl)-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
 (CA INDEX NAME)

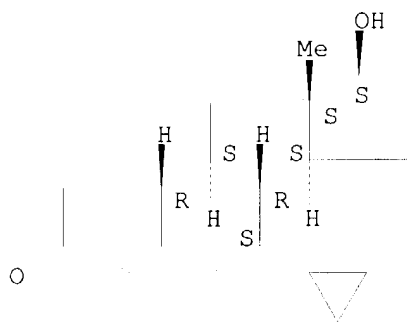
Absolute stereochemistry. Rotation (+).



RN 300542-21-6 HCAPLUS

CN Estr-4-en-3-one, 7-cyclopropyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)

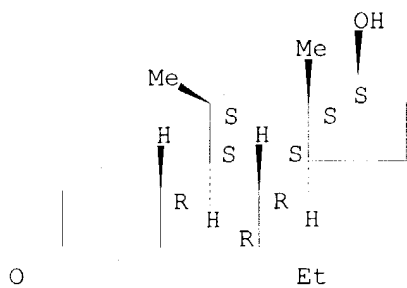
Absolute stereochemistry.



RN 300542-22-7 HCAPLUS

CN Estr-4-en-3-one, 7-ethyl-17-hydroxy-11-methyl-,  
(7 $\alpha$ ,11 $\beta$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

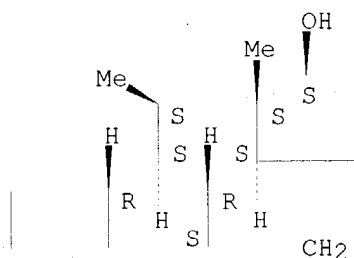


RN 300542-23-8 HCAPLUS

CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-11-methyl-,  
(7 $\alpha$ ,11 $\beta$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

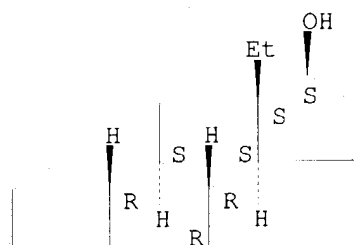




O

RN 300542-24-9 HCAPLUS  
 CN Gon-4-en-3-one, 7,13-diethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.

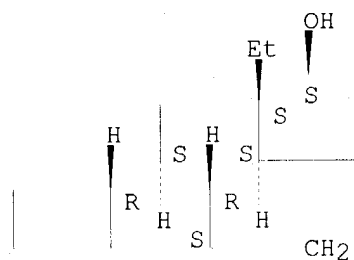


O

Et

RN 300542-25-0 HCAPLUS  
 CN Gon-4-en-3-one, 7-ethenyl-13-ethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
 (CA INDEX NAME)

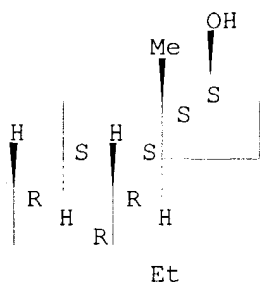
Absolute stereochemistry.



O

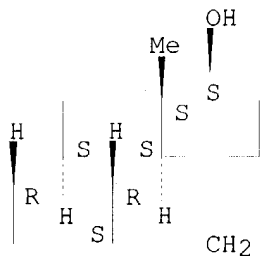
RN 300542-26-1 HCAPLUS  
 CN Estr-4-en-17-ol, 7-ethyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



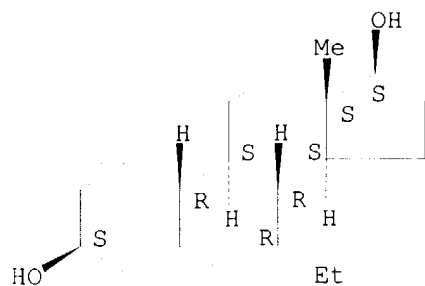
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Absolute stereochemistry.



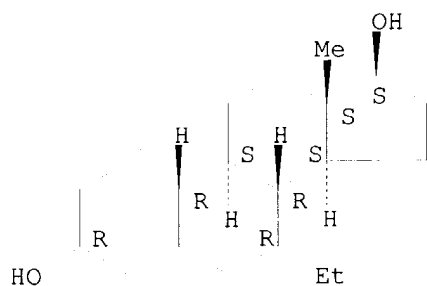
RN 300542-28-3 HCAPLUS  
 CN Estr-4-ene-3,17-diol, 7-ethyl-, (3 $\beta$ ,7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 300542-29-4 HCAPLUS  
 CN Estr-4-ene-3,17-diol, 7-ethyl-, (3 $\alpha$ ,7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

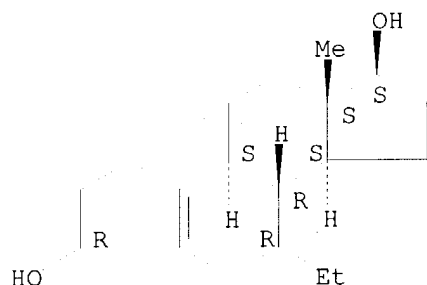
Absolute stereochemistry.



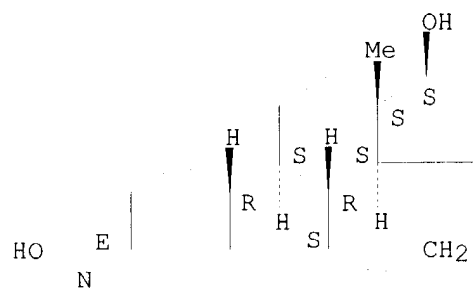
RN 300542-30-7 HCAPLUS

CN Estr-5(10)-ene-3,17-diol, 7-ethyl-, (3 $\alpha$ ,7 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

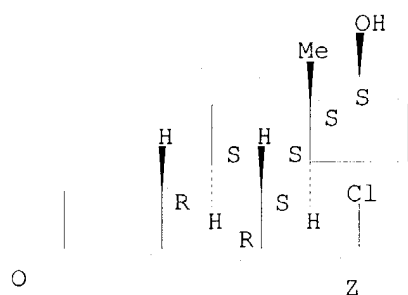


RN 300542-31-8 HCAPLUS

CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, oxime, (3E,7 $\alpha$ ,17 $\beta$ )-  
(9CI) (CA INDEX NAME)Absolute stereochemistry. Rotation (-).  
Double bond geometry as shown.

RN 300542-32-9 HCAPLUS

CN Estr-4-en-3-one, 7-[(1Z)-2-chloroethenyl]-17-hydroxy-,  
(7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)Absolute stereochemistry.  
Double bond geometry as shown.

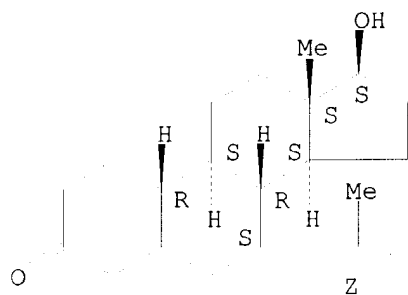


RN 300542-33-0 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-(1Z)-1-propenyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

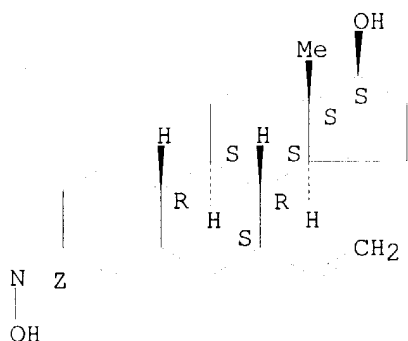


RN 300542-34-1 HCAPLUS

CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, oxime, (3Z,7 $\alpha$ ,17 $\beta$ )-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



IT 300542-83-0P

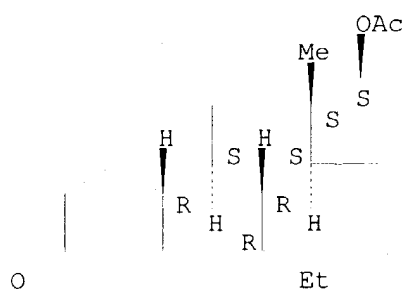
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis and activity of orally active androgens)

RN 300542-83-0 HCAPLUS

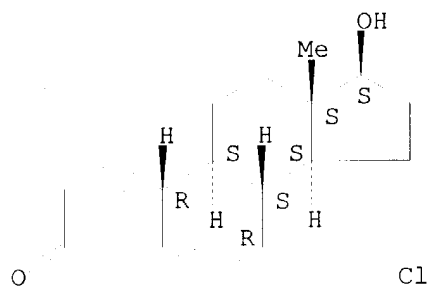
CN Estr-5(10)-ene-3,17-diol, 7-ethyl-, (3 $\beta$ ,7 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.

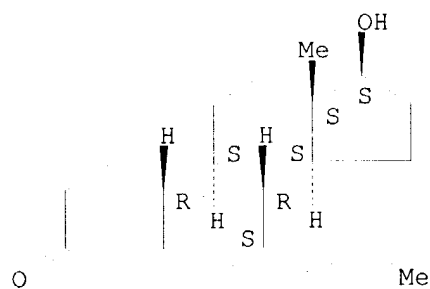




RN 300542-47-6 HCAPLUS

CN Estr-4-en-3-one, 7-(2-chloroethenyl)-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )-  
(9CI) (CA INDEX NAME)Absolute stereochemistry.  
Double bond geometry unknown.

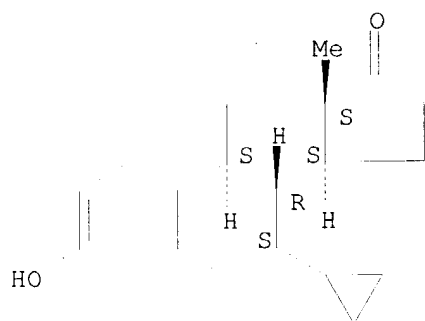
RN 300542-50-1 HCAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-(1-propenyl)-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)Absolute stereochemistry.  
Double bond geometry unknown.

RN 300542-67-0 HCAPLUS

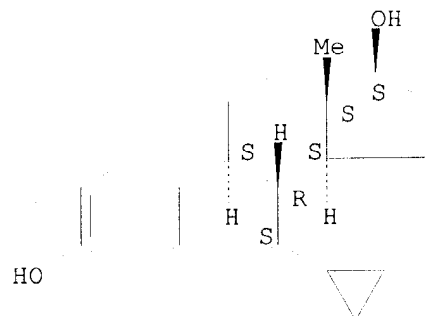
CN Estr-4-en-3-one, 7-cyclopropyl-3-hydroxy-, (7 $\alpha$ )- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



RN 300542-68-1 HCAPLUS  
 CN Estra-1,3,5(10)-triene-3,17-diol, 7-cyclopropyl-, (7 $\alpha$ ,17 $\beta$ )-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L49 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN  
 AN 2000:646025 HCAPLUS  
 DN 133:238171  
 ED Entered STN: 15 Sep 2000  
 TI preparation of 14 $\beta$ ,17 $\alpha$ -hydroxymethylandrostande derivatives as  
 androgens  
 IN Loozen, Hubert Jan Jozef; Leysen, Dirk; Van der Louw, Jaap  
 PA Akzo Nobel N.V., Neth.  
 SO PCT Int. Appl., 66 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07J015-00  
 ICS A61K031-565; C07J053-00  
 CC 32-4 (Steroids)  
 Section cross-reference(s): 1, 63  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000053619	A1	20000914	WO 2000-EP1755	20000302
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2359218	AA	20000914	CA 2000-2359218	20000302
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EP 1163259 B1 20021120  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, SI, LT, LV, FI, RO  
 JP 2002539135 T2 20021119 JP 2000-604054 20000302  
 AT 228143 E 20021215 AT 2000-909281 20000302  
 PRAI EP 1999-200665 A 19990308  
 WO 2000-EP1755 W 20000302  
 OS MARPAT 133:238171  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I wherein R1 = O, (H,H), (H, OR), NOR, R = H, (C1-6) alkyl, (C1-6) acyl; R2 = H, (C1-6) alkyl, or halo; R3 = H, (C1-6) alkyl, (C2-6) alkenyl, (C2-6) alkynyl; R4 = H, halo, or cyano; or R4 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, (C2-6) alkynyl; R5 = H, or (C1-6) alkyl; R6 = H, (C1-6) alkoxy, or halo; or R6 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, (C2-6) alkynyl, a (C1-6) alkylidene group, or a (C2-6) alkylidene group; R7 = H, or (C1-6) alkyl; R8 = (C1-6) alkyl; R9 = H, halo cyano; or R9 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, or (C2-6) alkynyl; R10 = H, (C1-6) alkoxy, halo, or cyano; or R10 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl or (C2-6) alkynyl; R10 R11 may form a cyclopropane ring; R11 = H, (C1-6) alkoxy, halo, cyano; or R11 = (un)substituted (C2-6) alkenyl or (C2-6) alkynyl, R11 R10 may form a cyclopropane ring; R12 = H, OH, halo, or cyano; or R12 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl or (C2-6) alkynyl; R13, R14 = H, cyano, (un)substituted Ph; or R13, R14 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, (C3-6) cycloalkyl, (C5-6) cycloalkenyl, (C2-6) alkynyl; R13 R14 may form a (C3-6) cycloalkane ring or a (C5-6) cycloalkene ring; R15 = H, SO3H, (C1-6) alkyl, (C1-15) acyl; and the dotted lines indicate optional bonds were prepared I is not 20-hydroxy-14 $\beta$ ,17 $\alpha$ -19-norpregn-4-en-3-one, (3 $\beta$ ,5 $\alpha$ ,14 $\beta$ ,17 $\alpha$ )-pregna-3,20-diol, (3 $\beta$ ,14 $\beta$ ,17 $\alpha$ )-pregna-5,9(11)-dien-3,20-diol, and (14 $\beta$ ,17 $\alpha$ )-20-hydroxy-19-norpregn-4-en-3-one. Thus, a solution of (14 $\beta$ ,17 $\alpha$ )-3-methoxyestra-2,5(10)-diene-17-methanol (II) in a mixture of methanol and THF was treated with a solution of oxalic acid in water, after 1.5 h stirring at room temperature, the reaction mixture was poured into water and the product was extracted with Et acetate, the combined organic phase were washed with saturated aqueous solution of sodium bicarbonate and brine, dried over sodium sulfate and concentrated under reduced pressure, column chromatog. afforded (14 $\beta$ ,17 $\alpha$ )-17-(hydroxymethyl)estr-5(10)-en-3-one (III). I were screened for androgenic activity. They can be used for the preparation of an agent for male contraception, as well as for the preparation of a medicament for the treatment of androgen insufficiency.

ST hydroxymethylandrostane deriv prepn androgen

IT Contraceptives  
 (preparation of 14 $\beta$ , 17 $\alpha$ -hydroxymethylandrostane derivs. as androgens)

IT Androgens  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of 14 $\beta$ , 17 $\alpha$ -hydroxymethylandrostane derivs. as androgens)

IT 293302-69-9P 293304-07-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)



(preparation of 14 $\beta$ , 17 $\alpha$ -hydroxymethylandrostane derivs. as androgens)

IT	17554-46-0P	293302-67-7P	293302-71-3P	293302-77-9P	293302-86-0P
	293303-04-5P	293303-13-6P	293303-20-5P	293303-25-0P	293303-31-8P
	293303-38-5P	293303-42-1P	293303-45-4P	293303-62-5P	293303-69-2P
	293303-76-1P	293303-82-9P	293303-83-0P	293303-84-1P	293303-85-2P
	293303-86-3P	293303-89-6P	293303-90-9P	293303-98-7P	293303-99-8P
	293304-00-4P	293304-01-5P	293304-08-2P	293304-09-3P	293304-14-0P
	293304-15-1P	293304-16-2P	293304-17-3P	293304-26-4P	293304-27-5P
	293304-43-5P	293304-45-7P	293304-46-8P	293304-50-4P	293304-51-5P
	293304-54-8P	293304-59-3P	293304-61-7P	293304-62-8P	293304-64-0P
	293304-66-2P	293304-67-3P	293304-68-4P		

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 14 $\beta$ , 17 $\alpha$ -hydroxymethylandrostane derivs. as androgens)

IT	107-21-1, 1,2-Ethanediol, reactions	109-92-2	540-63-6,
	1,2-Ethanedithiol	1239-33-4	2590-41-2
	chloride	17253-50-8	17550-10-6
		17748-69-5	35644-59-8
			293304-52-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 14 $\beta$ , 17 $\alpha$ -hydroxymethylandrostane derivs. as androgens)

IT	24357-33-3P	33203-18-8P	61252-30-0P	116948-86-8P	116948-87-9P
	116948-89-1P	116948-90-4P	133522-46-0P	293302-65-5P	293302-72-4P
	293302-73-5P	293302-74-6P	293302-75-7P	293302-76-8P	293302-78-0P
	293302-79-1P	293302-80-4P	293302-81-5P	293302-82-6P	293302-83-7P
	293302-84-8P	293302-85-9P	293302-87-1P	293302-88-2P	293302-89-3P
	293302-90-6P	293302-91-7P	293302-92-8P	293302-93-9P	293302-94-0P
	293302-95-1P	293302-96-2P	293302-97-3P	293302-98-4P	293302-99-5P
	293303-00-1P	293303-01-2P	293303-02-3P	293303-03-4P	293303-05-6P
	293303-06-7P	293303-07-8P	293303-08-9P	293303-09-0P	293303-10-3P
	293303-11-4P	293303-12-5P	293303-14-7P	293303-15-8P	293303-16-9P
	293303-17-0P	293303-18-1P	293303-19-2P	293303-21-6P	293303-22-7P
	293303-23-8P	293303-24-9P	293303-26-1P	293303-27-2P	293303-28-3P
	293303-29-4P	293303-30-7P	293303-33-0P	293303-34-1P	293303-35-2P
	293303-36-3P	293303-37-4P	293303-39-6P	293303-40-9P	293303-41-0P
	293303-43-2P	293303-44-3P	<b>293303-46-5P</b>	<b>293303-47-6P</b>	
	293303-48-7P	293303-49-8P	293303-50-1P	293303-51-2P	293303-52-3P
	293303-53-4P	293303-54-5P	293303-56-7P	293303-57-8P	293303-58-9P
	293303-59-0P	293303-60-3P	293303-61-4P	293303-63-6P	293303-64-7P
	293303-65-8P	293303-66-9P	293303-67-0P	293303-68-1P	293303-70-5P
	293303-71-6P	293303-72-7P	293303-73-8P	293303-74-9P	293303-75-0P
	293303-77-2P	293303-78-3P	293303-79-4P	293303-80-7P	293303-95-4P
	293303-96-5P	293303-97-6P	293304-02-6P	293304-03-7P	293304-04-8P
	293304-05-9P	293304-06-0P	293304-11-7P	293304-12-8P	293304-13-9P
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	293304-32-2P	293304-33-3P	293304-34-4P	293304-35-5P	293304-36-6P
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	293304-42-4P	293304-47-9P	293304-48-0P	293304-49-1P	

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 14 $\beta$ , 17 $\alpha$ -hydroxymethylandrostane derivs. as androgens)

IT	293304-53-7P
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RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 14 $\beta$ , 17 $\alpha$ -hydroxymethylandrostane derivs. as androgens)

IT	293303-55-6P	293303-81-8P	293303-87-4P	293303-88-5P	293303-91-0P
	293303-92-1P	293303-93-2P	293303-94-3P	293304-18-4P	293304-19-5P
	293304-20-8P	293304-21-9P	293304-22-0P	293304-23-1P	293304-24-2P

293304-44-6P 293304-55-9P 293304-56-0P 293304-57-1P 293304-58-2P

293304-60-6P 293304-63-9P 293304-65-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of 14 $\beta$ , 17 $\alpha$ -hydroxymethylandrostane derivs. as androgens)

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE

(1) Akzo Nv; EP 0277676 A 1988 HCAPLUS

(2) Barton, D; Journal of the Chemical Society 1957, V6, P2698

(3) Da Silva Campos Neves, A; Bol Escola Farm Univ Coimbra 1957, V17, P1

(4) Okada, M; Chemical and Pharmaceutical Bulletin 1968, V16(11), P2223 HCAPLUS

(5) Perelman, M; US 3086027 A 1963 HCAPLUS

(6) Res Corp Technologies Inc; WO 9315104 A 1993 HCAPLUS

(7) Shoppee, C; Helvetica Chimica Acta 1944, V27, P246 HCAPLUS

IT 293303-46-5P 293303-47-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

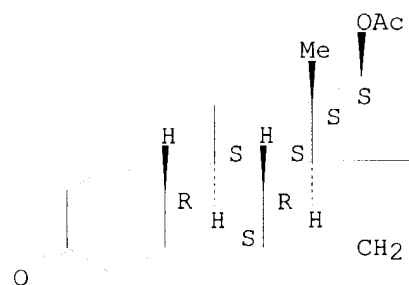
(preparation of 14 $\beta$ , 17 $\alpha$ -hydroxymethylandrostane derivs. as androgens)

RN 293303-46-5 HCAPLUS

CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethenyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI)

(CA INDEX NAME)

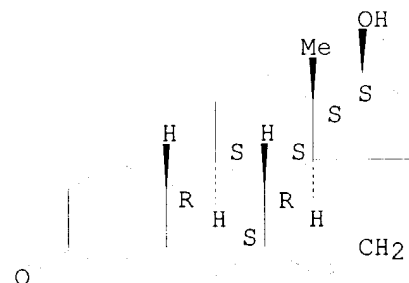
Absolute stereochemistry.



RN 293303-47-6 HCAPLUS

CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L49 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1998:689228 HCAPLUS

DN 129:276095

ED Entered STN: 30 Oct 1998

TI Preparation of steroid compounds having contraceptive and anti-osteoporosis activity

IN Loozen, H. J. J.

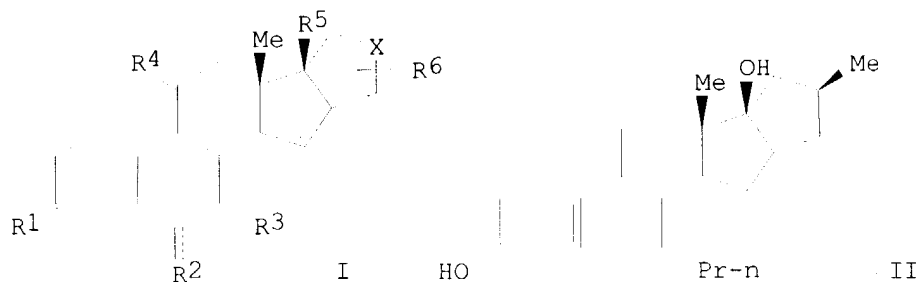
PA Akzo Nobel N.V., Neth.  
 SO Eur. Pat. Appl., 22 pp.  
 CODEN: EPXXDW

DT Patent  
 LA English  
 IC ICM C07J053-00  
 ICS A61K031-56

CC 32-3 (Steroids)  
 Section cross-reference(s): 1

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 869132	A1	19981007	EP 1998-200518	19980218
	EP 869132	B1	20010905		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TW 403736	B	20000901	TW 1998-87101457	19980205
	IL 123195	A1	20021110	IL 1998-123195	19980205
	ZA 9801344	A	19980827	ZA 1998-1344	19980218
	AT 205217	E	20010915	AT 1998-200518	19980218
	PT 869132	T	20020130	PT 1998-98200518	19980218
	ES 2164400	T3	20020216	ES 1998-200518	19980218
	US 6077873	A	20000620	US 1998-26348	19980219
	CA 2229960	AA	19980821	CA 1998-2229960	19980220
	NO 9800737	A	19980824	NO 1998-737	19980220
	AU 9855412	A1	19980827	AU 1998-55412	19980220
	AU 723713	B2	20000907		
	CN 1197076	A	19981028	CN 1998-108595	19980220
	BR 9800718	A	19990629	BR 1998-718	19980220
	RU 2182153	C2	20020510	RU 1998-103023	19980220
	HK 1016188	A1	20020215	HK 1999-101306	19990330
	US 6313180	B1	20011106	US 2000-538783	20000330
PRAI	EP 1997-102884	A	19970221		
	US 1998-26348	A1	19980219		
OS	MARPAT 129:276095				
GI					

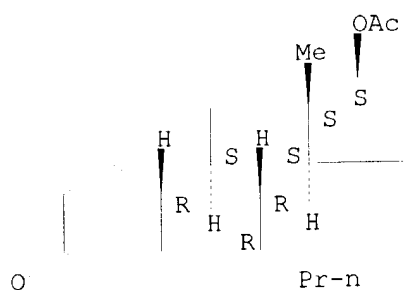


AB Steroids of formula I [X = (CH<sub>2</sub>)<sub>n</sub>; n = 0-3; R<sub>1</sub> = oxo, OH, NOH, etc.; R<sub>2</sub> = H, CH<sub>2</sub>, alkyl; R<sub>3</sub> = H, alkyl, alkenyl, alkynyl; R<sub>4</sub> = H, alkyl, alkylidene, etc.; R<sub>5</sub> = OH, OCH<sub>2</sub>OH, acyloxy; R<sub>6</sub> = H, alkyl, etc.] are prepared. The steroid compds. of the present invention are very suitable for use in the prevention or treatment of peri-menopausal or menopausal complaints, more preferably the prevention or treatment of osteoporosis. Furthermore, the steroid compds. of the present invention can be used for contraceptive purposes. Thus, II was prepared from 17 $\beta$ -acetyloxyestra-4,6-dien-3-one and Pr bromide in 12 steps. II showed 64  $\mu$ g/kg in the Allen Doisy test for in vivo estrogenic activity.

ST steroid compd prepn contraceptive anti osteoporosis  
IT Osteoporosis  
(postmenopausal; preparation of steroid compds. having contraceptive and antiosteoporosis activity)  
IT Contraceptives  
(preparation of steroid compds. having contraceptive and antiosteoporosis activity)  
IT Steroids, preparation  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of steroid compds. having contraceptive and antiosteoporosis activity)  
IT Menopause  
(symptoms; preparation of steroid compds. having contraceptive and antiosteoporosis activity)  
IT Osteoporosis  
(therapeutic agents; preparation of steroid compds. having contraceptive and antiosteoporosis activity)  
IT 213889-92-0P 213890-02-9P 213890-03-0P 213890-12-1P 213890-15-4P  
213890-22-3P 213890-27-8P 213890-31-4P 213890-41-6P 213890-43-8P  
213890-45-0P 213890-48-3P 213890-50-7P 213890-52-9P 213890-55-2P  
213890-57-4P 213890-59-6P 213890-62-1P 213890-64-3P 213890-66-5P  
213890-69-8P 213890-71-2P 213890-73-4P 213890-75-6P 213890-78-9P  
213890-80-3P 213890-82-5P 213890-85-8P 213890-87-0P 213890-89-2P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of steroid compds. having contraceptive and antiosteoporosis activity)  
IT 106-94-5, Propyl bromide 106-95-6, Allyl bromide, reactions 927-77-5,  
Propylmagnesium bromide 38771-21-0, 4-Bromo-1-butyne 80121-73-9  
88247-84-1 92511-12-1 100001-40-9 105859-46-9 177901-03-0  
213890-36-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of steroid compds. having contraceptive and antiosteoporosis activity)  
IT 2590-41-2P 13209-45-5P, Estra-4,6-diene-3,17-dione 213889-77-1P  
213889-78-2P 213889-80-6P 213889-81-7P 213889-83-9P 213889-85-1P  
213889-86-2P 213889-88-4P 213889-89-5P 213889-90-8P 213889-91-9P  
213889-93-1P 213889-95-3P 213889-96-4P 213889-97-5P 213889-98-6P  
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213890-25-6P 213890-26-7P 213890-28-9P 213890-30-3P 213890-32-5P  
213890-33-6P 213890-35-8P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of steroid compds. having contraceptive and antiosteoporosis activity)  
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
RE  
(1) Pieter, S; WO 9418224 A 1994 HCAPLUS  
(2) Schering Ag; EP 0411733 A 1991 HCAPLUS  
(3) Wang, J; ANGEWANDTE CHEMIE INTERNATIONAL EDITION 1995, V34(16), P1749 HCAPLUS  
IT 213889-77-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of steroid compds. having contraceptive and antiosteoporosis activity)  
RN 213889-77-1 HCAPLUS  
CN Estr-4-en-3-one, 17-(acetyloxy)-7-propyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA

INDEX NAME)

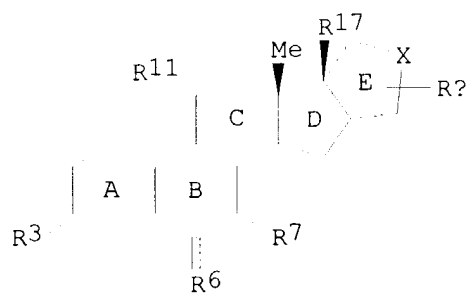
Absolute stereochemistry.



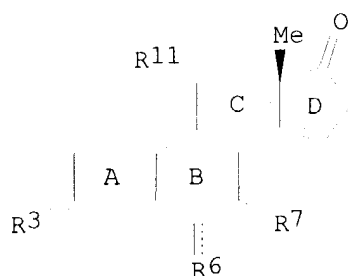
L49 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1998:666022 HCAPLUS  
 DN 129:316429  
 ED Entered STN: 21 Oct 1998  
 TI Preparation of contraceptive and antiosteoporotic steroids and their uses  
 IN Loozen, Hubert Jan Jozef  
 PA Akzo Nobel N.V., Neth.  
 SO Jpn. Kokai Tokkyo Koho, 18 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 IC ICM C07J053-00  
 ICS A61K031-56  
 CC 32-3 (Steroids)  
 Section cross-reference(s): 1

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 10273499	A2	19981013	JP 1998-39455	19980220
	TW 403736	B	20000901	TW 1998-87101457	19980205
	IL 123195	A1	20021110	IL 1998-123195	19980205
	ZA 9801344	A	19980827	ZA 1998-1344	19980218
	AT 205217	E	20010915	AT 1998-200518	19980218
	PT 869132	T	20020130	PT 1998-98200518	19980218
	ES 2164400	T3	20020216	ES 1998-200518	19980218
	US 6077873	A	20000620	US 1998-26348	19980219
	CA 2229960	AA	19980821	CA 1998-2229960	19980220
	NO 9800737	A	19980824	NO 1998-737	19980220
	AU 9855412	A1	19980827	AU 1998-55412	19980220
	AU 723713	B2	20000907		
	CN 1197076	A	19981028	CN 1998-108595	19980220
	BR 9800718	A	19990629	BR 1998-718	19980220
	RU 2182153	C2	20020510	RU 1998-103023	19980220
	HK 1016188	A1	20020215	HK 1999-101306	19990330
	US 6313180	B1	20011106	US 2000-538783	20000330
PRAI	EP 1997-102884	A	19970221		
	US 1998-26348	A1	19980219		
OS	MARPAT 129:316429				
GI					



I



II

AB The steroids I [ $R_3 = O, OH, :NOR, OR, O_2CR$ ;  $R = C1-6$  alkyl;  $R_6 = CH_2, (CH_2)_mH$ ;  $m = 1, 2$ ;  $R_7 = H, C1-4$  alkyl,  $C2-5$  alkenyl,  $C2-5$  alkynyl, which may be substituted with 1-3 F or Cl;  $R_{11} = C1-4$  alkyl,  $C2-4$  alkenyl,  $C2-4$  alkynyl,  $C1-4$  alkylidene, which may be substituted with 1-3 F or Cl; E ring is a 4-7-membered condensed ring ( $\alpha$ -configuration) which may be substituted by RE and which may contain 1-2 double bond; X = part of ring E; RE = H,  $C1-6$  alkyl,  $C2-6$  alkenyl,  $C2-6$  alkynyl,  $C1-6$  alkylidene, cycloalkyl having  $C2-6$  spiro ring, OR, SR,  $O_2CR$ , NHR,  $NR_2$ ,  $NHCOR$ , NCO,  $(CH_2)_nN_3$ ,  $(CH_2)_nCN$ , among which aliphatic groups may be substituted with 1-3 OR, SR,  $O_2CR$ , NHR,  $NR_2$ ,  $NHCOR$ , Cl, F;  $n = 0-5$ ;  $R_{17} = OH, OCH_2OR, OR, O_2CR$ ;  $D_9(10), D_5(10), D_4(5), D_{11}(12)$ , and/or  $\Delta_{14}(15)$  may be double bond; either of rings A or B is aromatic ring] are prepared A method for the preparation

of I involves (a) introduction of (un)substituted  $\omega$ -iodoalkyl group into C in position 16 of 17-ketosteroids II and cyclization of the group upon treatment with organometallic reagents or (a') introduction of (un)substituted alkenyl group into C in positions 16 and 17 and cyclization via transition metal-catalyzed olefin metathesis. A THF solution of (7 $\alpha$ )-3-methoxy-7-propylestra-1,3,5(10)-triene-17-one dimethylhydrazone (preparation given) was treated with BuLi at  $-40^\circ$  for 0.5 h and then further treated with (2R)-2-methyl-3-iodopropanol O-tert-butyldimethylsilyl ether at  $-20^\circ$  for 1 h to give [7 $\alpha, 16\alpha(S)$ ]-16-[3[[dimethyl(1,1-dimethylethyl)silyl]oxy]-2-methylpropyl]-3-methoxy-7-propylestra-1,3,5(10)-triene-17-one dimethylhydrazone. This was submitted to desilylation, deprotection of hydrazono group to recover the keto group, O-tosylation, iodination, cyclization, and 3-demethylation to give (4'S, 7 $\alpha, 16\alpha, 17\alpha$ )-3',4',5',16-tetrahydro-4'-methyl-7-propyl-17H-cyclopenta[16,17]estra-1,3,5(10)-triene-3,17-diol. Some of I were tested for their preventive effect against decrease in the bone mineral d. of ovariectomized rats.

ST steroid ring condensed prepn contraceptive antiosteoporotic; cyclopentaestratriene prepn contraceptive antiosteoporotic; alkenylation ketosteroid olefin metathesis cyclization; alkenylated ketosteroid olefin metathesis cyclization

IT Contraceptives

(preparation of contraceptive and antiosteoporotic steroids by forming

condensed ring at the positions 16 and 17)

IT Osteoporosis  
(therapeutic agents; preparation of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

IT 213889-90-8P 213890-02-9P 213890-15-4P 213890-22-3P 213890-27-8P  
213890-31-4P 214981-03-0P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

IT 213889-91-9P 213889-92-0P 213889-93-1P 213889-95-3P 213889-96-4P  
213889-97-5P 213889-98-6P 213889-99-7P 213890-03-0P 213890-04-1P  
213890-05-2P 213890-06-3P 213890-08-5P 213890-09-6P 213890-10-9P  
213890-11-0P 213890-13-2P 213890-14-3P 213890-17-6P 213890-19-8P  
213890-20-1P 213890-21-2P 213890-24-5P 213890-25-6P 213890-26-7P  
213890-28-9P 213890-30-3P  
RL: PNU (Preparation, unclassified); RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

IT 2417-93-8, Propyllithium 7486-35-3, Vinyltributyltin 38771-21-0,  
4-Bromo-1-butyne 80121-73-9 88247-84-1 92511-12-1 100001-40-9  
105859-46-9 177901-03-0 **213889-77-1**  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

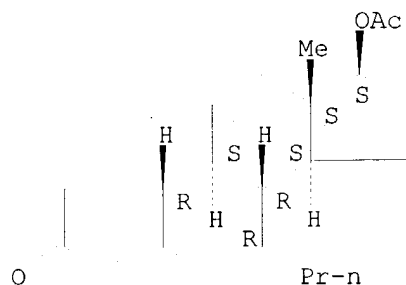
IT 2590-41-2P 213889-78-2P 213889-80-6P 213889-81-7P 213889-83-9P  
213889-85-1P 213889-86-2P 213889-88-4P 213889-89-5P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

IT **213889-77-1**  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of contraceptive and antiosteoporotic steroids by forming condensed ring at the positions 16 and 17)

RN 213889-77-1 HCAPLUS

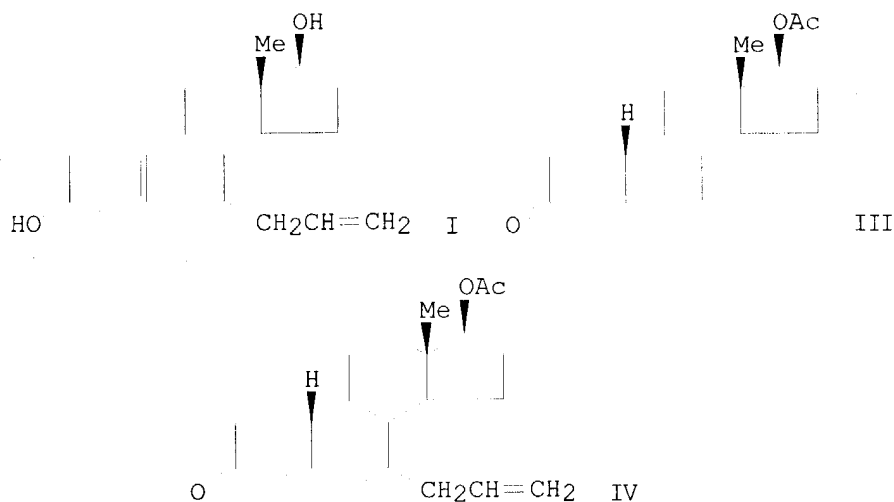
CN Estr-4-en-3-one, 17-(acetyloxy)-7-propyl-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
INDEX NAME)

Absolute stereochemistry.



L49 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN  
AN 1989:95601 HCAPLUS  
DN 110:95601  
ED Entered STN: 17 Mar 1989  
TI The preparation of 7 $\alpha$ - and 7 $\beta$ -allylestradiol and an unusual  
titanium(IV) chloride-mediated dimerization  
AU Kirk, David N.; Miller, Barry W.

CS Chem. Dep., Queen Mary Coll., London, E1 4NS, UK  
 SO Journal of Chemical Research, Synopses (1988), (9), 278-9  
 CODEN: JRPSDC; ISSN: 0308-2342  
 DT Journal  
 LA English  
 CC 32-3 (Steroids)  
 OS CASREACT 110:95601  
 GI

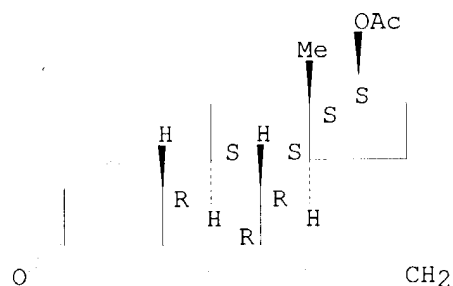


- AB 7α-Allylestradiol (I) and its 7β-epimer (II) were prepared from  
 estradiol-4,6-dien-3-one III. Thus, the allylation of III with CH<sub>2</sub>=CHCH<sub>2</sub>SiMe<sub>3</sub>  
 in the presence of Bu<sub>4</sub>NF gave 7α-allyl derivative IV and its  
 7β-epimer (V). The aromatization of IV with CuBr<sub>2</sub>-LiBr gave the  
 17-O-acetate of I, which was hydrolyzed to give I. II was obtained  
 similarly from V. The reaction of III with CH<sub>2</sub>:CHCH<sub>2</sub>SiMe<sub>3</sub> in the presence  
 of TiCl<sub>4</sub> gave a 6β,6'β-dimer.
- ST allylestradiol; estradiol allyl; allylation estradienone; dimerization  
 allylation estradienone titanium chloride
- IT Dimerization  
 (of acetoxyestradienone during allylation with allyltrimethylsilane in  
 presence of titanium chloride)
- IT 762-72-1, Allyltrimethylsilane  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (allylation by, of acetoxyestradienone)
- IT 2590-41-2  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (allylation of, with allyltrimethylsilane)
- IT **119020-33-6P 119020-34-7P 119020-36-9P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and aromatization of)
- IT 119020-37-0P  
 RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and conformation of)
- IT 119020-39-2P 119020-40-5P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and deacetylation of)
- IT 1425-10-1P 119020-31-4P 119020-32-5P 119020-35-8P 119020-38-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)



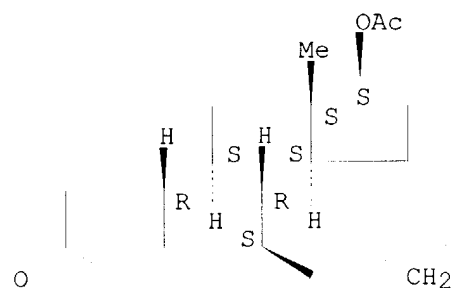
IT 119020-33-6P 119020-34-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and aromatization of)  
 RN 119020-33-6 HCAPLUS  
 CN Estr-4-en-3-one, 17-(acetyloxy)-7-(2-propenyl)-, (7 $\alpha$ ,17 $\beta$ )-  
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.

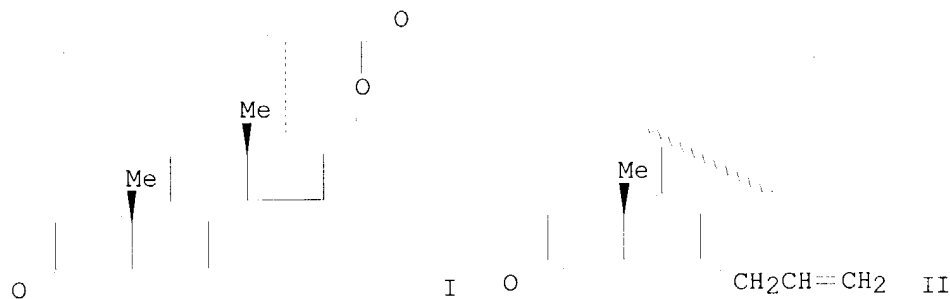


RN 119020-34-7 HCAPLUS  
 CN Estr-4-en-3-one, 17-(acetyloxy)-7-(2-propenyl)-, (7 $\beta$ ,17 $\beta$ )- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.

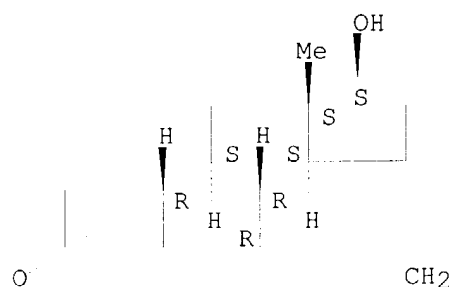


L49 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1988:529426 HCAPLUS  
 DN 109:129426  
 ED Entered STN: 14 Oct 1988  
 TI Stereoselective synthesis of 7 $\alpha$ -allyl- and 7 $\alpha$ -propylsteroids  
 AU Nickisch, Klaus; Laurent, Henry  
 CS Forschungslab., Schering A-G, Berlin, D-1000/65, Fed. Rep. Ger.  
 SO Tetrahedron Letters (1988), 29(13), 1533-6  
 CODEN: TELEAY; ISSN: 0040-4039  
 DT Journal  
 LA German  
 CC 32-4 (Steroids)  
 OS CASREACT 109:129426  
 GI



- AB The reaction of allyltrimethylsilane (Sakurai reaction) with steroidal 3-oxo-4,6-dienes catalyzed by TiCl<sub>4</sub> gave only the 7 $\alpha$ -substituted derivs. E.g., I gave 73% II.
- ST stereochem allyl silane addn androstadiene gonadiene
- IT Stereochemistry  
(of addition reaction, of androstadiene and gonadiene derivs. with allyl organometallics)
- IT Addition reaction  
(of androstadiene and gonadiene derivs. with allyl organometallics, stereochem. of)
- IT Addition reaction catalysts  
(titanium or aluminum chloride, for allyl organometallics with androstadiene and gonadiene derivs.)
- IT 116506-60-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(addition reaction of, with allyl organometallics, stereochem. of)
- IT 976-71-6 115814-79-4  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(addition reaction of, with allyltrimethylsilane, stereochem. of)
- IT 762-72-1, Allyltrimethylsilane  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(addition reaction of, with androstadienes and gonadiene derivative, stereochem. of)
- IT 24850-33-7, Allyltributylstannane  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(addition reaction of, with gonadienone derivative, stereochem. of)
- IT 116506-63-9P 116506-65-1P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and hydrolysis of)
- IT 76685-44-4P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and partial catalytic hydrogenation of)
- IT 60533-52-0P 76676-33-0P 116506-59-3P 116506-61-7P  
**116506-62-8P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)
- IT 116506-64-0P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation, hydrolysis, and mass spectrum of)
- IT **116506-62-8P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)
- RN 116506-62-8 HCAPLUS
- CN Estr-4-en-3-one, 17-hydroxy-7-(2-propenyl)-, (7 $\alpha$ ,17 $\beta$ )- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



L49 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1971:420798 HCAPLUS

DN 75:20798

ED Entered STN: 12 May 1984

TI Antihormonal 7 $\beta$ -alkyl steroids

IN Babcock, John C.; Campbell, J. Allan

PA Upjohn Co.

SO Ger. Offen., 58 pp.

CODEN: GWXXBX

DT Patent

LA German

IC C07C

CC 32 (Steroids)

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2043404	A	19710311	DE 1970-2043404	19700902
	ZA 7005567	A	19710428	ZA 1970-5567	19700812
	GB 1298974	A	19721206	GB 1970-1298974	19700813
	NL 7012967	A	19710305	NL 1970-12967	19700902
	FR 2070665	A5	19710917	FR 1970-31924	19700902
	FR 2070665	B1	19740614		
PRAI	US 1969-855035		19690903		

AB The title compds. are prepared by several methods. Thus, 6-dehydro-19-nortestosterone in THF was treated with CuCl in THF and 3M MeMgBr in ether to yield 7 $\alpha$ -methyl-19-nortestosterone and m $\beta$ -methyl-19-nortestosterone (I). In a second process, 7 $\beta$ -methylestrone in MeOH was treated with H<sub>2</sub>O and NaBH<sub>4</sub> to yield 7 $\beta$ -methylestradiol (II). A mixture of the 3-methyl ether of II in THF, tert-BuOH, and Li wire was reacted in liquid NH<sub>3</sub> to yield 7 $\beta$ -methyl-3-methoxyestra-2,5(10)-dien-17 $\beta$ -ol, which was reacted with MeOH, H<sub>2</sub>O, and oxalic acid to yield 17 $\beta$ -hydroxy-7 $\beta$ -methylestr-5(10)-en-3-one. This was hydrolyzed in a mixture of MeOH, H<sub>2</sub>O, and 2.5N HCl to yield I. A third process using 19-hydroxyandrosta-4,6-diene-3,17-dione was described. Many other derivs. of the title compds. were also prepared, including 7 $\alpha$ -ethyl-19-nortestosterone, m. 138.5-41.5°, [ $\alpha$ ]<sub>D</sub> 16° (CHCl<sub>3</sub>), and 7 $\beta$ -ethyl-19-nortestosterone, m. 146-8°.

ST antihormonal nortestosterones

IT Steroids, preparation

RL: PREP (Preparation)

(7 $\beta$ -alkyl)

IT	31022-20-5P	32224-02-5P	32224-03-6P	32224-04-7P	32224-05-8P
	32224-06-9P	32224-07-0P	32224-08-1P	<b>32297-29-3P</b>	
	32297-30-6P	32297-31-7P	32297-32-8P	32297-33-9P	32297-34-0P
	32297-35-1P	32297-36-2P	32297-37-3P	32297-38-4P	32297-39-5P
	32297-40-8P	32297-41-9P	32297-42-0P	32297-43-1P	32297-44-2P

32297-45-3P 32297-46-4P 32297-47-5P 32297-48-6P 32344-13-1P  
 32344-14-2P 32344-15-3P 32344-16-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

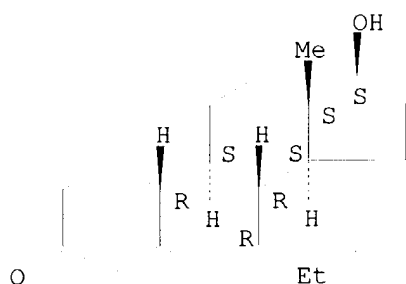
IT **32297-29-3P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 32297-29-3 HCAPLUS

CN Estr-4-en-3-one, 7-ethyl-17-hydroxy-, (7 $\alpha$ ,17 $\beta$ )- (9CI) (CA  
 INDEX NAME)

Absolute stereochemistry.



L49 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2003 ACS on STN

AN 1965:9274 HCAPLUS

DN 62:9274

OREF 62:1704c-d

ED Entered STN: 22 Apr 2001

TI Totally synthetic steroid hormones. II. 13 $\beta$ -Alkylgon-1,3,5(10)-trienes, 13 $\beta$ -alkylgon-4-en-3-ones, and related compounds

AU Smith, Herchel; et al.

CS Univ. Manchester, UK

SO Journal of the Chemical Society, Abstracts (1964), (Nov.), 4472-92  
 CODEN: JCSAAZ; ISSN: 0590-9791

DT Journal

LA English

CC 42 (Steroids)

AB cf. CA 60, 581c. By using procedures previously developed for ( $\pm$ )-estrone, a variety of ( $\pm$ )-13 $\beta$ -alkylgon-1,3,5(10)-trienes and cognate compds. has been synthesized and converted into various ( $\pm$ )-13 $\beta$ -alkylgon-4-enes. Biol. activities are given for several compds. and in some cases compared with those of the corresponding (+)- and (-)-enantiomers. A series of related ( $\pm$ )-estrans has been totally synthesized for comparison in biol. activities with these gonanes and the corresponding estranes prepared from (+)-estrone. Preliminary accounts of some of this work have been given.

IT Steroids

(13 $\beta$ -alkyl, total synthesis of)

IT Muscles

Progestational hormones or principles  
 (13 $\beta$ -alkylgonane effect on)

IT Blood

(cholesterol in, 13 $\beta$ -alkylgonane effect on)

IT Optical rotatory dispersion

(of 13-alkylgon-4-en-3-ones)

IT Spectra, visible and ultraviolet

(of 13 $\beta$ -alkylgonanes)

IT 1,3-Cyclopentanedione, 2-[2-(3,4-dihydro-6-methoxy-1(2H)-  
 naphthylidene)ethyl]-2-ethyl-, ( $\pm$ )-

1,3-Cyclopentanedione, 2-[2-[5-(benzyloxy)-3,4-dihydro-1(2H)-

- naphthylidene]ethyl]-2-ethyl-, (±)-  
 10-Undecenoic acid, ester with 13-ethyl-17β-hydroxygon-4-en-3-one,  
 (±)-  
 18,19-Dinor-17α-pregn-4-en-20-yn-3-one, 13-ethyl-17-hydroxy-, (+)-,  
 (-)-  
 18,19-Dinor-17α-pregn-4-en-20-yn-3-one, 13-ethyl-17-hydroxy-, (+)-,  
 (±)-  
 18,19-Dinor-17α-pregn-4-en-3-one, 13-ethyl-17-hydroxy-, (+)-, (-)-  
 18,19-Dinor-17α-pregn-4-en-3-one, 13-ethyl-17-hydroxy-, (+)-, (±)  
 18,19-Dinor-17α-pregna-1,3,5(10),9(11)-tetraen-17-ol,  
 13-methyl-3-methoxy-, (±)-  
 18,19-Dinor-17α-pregna-4,9-dien-20-yn-3-one, 17-hydroxy-13-propyl-,  
 (±)-  
 19-Nor-17α-pregn-4-en-3-one, 17-hydroxy-, (±)-  
 19-Nor-17α-pregna-1,3,5(10),8-tetraen-17-ol, 3-methoxy-, (±)-  
 2(3H)-Chrysenone, 6a-ethyl-4,4a,4b,5,6,6a,7,8,9,10,10a,10b,11,12-  
 tetradecahydro-7-hydroxy-, esters (±)-  
 8,14-Secogona-1,3,5(10),9(11)-tetraene-14,17-dione, 13-ethyl-3-methoxy-,  
 (±)-  
 8,14-Secogona-1,3,5(10),9(11)-tetraene-14,17-dione, 3-(benzyloxy)-13-ethyl-,  
 (±)-  
 Acetic acid, phenyl-, esters with 13-ethyl-17β-hydroxygon-4-en-3-one,  
 (±)-  
 Decanoic acid, ester with 17αβ-hydroxy-13-propyl-D-homogon-4-en-3-  
 one, (±)-  
 Decanoic acid, esters with 13-ethyl-17αβ-hydroxy-D-homogon-4-en-3-  
 one, (±)  
 Gon-4-en-3-one, 13-ethyl-17β-hydroxy-, (-)-, (+)-  
 Gon-4-en-3-one, 13-ethyl-17β-hydroxy-, 10-undecenate, (±)-  
 Gon-4-en-3-one, 13-ethyl-17β-hydroxy-, acetate, (-)-  
 Gon-4-en-3-one, 17β-hydroxy-13-propyl-, (-)-, (+)-  
 Gon-5(10)-en-3-one, 17β-allyl-13-ethyl-17-hydroxy-, (±)-  
 Gona-1,3,5(10),8,14-pentaen-17-one, 13-isobutyl-3-methoxy-, (±)-  
 Gona-1,3,5(10)-trien-17-one, 13-ethyl-3-hydroxy-, (+)-  
 Gona-1,3,5(10)-trien-17-one, 13-ethyl-3-hydroxy-, (-)-  
 Gona-1,3,5(10)-trien-17-one, 13-ethyl-3-methoxy-, (-)-  
 Gona-1,3,5(10)-trien-17β-ol, 13-ethyl-3-methoxy-, (-)-  
 Gona-1,3,5(10)-trien-17β-ol, 3-methoxy-13-propyl-, (+)-  
 Gona-1,3,5(10)-trien-17β-ol, 3-methoxy-13-propyl-, (-)-  
 Gona-1,3,5(10)-triene-3,17β-diol, 13-propyl-, (+)-  
 Gona-4,9-dien-3-one, 13-butyl-17β-hydroxy-, (±)-  
 Gona-4,9-dien-3-one, 17β-hydroxy-13-propyl-17-(1-propynyl)-, (±)-  
 Hydrocinnamic acid, esters, with 13-ethyl-17αβ-hydroxy-D-nomogon-4-en-  
 3-one, (±)-  
 Hydrocinnamic acid, esters, with 17αβ-hydroxy-13-propyl-D-homogon-4-  
 en-3-one, (±)-  
 Isovaleric acid, ester with 13-ethyl-17β-hydroxygon-4-en-3-one,  
 (±)-  
 Succinic acid, α-ester with 13-ethyl-17β-hydroxygon-4-en-3-one,  
 (±)-  
 D-Homo-18,19-dinor-17α-pregn-4-en-20-yn-3-one, 13-ethyl-17α-hydroxy-,  
 (±)-  
 D-Homogon-4-en-3-one, 13-ethyl-17αβ-hydroxy-, decanoate, (±)-  
 D-Homogon-4-en-3-one, 13-ethyl-17αβ-hydroxy-17α-methyl-, (±)-  
 D-Homogona-1,3,5(10)-trien-17a-one, 13-ethyl-3-methoxy-, (±)-  
 D-Homogona-4,9-dien-3-one, 13-ethyl-17αβ-hydroxy-, (±)-  
 IT 501-52-0, Hydrocinnamic acid  
 (esters, with steroids)  
 IT 57-88-5, Cholesterol  
 (in blood, 13β-alkylgonane effect on)  
 IT 791-39-9, Gon-4-en-17-one, 13-ethyl-, (±)- 793-54-4, Gon-4-en-3-one,  
 13-ethyl-17β-hydroxy-, (±)- 793-56-6, Gona-1,3,5(10)-triene-  
 3,17β-diol, 13-ethyl-, (±)- 793-57-7, Gona-4,9-dien-3-one,

13-ethyl-17 $\beta$ -hydroxy-, ( $\pm$ )- 793-58-8, Gon-5(10)-ene-3,17-dione,  
13-ethyl-, ( $\pm$ )- 795-32-4, 18,19-Dinor-17 $\alpha$ -pregn-4-en-17-ol,  
13-ethyl-, ( $\pm$ )- 795-33-5, 18,19-Dinor-17 $\alpha$ -pregn-4-en-20-yn-17-  
ol, 13-ethyl-, ( $\pm$ )- 795-48-2, Gon-4-en-3-one, 13-ethyl-17 $\beta$ -  
hydroxy-17-methyl-, ( $\pm$ )- 795-49-3, D-Homogon-5(10)-en-3-one,  
13-ethyl-17 $\alpha\beta$ -hydroxy-, ( $\pm$ )- 797-60-4, 18,19-Dinor-17 $\alpha$ -  
pregna-4,9-dien-3-one, 13-ethyl-17-hydroxy-, ( $\pm$ )- 797-61-5,  
18,19-Dinor-17 $\alpha$ -pregna-4,20-dien-3-one, 13-ethyl-17-hydroxy-,  
( $\pm$ )- 797-65-9, 18,19-Dinor-17 $\alpha$ -pregna-4,9-dien-20-yn-3-one,  
13-ethyl-17-hydroxy-, ( $\pm$ )- 797-85-3, Gona-4,9-dien-3-one,  
17 $\beta$ -hydroxy-17-methyl-13-propyl-, ( $\pm$ )- 797-86-4,  
Gona-1,3,5(10),8,14-pentaen-17-one, 13-isopropyl-3-methoxy-, ( $\pm$ )-  
797-87-5, D-Homogona-1,3,5(10)-trien-17 $\alpha\beta$ -ol, 13-ethyl-3-methoxy-,  
( $\pm$ )- 797-90-0, Gona-2,5(10)-dien-17 $\beta$ -ol, 3-methoxy-13-propyl-,  
( $\pm$ )- 797-91-1, Gona-1,3,5(10),8-tetraen-17 $\beta$ -ol,  
3-methoxy-13-propyl-, ( $\pm$ )- 797-92-2, Gona-2,5(10)-dien-17-one,  
3-methoxy-13-propyl-, ( $\pm$ )- 799-42-8, Gona-4,9-dien-3-one,  
13-ethyl-17 $\beta$ -hydroxy-17-(1-propynyl)-, ( $\pm$ )- 799-43-9,  
18,19-Dinor-17 $\alpha$ -pregna-2,5(10)-dien-20-yn-17-ol,  
13-ethyl-3-methoxy-, ( $\pm$ )- 799-72-4, Gona-1,3,5(10),8-tetraen-17-one,  
13-butyl-3-methoxy-, ( $\pm$ )- 799-73-5, Gona-1,3,5(10),8,14-pentaen-17-  
one, 13-butyl-3-methoxy-, ( $\pm$ )- 799-74-6, Gon-4-en-3-one,  
13-ethyl-17 $\beta$ -hydroxy-, cyclic ethylene mercaptol, ( $\pm$ )-  
801-41-2, 18,19-Dinor-17 $\alpha$ -pregn-4-en-17-ol, 13-ethyl-, acetate,  
( $\pm$ )- 801-42-3, Gon-4-en-3-one, 17 $\alpha$ -allyl-17-hydroxy-13-propyl-,  
( $\pm$ )- 801-43-4, Gon-4-en-3-one, 13-ethyl-17 $\beta$ -hydroxy-17-(2-  
methylallyl)-, ( $\pm$ )- 801-69-4, Gona-1,3,5(10),8,14-pentaen-17-one,  
13-isopentyl-3-methoxy-, ( $\pm$ )- 803-07-6, Gona-2,5(10)-dien-17 $\beta$ -  
ol, 3-methoxy-13-propyl-17-(1-propynyl)-, ( $\pm$ )- 804-97-7,  
Gon-4-en-3-one, 13-ethyl-17 $\beta$ -hydroxy-, isovalerate, ( $\pm$ )-  
806-09-7, Gon-4-en-3-one, 13-ethyl-17 $\beta$ -hydroxy-, hydrogen succinate,  
( $\pm$ )- 810-60-6, Gona-1,3,5(10),8,14-pentaen-17-one,  
13-hexadecyl-3-methoxy-, ( $\pm$ )- 823-36-9, 1,3-Cyclopentanedione,  
2-ethyl- 824-19-1, 1,3-Cyclopentanedione, 2-isopropyl- 824-26-0,  
1,3-Cyclopentanedione, 2-propyl- 825-31-0, 1,3-Cyclopentanedione,  
2-butyl- 827-03-2, 1,3-Cyclopentanedione, 2-isopentyl- 829-34-5,  
1,3-Cyclopentanedione, 2-ethyl-, semicarbazone 845-85-2,  
9 $\beta$ -Gona-1,3,5(10)-trien-17-one, 13-ethyl-3-hydroxy-, ( $\pm$ )-  
845-88-5, Gona-1,3,5(10),8-tetraen-17-one, 13-ethyl-3-hydroxy-, ( $\pm$ )-  
845-89-6, Gona-1,3,5(10),8,14-pentaen-17-one, 13-ethyl-3-hydroxy-, ( $\pm$ )-  
847-94-9, D-Homogon-4-en-3-one, 13-ethyl-17 $\alpha\beta$ -hydroxy-, ( $\pm$ )-  
847-97-2, Gona-4,9-dien-3-one, 17 $\beta$ -hydroxy-13-propyl-, ( $\pm$ )-  
848-01-1, Gona-1,3,5(10)-trien-17 $\beta$ -ol, 13-ethyl-3-methoxy-, ( $\pm$ )-  
848-03-3, Gona-1,3,5(10),8-tetraen-17 $\beta$ -ol, 13-ethyl-3-methoxy-,  
( $\pm$ )- 848-05-5, Gona-1,3,5(10),9(11)-tetraen-17-one,  
13-ethyl-3-methoxy-, ( $\pm$ )- 848-07-7, Gona-1,3,5(10),8,14-pentaen-17-  
one, 13-ethyl-3-methoxy-, ( $\pm$ )- 850-75-9, Gon-4-en-3-one,  
17 $\beta$ -hydroxy-13-isobutyl-, ( $\pm$ )- 852-01-7, 1,3-Cyclopentanedione,  
2-hexadecyl- 852-76-6, Gon-4-en-17 $\beta$ -ol, 17-allyl-13-propyl-,  
( $\pm$ )- 852-80-2, 18,19-Dinor-17 $\alpha$ -pregna-2,5(10)-dien-17-ol,  
13-ethyl-3-methoxy-, ( $\pm$ )- 852-81-3, 18,19-Dinor-17 $\alpha$ -pregna-  
1,3,5(10)-trien-17-ol, 13-ethyl-3-methoxy-, ( $\pm$ )- 852-82-4,  
18,19-Dinor-17 $\alpha$ -pregna-1,3,5(10)-trien-20-yn-17-ol,  
13-ethyl-3-methoxy-, ( $\pm$ )- 852-84-6, 18,19-Dinor-17 $\alpha$ -pregna-  
1,3,5(10),8-tetraen-17-ol, 13-ethyl-3-methoxy-, ( $\pm$ )- 852-96-0,  
Gona-2,5(10)-dien-17 $\beta$ -ol, 3-methoxy-17-methyl-13-propyl-, ( $\pm$ )-  
852-99-3, Gona-1,3,5(10),8-tetraen-17-one, 13-ethyl-3-hydroxy-, acetate,  
( $\pm$ )- 854-53-5, Gona-1,3,5(10),8,14-pentaen-17-one,  
13-ethyl-3-methoxy-, cyclic ethylene acetal, ( $\pm$ )- 854-60-4,  
D-Homo-18,19-dinor-17 $\alpha\alpha$ -pregn-4-en-20-yn-3-one, 17 $\alpha$ -hydroxy-13-  
propyl-, ( $\pm$ )- 854-61-5, D-Homo-18,19-dinor-17 $\alpha\alpha$ -pregna-  
1,3,5(10)-trien-17 $\alpha$ -ol, 13-ethyl-3-methoxy-, ( $\pm$ )- 854-62-6,  
Gon-5(10)-en-3-one, 17 $\beta$ -hydroxy-13-propyl-17-(1-propynyl)-, ( $\pm$ )-

854-66-0, 18,19-Dinor-17 $\alpha$ -pregna-1,3,5(10)-trien-20-yn-17-ol,  
3-methoxy-13-propyl-, ( $\pm$ ) 854-67-1, Gon-5(10)-en-3-one,  
13-ethyl-17 $\beta$ -hydroxy-17-(2-methylallyl)-, ( $\pm$ )- 854-68-2,  
Gona-1,3,5(10)-trien-17 $\beta$ -ol, 13-ethyl-3-methoxy-17-propyl-, ( $\pm$ )-  
854-69-3, Gona-1,3,5(10)-trien-17 $\beta$ -ol, 17-allyl-13-ethyl-3-methoxy-,  
( $\pm$ )- 854-70-6, Gona-2,5(10)-dien-17 $\beta$ -ol, 13-ethyl-3-methoxy-17-  
(1-propynyl)-, ( $\pm$ )- 854-72-8, Gona-1,3,5(10),8-tetraen-17 $\beta$ -ol,  
17-allyl-13-ethyl-3-methoxy-, ( $\pm$ )- 856-79-1, Gona-2,5(10)-dien-  
17 $\beta$ -ol, 13-ethyl-3-methoxy-17-(2-methylallyl)-, ( $\pm$ )- 859-74-5,  
Gona-1,3,5(10),8,14-pentaen-17-one, 3-(benzyloxy)-13-ethyl-, ( $\pm$ )-  
863-45-6, D-Homogon-4-en-3-one, 17 $\alpha\beta$ -hydroxy-13-propyl-,  
hydrocinnamate, ( $\pm$ )- 896-51-5, Gona-1,3,5(10),9(11)-tetraen-17-one,  
13-ethyl-3-hydroxy-, ( $\pm$ )- 900-88-9, 18,19-Dinor-17 $\alpha$ -pregn-5(10)-  
en-3-one, 13-ethyl-17-hydroxy-, ( $\pm$ )- 901-17-7, Gona-2,5(10)-dien-  
17 $\beta$ -ol, 13-ethyl-3-methoxy-17-methyl-, ( $\pm$ )- 901-20-2,  
D-Homogon-4-en-3-one, 17 $\alpha\beta$ -hydroxy-13-propyl-, ( $\pm$ )- 901-22-4,  
Gon-4-en-3-one, 13-butyl-17 $\beta$ -hydroxy-, ( $\pm$ )- 902-68-1,  
D-Homo-18,19-dinor-17 $\alpha\alpha$ -pregn-5(10)-en-3-one, 13-ethyl-17 $\alpha$ -hydroxy-,  
( $\pm$ )- 902-69-2, Gon-4-en-3-one, 13-ethyl-17 $\beta$ -hydroxy-17-propyl-,  
( $\pm$ )- 904-88-1, Gon-4-en-3-one, 17 $\beta$ -hydroxy-13,17-dipropyl-,  
( $\pm$ )- 904-89-2, Gon-4-en-3-one, 17 $\beta$ -hydroxy-13-propyl-17-(1-  
propynyl)-, ( $\pm$ )- 904-90-5, Gona-2,5(10)-dien-17 $\beta$ -ol,  
13-ethyl-3-methoxy-17-propyl-, ( $\pm$ )- 904-92-7, Gona-2,5(10)-dien-  
17 $\beta$ -ol, 17-allyl-13-ethyl-3-methoxy-, ( $\pm$ )- 906-62-7,  
Gona-1,3,5(10)-trien-17 $\beta$ -ol, 17-allyl-3-methoxy-13-propyl-, ( $\pm$ )-  
912-31-2, Gon-4-en-3-one, 17 $\beta$ -hydroxy-13-propyl-, benzoate, ( $\pm$ )-  
913-96-2, D-Homogon-4-en-3-one, 13-ethyl-17 $\alpha\beta$ -hydroxy-,  
hydrocinnamate, ( $\pm$ )- 968-74-1, Gona-1,3,5(10)-trien-17-one,  
13-ethyl-3-methoxy-, ( $\pm$ )- 974-57-2, Gona-1,3,5(10)-trien-17 $\beta$ -ol,  
13-butyl-3-methoxy-, ( $\pm$ )- 974-58-3, Gona-1,3,5(10),8,14-pentaen-17-  
one, 13-ethyl-3-hydroxy-, acetate, ( $\pm$ )- 1038-28-4,  
Gona-2,5(10)-dien-17 $\beta$ -ol, 13-ethyl-3-methoxy, ( $\pm$ )- 1041-83-4,  
Gon-4-en-17 $\beta$ -ol, 17-allyl-13-ethyl-, ( $\pm$ )- 1042-20-2,  
Gon-5(10)-en-3-one, 17 $\beta$ -hydroxy-17-methyl-13-propyl-, ( $\pm$ )-  
1044-95-7, 18,19-Dinor-17 $\alpha$ -pregna-4,20-dien-3-one,  
17-hydroxy-13-propyl-, ( $\pm$ )- 1045-40-5, Gona-1,3,5(10)-trien-17 $\beta$ -  
ol, 3-methoxy-17-methyl-13-propyl-, ( $\pm$ )- 1045-43-8,  
D-Homogona-1,3,5(10)-trien-17 $\alpha\beta$ -ol, 3-methoxy-13-propyl-, ( $\pm$ )-  
1095-74-5, 18,19-Dinor-17 $\alpha$ -pregn-5(10)-en-20-yn-3-one,  
13-ethyl-17-hydroxy-, ( $\pm$ )- 1259-06-9, D-Homogon-4-en-3-one,  
17 $\alpha\beta$ -hydroxy-13-propyl-, decanoate, ( $\pm$ )- 1446-03-3,  
D-Homo-18,19-dinor-17 $\alpha\alpha$ -pregn-5(10)-en-20-yn-3-one,  
13-ethyl-17 $\alpha$ -hydroxy-, ( $\pm$ )- 1780-22-9, 18,19-Dinor-17 $\alpha$ -pregna-  
1,3,5(10),8-tetraen-20-yn-17-ol, 13-ethyl-3-methoxy-, ( $\pm$ ) 2322-76-1,  
Gona-1,3,5(10)-trien-17-one, 13-butyl-3-methoxy-, ( $\pm$ )- 2322-83-0,  
Gona-1,3,5(10),8,14-pentaen-17-one, 3-methoxy-13-propyl-, ( $\pm$ )-  
2322-85-2, Gona-1,3,5(10)-trien-17-one, 3-methoxy-13-propyl-, ( $\pm$ )-  
2322-86-3, Gona-1,3,5(10),8,14-pentaen-17-one, 3-methoxy-13-propyl-,  
cyclic ethylene acetal, ( $\pm$ )- 2322-95-4, Gona-1,3,5(10),9(11)-tetraen-  
17-one, 13-butyl-3-methoxy-, ( $\pm$ )- 2322-96-5, Gona-1,3,5(10)-trien-17-  
one, 13-butyl-3-hydroxy-, ( $\pm$ )- 2627-87-4, Gona-1,3,5(10)-trien-17-  
one, 3-methoxy-13-propyl-, cyclic ethylene acetal, ( $\pm$ )- 2627-90-9,  
Gona-1,3,5(10),8-tetraen-17-one, 3-methoxy-13-propyl-, ( $\pm$ )-  
2627-91-0, Gona-1,3,5(10)-trien-17-one, 3-hydroxy-13-propyl-, ( $\pm$ )-  
2753-85-7, Gona-1,3,5(10),8-tetraen-17-one, 3-methoxy-13-propyl-, cyclic  
ethylene acetal, ( $\pm$ )- 4472-76-8, D-Homo-18,19-dinor-17 $\alpha\alpha$ -pregn-  
4-en-3-one, 13-ethyl-17 $\alpha$ -hydroxy-, ( $\pm$ )- 4624-46-8, Gon-4-en-3-one,  
13-ethyl-17 $\beta$ -hydroxy-, benzoate, ( $\pm$ )- 4624-47-9, Gon-4-en-3-one,  
13-ethyl-17 $\beta$ -hydroxy-, nicotinate, ( $\pm$ )- 4659-60-3,  
Gon-4-en-3-one, 13-ethyl-17 $\beta$ -hydroxy-, decanoate, ( $\pm$ )-  
4659-62-5, Cyclopentanepropionic acid, ester with 13-ethyl-17 $\beta$ -  
hydroxygon-4-en-3-one, ( $\pm$ )- 4659-63-6, Gon-4-en-3-one,  
13-ethyl-17 $\beta$ -hydroxy-, phenylacetate, ( $\pm$ )- 4659-64-7,

Gon-4-en-3-one, 13-ethyl-17 $\beta$ -hydroxy-, hydrocinnamate, ( $\pm$ )-  
 4927-24-6, Gon-4-en-17-one, 13-propyl-, ( $\pm$ )- 5010-14-0,  
 18,19-Dinor-17 $\alpha$ -pregn-4-en-20-yn-17-ol, 13-propyl-, ( $\pm$ )-  
 5941-92-4, Gona-1,3,5(10),8-tetraen-17-one, 13-ethyl-3-methoxy-, ( $\pm$ )-  
 5972-58-7, Estr-4-en-3-one, 17 $\beta$ -hydroxy-, ( $\pm$ )- 6039-81-2,  
 Gona-1,3,5(10)-trien-17 $\beta$ -ol, 13-ethyl-3-methoxy-6 $\beta$ -methyl-,  
 ( $\pm$ )- 7093-92-7, 19-Nor-17 $\alpha$ -pregna-1,3,5(10),8-tetraen-20-yn-17-  
 ol, 3-methoxy-, ( $\pm$ )- 10161-26-9, 18,19-Dinor-17 $\alpha$ -pregna-  
 1,3,5(10),9(11)-tetraen-20-yn-17-ol, 13-ethyl-3-methoxy-, ( $\pm$ )-  
 10426-43-4, Gon-4-en-3-one, 17 $\beta$ -hydroxy-13-propyl-, ( $\pm$ )-  
 13563-37-6, Gon-4-en-3-one, 17 $\beta$ -hydroxy-17-methyl-13-propyl-, ( $\pm$ )-  
 13563-38-7, 18,19-Dinor-17 $\alpha$ -pregn-5(10)-en-20-yn-3-one,  
 17-hydroxy-13-propyl-, ( $\pm$ )- 13563-39-8, 18,19-Dinor-17 $\alpha$ -pregn-4-  
 en-20-yn-3-one, 17-hydroxy-13-propyl-, ( $\pm$ )- 13563-42-3,  
 18,19-Dinor-17 $\alpha$ -pregn-5(10)-en-20-yn-3-one, 13-butyl-17-hydroxy-,  
 ( $\pm$ )- 13563-43-4, 18,19-Dinor-17 $\alpha$ -pregn-4-en-20-yn-3-one,  
 13-butyl-17-hydroxy-, ( $\pm$ )- 13563-49-0, Gon-4-en-3-one,  
 17 $\beta$ -hydroxy-17-(2-methylallyl)-13-propyl-, ( $\pm$ )- 14531-24-9,  
 Gona-4,9-dien-3-one, 13-ethyl-17 $\beta$ -hydroxy-, hydrocinnamate, ( $\pm$ )-  
 14531-25-0, Gona-4,9-dien-3-one, 17 $\beta$ -hydroxy-13-propyl-,  
 hydrocinnamate, ( $\pm$ )- 14531-93-2, Gona-4,9-diene-3,17-dione,  
 13-propyl-, ( $\pm$ )- 15335-22-5, D-Homogona-1,3,5(10),8,14-pentaen-17a-  
 one, 13-ethyl-3-methoxy-, ( $\pm$ )- 15335-24-7, D-Homogona-1,3,5(10),8-  
 tetraen-17a $\beta$ -ol, 13-ethyl-3-methoxy-, ( $\pm$ )- 15335-29-2,  
 D-Homogona-1,3,5(10),8,14-pentaen-17a-one, 3-methoxy-13-propyl-, ( $\pm$ )-  
 15335-30-5, D-Homogona-1,3,5(10),8-tetraen-17a-one, 3-methoxy-13-propyl-,  
 ( $\pm$ )- 15335-31-6, D-Homogona-1,3,5(10),8-tetraen-17a $\beta$ -ol,  
 3-methoxy-13-propyl-, ( $\pm$ )- 17688-27-6, D-Homogona-1,3,5(10),8-tetraen-  
 17a-one, 13-ethyl-3-methoxy-, ( $\pm$ )- 19882-69-0, Gona-1,3,5(10),8-  
 tetraen-17 $\beta$ -ol, 13-ethyl-3-methoxy-17-propyl-, 20817-16-7,  
 Gona-1,3,5(10),8-tetraen-17-one, 13-isobutyl-3-methoxy-, ( $\pm$ )-  
 20817-27-0, Gona-1,3,5(10),8-tetraen-17 $\beta$ -ol, 13-butyl-3-methoxy-,  
 ( $\pm$ )- 20817-34-9, Gona-1,3,5(10),8-tetraen-17-one,  
 13-ethyl-3-methoxy-, cyclic ethylene acetal-, ( $\pm$ )- 20827-30-9,  
 Gon-5(10)-en-3-one, 17 $\beta$ -hydroxy-13-propyl-, ( $\pm$ )- 20827-31-0,  
 Gon-5(10)-en-3-one, 13-butyl-17 $\beta$ -hydroxy-, ( $\pm$ )- 20827-33-2,  
 Gon-5(10)-en-3-one, 17 $\beta$ -hydroxy-13-isobutyl-, ( $\pm$ )- 20827-40-1,  
 Gon-5(10)-en-3-one, 13-ethyl-17 $\beta$ -hydroxy-17-(1-propynyl)-, ( $\pm$ )-  
 20986-16-7, Gon-5(10)-en-3-one, 13-ethyl-17 $\beta$ -hydroxy-, ( $\pm$ )-  
 23944-76-5, 19-Nor-17 $\alpha$ -pregn-4-en-20-yn-3-one, 17-hydroxy-, ( $\pm$ )-  
 23944-78-7, 19-Nor-17 $\alpha$ -pregn-4-en-20-yn-3-one, 17-hydroxy-, acetate,  
 ( $\pm$ )- 25918-90-5, 19-Nor-17 $\alpha$ -pregna-1,3,5(10)-trien-20-yn-17-ol,  
 3-methoxy-, ( $\pm$ )- 25918-97-2, 19-Nor-17 $\alpha$ -pregn-5(10)-en-20-yn-3-  
 one, 17-hydroxy-, ( $\pm$ )- 32695-91-3, Gona-1,3,5(10)-trien-17-one,  
 13-ethyl-3-methoxy-, cyclic ethylene acetal-, ( $\pm$ )- 33811-44-8,  
 Gon-4-en-3-one, 17 $\beta$ -hydroxy-13-propyl-, hydrocinnamate, ( $\pm$ )-  
 33820-77-8, Gona-1,3,5(10)-trien-17 $\beta$ -ol, 13-isobutyl-3-methoxy-,  
 ( $\pm$ )- 99781-25-6, D-Homogona-4,9-diene-3,17a-dione, 13-ethyl-, ( $\pm$ )-  
 (preparation of)

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FILE 'USPATFULL' ENTERED AT 09:45:31 ON 16 DEC 2003

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FILE 'USPAT2' ENTERED AT 09:45:31 ON 16 DEC 2003

CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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L52 ANSWER 1 OF 6 USPATFULL on STN

AN 2003:127671 USPATFULL

TI Orally active androgens



IN Loozen, Hubert Jan Jozef, Uden, NETHERLANDS  
Leysen, Dirk, Lommel, BELGIUM  
Louw, Jaap van der, Oss, NETHERLANDS  
PI US 2003087886 A1 20030508  
AI US 2002-280038 A1 20021024 (10)  
RLI Division of Ser. No. US 2001-918626, filed on 31 Jul 2001, PENDING  
Division of Ser. No. US 2000-613350, filed on 11 Jul 2000, GRANTED, Pat.  
No. US 6313108  
PRAI EP 1999-202348 19990716  
DT Utility  
FS APPLICATION  
LREP INTERVET INC, 405 STATE STREET, PO BOX 318, MILLSBORO, DE, 19966  
CLMN Number of Claims: 9  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1098

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel, orally active androgens are 7 $\alpha$ -substituted  
 $\Delta$ .sup.14-nandrolone derivatives. The compounds satisfy the general  
formula: ##STR1##

wherein

R.sub.1 is O, (H,H), (H,OR), NOR, with R being hydrogen, (C.sub.1-6)  
alkyl, or (C,.sub.1-6) acyl;

R.sub.2 is selected from the group consisting of (C.sub.2-4) alkyl,  
(C.sub.2-4) alkenyl, or (C.sub.2-4) alkynyl, each optionally substituted  
by halogen; or

R.sub.2 is cyclopropyl, or cyclopropenyl, each optionally substituted by  
(C.sub.1-2) alkyl, or halogen;

R.sub.3 is hydrogen, (C.sub.1-2) alkyl, or ethenyl;

R.sub.4 is (C.sub.1-2) alkyl;

R.sub.5 is hydrogen, or (C.sub.1-15) acyl;

and the dotted lines indicate optional bonds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 293303-46-5P 293303-47-6P 300542-24-9P  
300542-25-0P

(preparation of orally active androgens)

L52 ANSWER 2 OF 6 USPATFULL on STN  
AN 2002:37889 USPATFULL  
TI Orally active androgens  
IN Loozen, Hubert Jan Jozef, Uden, NETHERLANDS  
Leysen, Dirk, Lommel, BELGIUM  
Louw, Jaap van der, Oss, NETHERLANDS  
PI US 2002022609 A1 20020221  
US 6541465 B2 20030401  
AI US 2001-918626 A1 20010731 (9)  
RLI Division of Ser. No. US 2000-613350, filed on 11 Jul 2000, GRANTED, Pat.  
No. US 6313108  
PRAI EP 1999-202348 19990716  
DT Utility  
FS APPLICATION  
LREP WILLIAM M. BLACKSTONE, AKZO NOBEL PATENT DEPARTMENT, SUITE 206, 1300  
PICCARD DRIVE, ROCKVILLE, MD, 20850  
CLMN Number of Claims: 9

ECL Exemplary Claim: 1

DRWN No Drawings

LN.CNT 1104

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel, orally active androgens are 7 $\alpha$ -substituted  $\Delta$ .sup.14-nandrolone derivatives. The compounds satisfy the general formula: ##STR1##

wherein

R.sub.1 is O, (H,H), (H,OR), NOR, with R being hydrogen, (C.sub.1-6) alkyl, or (C.sub.1-6) acyl;

R.sub.2 is selected from the group consisting of (C.sub.2-4) alkyl, (C.sub.2-4) alkenyl, or (C.sub.2-4) alkynyl, each optionally substituted by halogen; or

R.sub.2 is cyclopropyl, or cyclopropenyl, each optionally substituted by (C.sub.1-2) alkyl, or halogen;

R.sub.3 is hydrogen, (C.sub.1-2) alkyl, or ethenyl;

R.sub.4 is (C.sub.1-2) alkyl;

R.sub.5 is hydrogen, or (C.sub.1-15) acyl;

and the dotted lines indicate optional bonds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 293303-46-5P 293303-47-6P 300542-24-9P

300542-25-0P

(preparation of orally active androgens)

L52 ANSWER 3 OF 6 USPATFULL on STN

AN 2001:197077 USPATFULL

TI Steroid compounds having contraceptive and anti-osteoporosis activity

IN Loozen, Hubert Jan Jozef, Uden, Netherlands

PA Akzo Nobel N.V., Arnhem, Netherlands (non-U.S. corporation)

PI US 6313180 B1 20011106

AI US 2000-538783 20000330 (9)

RLI Continuation of Ser. No. US 1998-26348, filed on 19 Feb 1998, now patented, Pat. No. US 6077873

PRAI EP 1997-102884 19970221

DT Utility

FS GRANTED

EXNAM Primary Examiner: Badio, Barbara P.

LREP Sullivan, Michael G.

CLMN Number of Claims: 14

ECL Exemplary Claim: 1

DRWN 6 Drawing Figure(s); 6 Drawing Page(s)

LN.CNT 1197

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a steroid compound having the formula (I) ##STR1##

comprising a ring E, said ring sharing carbon atoms at position 16 and 17 with the five-membered ring D and being  $\alpha$  with respect to said D-ring. In addition, the carbon atom at position 17 is substituted with an oxygen atom-comprising group through a CO bond. The invention also relates to a pharmaceutical composition comprising said steroid compound. The steroid compounds of the present invention are very suitable for use in the prevention or treatment of peri-menopausal or menopausal complaints, more preferably the prevention or treatment of

osteoporosis. Furthermore, the steroid compounds of the present invention can be used for contraceptive purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 213889-77-1P

(preparation of steroid compds. having contraceptive and antiosteoporosis activity)

L52 ANSWER 4 OF 6 USPATFULL on STN  
AN 2001:197006 USPATFULL  
TI Orally active androgens  
IN Loozen, Hubert Jan Jozef, Uden, Netherlands  
Leysen, Dirk, Lommel, Belgium  
van der Louw, Jaap, Oss, Netherlands  
PA Akzo Nobel N.V., Arnhem, Netherlands (non-U.S. corporation)  
PI US 6313108 B1 20011106  
AI US 2000-613350 20000711 (9)  
PRAI EP 1999-202348 19990716  
DT Utility  
FS GRANTED  
EXNAM Primary Examiner: Qazi, Sabiha  
LREP Blackstone, William M.  
CLMN Number of Claims: 11  
ECL Exemplary Claim: 1  
DRWN No Drawings  
LN.CNT 1084

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel, orally active androgens are  $7\alpha$ -substituted  $\Delta$ .sup.14  
-nandrolone derivatives. The compounds satisfy the general formula:  
##STR1##

wherein

R.sub.1 is O, (H,H), (H,OR), NOR, with R being hydrogen, (C.sub.1-6)  
alkyl, or (C.sub.1-6) acyl;

R.sub.2 is selected from the group consisting of (C.sub.2-4) alkyl,  
(C.sub.2-4) alkenyl, or (C.sub.2-4) alkynyl, each optionally substituted  
by halogen; or

R.sub.2 is cyclopropyl, or cyclopropenyl, each optionally substituted by  
(C.sub.1-2) alkyl, or halogen;

R.sub.3 is hydrogen, (C.sub.1-2) alkyl, or ethenyl;

R.sub.4 is (C.sub.1-2) alkyl;

R.sub.5 is hydrogen, or (C.sub.1-15) acyl;

and the dotted lines indicate optional bonds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 293303-46-5P 293303-47-6P 300542-24-9P  
300542-25-0P

(preparation of orally active androgens)

L52 ANSWER 5 OF 6 USPATFULL on STN  
AN 2000:77388 USPATFULL  
TI Steroid compounds having contraceptive and anti-osteoporosis activity  
IN Loozen, Hubert Jan Jozef, Uden, Netherlands  
PA Akzo Nobel N.V., Arnhem, Netherlands (non-U.S. corporation)  
PI US 6077873 20000620  
AI US 1998-26348 19980219 (9)

PRAI EP 1997-102884 19970221  
DT Utility  
FS Granted  
EXNAM Primary Examiner: Badio, Barbara  
LREP Sullivan, Michael G.  
CLMN Number of Claims: 7  
ECL Exemplary Claim: 1  
DRWN 6 Drawing Figure(s); 6 Drawing Page(s)  
LN.CNT 929

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a steroid compound having the formula (I) ##STR1## comprising a ring E, said ring sharing carbon atoms at position 16 and 17 with the five-membered ring D and being  $\alpha$  with respect to said D-ring. In addition, the carbon atom at position 17 is substituted with an oxygen atom-comprising group through a CO bond. The invention also relates to a pharmaceutical composition comprising said steroid compound. The steroid compounds of the present invention are very suitable for use in the prevention or treatment of peri-menopausal or menopausal complaints, more preferably the prevention or treatment of osteoporosis. Furthermore, the steroid compounds of the present invention can be used for contraceptive purposes.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 213889-77-1P

(preparation of steroid compds. having contraceptive and antiosteoporosis activity)

L52 ANSWER 6 OF 6 USPAT2 on STN  
AN 2002:37889 USPAT2  
TI Orally active androgens  
IN Loozen, Hubert Jan Jozef, Uden, NETHERLANDS  
Leysen, Dirk, Lommel, BELGIUM  
van der Louw, Jaap, Oss, NETHERLANDS  
PA Akzo Nobel N.V., Arnhem, NETHERLANDS (non-U.S. corporation)  
PI US 6541465 B2 20030401  
AI US 2001-918626 20010731 (9)  
RLI Division of Ser. No. US 2000-613350, filed on 11 Jul 2000, now patented, Pat. No. US 6313108  
PRAI EP 1999-202348 19990716  
DT Utility  
FS GRANTED  
EXNAM Primary Examiner: Qazi, Sabiha  
LREP Ramey, III, William P., Blackstone, William M.  
CLMN Number of Claims: 4  
ECL Exemplary Claim: 1  
DRWN 0 Drawing Figure(s); 0 Drawing Page(s)  
LN.CNT 1065

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Novel, orally active androgens are 7 $\alpha$ -substituted  $\Delta$ .sup.14-nandrolone derivatives. The compounds satisfy the general formula: ##STR1##

wherein

R.sub.1 is O, (H,H), (H,OR), NOR, with R being hydrogen, (C.sub.1-6) alkyl, or (C.sub.1-6) acyl;

R.sub.2 is selected from the group consisting of (C.sub.2-4) alkyl, (C.sub.2-4) alkenyl, or (C.sub.2-4) alkynyl, each optionally substituted by halogen; or

R.sub.2 is cyclopropyl, or cyclopropenyl, each optionally substituted by (C.sub.1-2) alkyl, or halogen;

R.sub.3 is hydrogen, (C.sub.1-2) alkyl, or ethenyl;

R.sub.4 is (C.sub.1-2) alkyl;

R.sub.5 is hydrogen, or (C.sub.1-15) acyl;

and the dotted lines indicate optional bonds.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

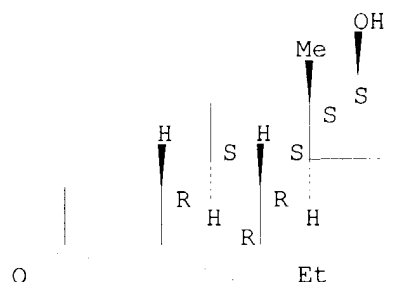
IT 293303-46-5P 293303-47-6P 300542-24-9P

300542-25-0P

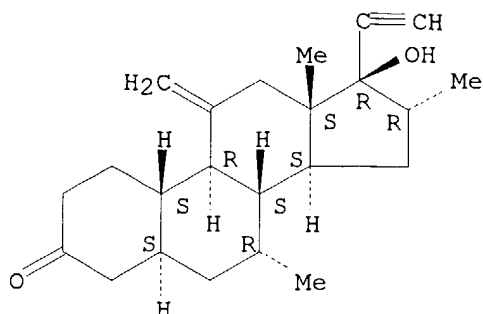
(preparation of orally active androgens)

=>

Absolute stereochemistry.



L44	ANSWER 1 OF 1 HCAOLD COPYRIGHT 2003 ACS on STN					
AN	CA62:1704c CAOLD					
TI	totally synthetic steroid hormones - (II) 13 $\beta$ -alkylgona-1,3,5(10)-trienes, 13 $\beta$ -alkygon-4-en-3-ones, and related compds.					
AU	Smith, Herchel; et al.					
IT	791-39-9	793-55-5	795-50-6	797-58-0	797-86-4	797-89-7
	797-90-0	797-92-2	799-42-8	799-68-8	799-71-3	801-42-3
	801-43-4	801-69-4	802-77-7	803-07-6	804-97-7	806-09-7
	807-23-8	808-27-5	808-89-9	808-90-2	810-07-1	810-60-6
	823-36-9	824-19-1	824-26-0	825-30-9	825-31-0	827-03-2



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L8 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2001:64010 CAPLUS  
DOCUMENT NUMBER: 134:101064  
TITLE: Preparation of orally active androgens  
INVENTOR(S): Loozen, Hubert Jan Jozef; Leysen, Dirk; Van der Louw, Jaap  
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.  
SOURCE: PCT Int. Appl., 31 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001005806	A1	20010125	WO 2000-EP6544	20000710
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000012489	A	20020402	BR 2000-12489	20000710
EP 1203011	A1	20020508	EP 2000-953032	20000710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2003505394	T2	20030212	JP 2001-511464	20000710
NZ 516525	A	20030630	NZ 2000-516525	20000710
US 6313108	B1	20011106	US 2000-613350	20000711
US 2002022609	A1	20020221	US 2001-918626	20010731
US 6541465	B2	20030401		
NO 2002000222	A	20020125	NO 2002-222	20020115
US 2003087886	A1	20030508	US 2002-280038	20021024
PRIORITY APPLN. INFO.:				
			EP 1999-202348	A 19990716
			WO 2000-EP6544	W 20000710
			US 2000-613350	A3 20000711
			US 2001-918626	A3 20010731

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present  
NEWS 4 AUG 05 New pricing for EUROPATFULL and PCTFULL effective  
August 1, 2003  
NEWS 5 AUG 13 Field Availability (/FA) field enhanced in BEILSTEIN  
NEWS 6 AUG 18 Data available for download as a PDF in RDISCLOSURE  
NEWS 7 AUG 18 Simultaneous left and right truncation added to PASCAL  
NEWS 8 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right  
Truncation  
NEWS 9 AUG 18 Simultaneous left and right truncation added to ANABSTR  
NEWS 10 SEP 22 DIPPR file reloaded  
NEWS 11 DEC 08 INPADOC: Legal Status data reloaded  
NEWS 12 SEP 29 DISSABS now available on STN  
NEWS 13 OCT 10 PCTFULL: Two new display fields added  
NEWS 14 OCT 21 BIOSIS file reloaded and enhanced  
NEWS 15 OCT 28 BIOSIS file segment of TOXCENTER reloaded and enhanced  
NEWS 16 NOV 24 MSDS-CCOHS file reloaded  
NEWS 17 DEC 08 CABA reloaded with left truncation  
NEWS 18 DEC 08 IMS file names changed  
NEWS 19 DEC 09 Experimental property data collected by CAS now available  
in REGISTRY  
NEWS 20 DEC 09 STN Entry Date available for display in REGISTRY and  
CA/CaPlus  
  
NEWS EXPRESS NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT  
MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),  
AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003  
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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 13:43:39 ON 13 DEC 2003

=> file reg

COST IN U.S. DOLLARS

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ENTRY	SESSION
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FILE 'REGISTRY' ENTERED AT 13:44:13 ON 13 DEC 2003

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STRUCTURE FILE UPDATES: 12 DEC 2003 HIGHEST RN 626603-92-7

DICTIONARY FILE UPDATES: 12 DEC 2003 HIGHEST RN 626603-92-7

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<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>

Uploading 09937274.str

L1 STRUCTURE UPLOADED

=> s 11

SAMPLE SEARCH INITIATED 13:44:31 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 27145 TO ITERATE

3.7% PROCESSED 1000 ITERATIONS

0 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 533060 TO 552740

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s 11 full

FULL SEARCH INITIATED 13:44:38 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 546299 TO ITERATE

73.2% PROCESSED 400000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.04

912 ANSWERS

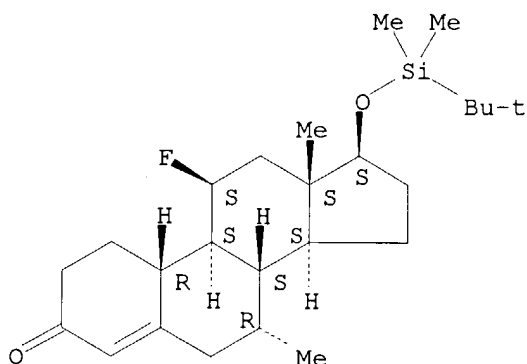
FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 546299 TO 546299  
PROJECTED ANSWERS: 1140 TO 1350

L3 912 SEA SSS FUL L1

=> d 13 1-3

L3 ANSWER 1 OF 912 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 607716-57-4 REGISTRY  
CN Estr-4-en-3-one, 17-[[ (1,1-dimethylethyl)dimethylsilyl]oxy]-11-fluoro-7-methyl-, (7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C25 H41 F O2 Si  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

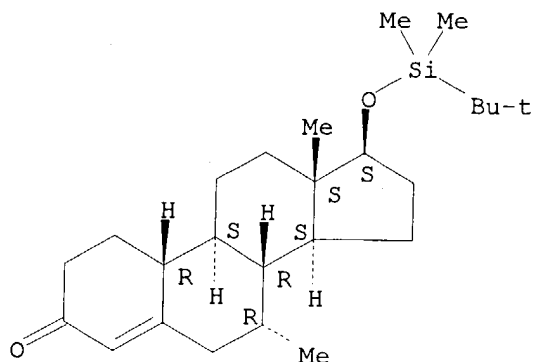


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 2 OF 912 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 607716-56-3 REGISTRY  
CN Estr-4-en-3-one, 17-[[ (1,1-dimethylethyl)dimethylsilyl]oxy]-7-methyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C25 H42 O2 Si  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.

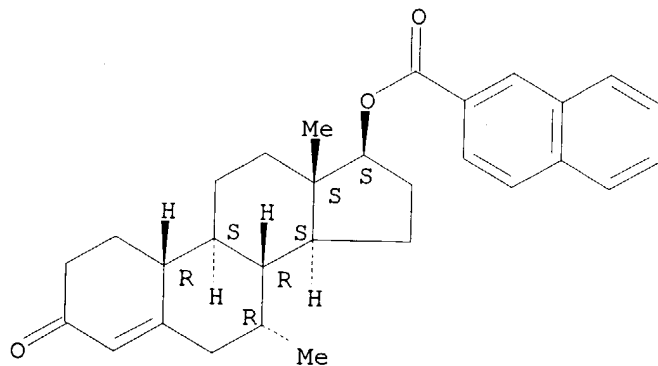


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L3 ANSWER 3 OF 912 REGISTRY COPYRIGHT 2003 ACS on STN  
RN 595563-11-4 REGISTRY  
CN Estr-4-en-3-one, 7-methyl-17-[(2-naphthalenylcarbonyl)oxy]-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C30 H34 O3  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> file caplus

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE

ENTRY

153.99

TOTAL

SESSION

154.20

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FILE COVERS 1907 - 13 Dec 2003 VOL 139 ISS 25  
 FILE LAST UPDATED: 12 Dec 2003 (20031212/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L4 264 L3

=> s 14 and 1,2-propadienyl

7753212 1

7915042 2

282 PROPADIENYL

100 1,2-PROPADIENYL

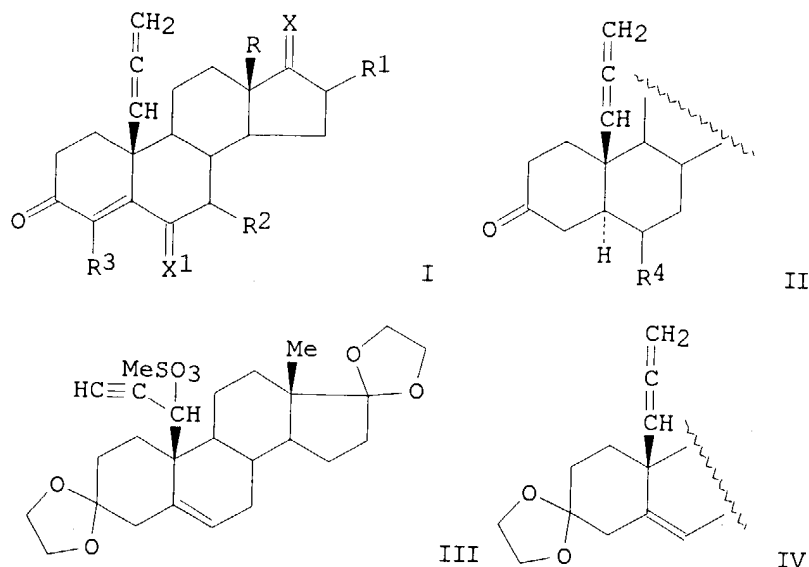
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L5 1 L4 AND 1,2-PROPADIENYL

=> d 15 all

L5 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 1982:104601 CAPLUS  
 DN 96:104601  
 ED Entered STN: 12 May 1984  
 TI 10-(1,2-Propadienyl) steroids as  
 irreversible aromatase inhibitors  
 IN Metcalf, Brian W.; Johnston, J. O'Neal  
 PA Merrell Dow Pharmaceuticals, Inc., USA  
 SO U.S., 13 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 IC A61K031-56; A61K031-58  
 NCL 424242000  
 CC 32-4 (Steroids)  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4289762	A	19810915	US 1980-163453	19800627
	ZA 8104219	A	19820728	ZA 1981-4219	19810622
	CA 1173432	A1	19840828	CA 1981-380342	19810622
	IL 63142	A1	19850430	IL 1981-63142	19810622
	DE 3124719	A1	19820318	DE 1981-3124719	19810624
	DE 3124719	C2	19940303		
	SE 8103988	A	19811228	SE 1981-3988	19810625
	SE 448878	B	19870323		
	SE 448878	C	19870702		
	CH 647532	A	19850131	CH 1981-4213	19810625
	DK 8102844	A	19811228	DK 1981-2844	19810626
	DK 163129	B	19920120		
	DK 163129	C	19920609		
	BE 889404	A1	19811228	BE 1981-205232	19810626
	FR 2485544	A1	19811231	FR 1981-12643	19810626
	FR 2485544	B1	19831216		
	GB 2078750	A	19820113	GB 1981-19814	19810626
	GB 2078750	B2	19840822		
	NL 8103098	A	19820118	NL 1981-3098	19810626
	ES 503451	A1	19831101	ES 1981-503451	19810626
	AT 8102858	A	19841015	AT 1981-2858	19810626
	AT 377989	B	19850528		
	JP 57038798	A2	19820303	JP 1981-99097	19810627
	JP 01021158	B4	19890419		
	AU 8172369	A1	19820107	AU 1981-72369	19810630
	AU 539215	B2	19840913		
	NO 8102509	A	19830124	NO 1981-2509	19810722
	NO 156694	B	19870727		
	NO 156694	C	19871104		
	NO 8601695	A	19830124	NO 1986-1695	19860429
	NO 158380	B	19880524		
	NO 158380	C	19880831		
PRAI	US 1980-163453		19800627		
GI	NO 1981-2509		19810722		



AB Propadienylestrenones I and II [R = Me, Et; R1, R2, R4 = H, C1-3 alkyl;  
R3

= H, acyloxy; X = O, H, acyloxy; X1 = H2, O, H, alkyl] and their unsatd.  
derivs. were prepd. as aromatase inhibitors. Thus, the  
ethynylandrostenal

mesylate III was treated with NaAl(OCH2CH2OMe)2H2 in PhMe at -20.degree.  
for 12 h to give the allene IV, which underwent acid-catalyzed ketal

hydrolysis to give 10-(1,2-propadienyl  
)estr-4-ene-3,17-dione (V). Dehydrogenation of V gave 10-(1,

2-propadienyl)estra-1,4-diene-3,17-dione, 10-(1,  
,2-propadienyl)estra-4,6-diene-3,17-dione, and 10-(

1,2-propadienyl)estra-1,4,6-triene-3,17-dione.

V is irreversibly bound to aromatase with an affinity for the enzyme site  
3 times greater than that of testosterone.

ST propadienylestrenedione prepn aromatase inhibition; allene estrenedione  
aromatase inhibitor

IT 19-Norsteroids

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, of propadienyl derivs.)

IT 9039-48-9

RL: PROC (Process)  
(inhibition of, by propadienylesterenones)

IT 80951-42-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(mesylation of)

IT 80899-59-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and acetylation of)

IT 77832-38-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and aromatase inhibiting activity of)

IT 80899-66-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)  
 (prepn. and dehydration of)  
 IT 80899-63-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. and dehydrogenation of)  
 IT 80899-57-6P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. and elimination reaction of)  
 IT 80899-58-7P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (prepn. and hydrolysis of)  
 IT 80899-59-8P 80899-60-1P 80899-61-2P 80899-62-3P 80899-64-5P  
 80899-65-6P 80899-67-8P **80899-68-9P** 80899-69-0P  
 80899-70-3P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)

=> d his

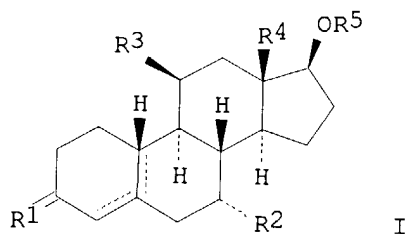
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 L2 0 S L1  
 L3 912 S L1 FULL  
 FILE 'CAPLUS' ENTERED AT 13:46:00 ON 13 DEC 2003  
 L4 264 S L3  
 L5 1 S L4 AND 1,2-PROPADIENYL

=> s l4 and isopropenyl  
 6862 ISOPROPENYL  
 L6 1 L4 AND ISOPROPENYL

=> d l6 all

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN  
 AN 2000:733601 CAPLUS  
 DN 133:281951  
 ED Entered STN: 17 Oct 2000  
 TI synthesis and activity of orally active androgens  
 IN Van der Louw, Jaap; Leysen, Dirk; Buma Bursi, Roberta  
 PA Akzo Nobel N. V., Neth.  
 SO PCT Int. Appl., 32 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 IC ICM C07J001-00  
 CC 32-3 (Steroids)  
 Section cross-reference(s): 2  
 FAN.CNT 1  
 PATENT NO. KIND DATE APPLICATION NO. DATE

PI	WO 2000059920	A2	20001012	WO 2000-EP2851	20000331
	WO 2000059920	A3	20010215		
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	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1043330	A1	20001011	EP 1999-201070	19990406
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EP 1212345	A2	20020612	EP 2000-936686	20000331
	EP 1212345	B1	20030806		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
	JP 2002541153	T2	20021203	JP 2000-609430	20000331
	AT 246703	E	20030815	AT 2000-936686	20000331
PRAI	EP 1999-201070	A	19990406		
	WO 2000-EP2851	W	20000331		
OS	MARPAT 133:281951				
GI					



AB Novel, orally active androgens (I) [R1 = O, (H, H), (H, OR), NOR, with R = H, alkyl, or acyl; R2 = alkyl, CHMe2, alkenyl, **isopropenyl**, propadienyl, or alkynyl, each optionally substituted by halogen; or R2 = cyclopropyl, or cyclopropenyl, each optionally substituted by alkyl, or halogen; R3 = H, alkyl, or ethenyl; R4 = alkyl; R5 = H, or acyl; and the dotted lines indicate optional bonds] are derivs. of 7.alpha.-methyl-19-nortestosterone. Thus, I (R1 = O, R2 = Et, R3 = H, R4 = Me, R5 = H, bond 4 5 double, bond 5 10 single) (II) is prepd. by copper catalyzed alkylation of (17.beta.)-17-[[ (1,1-dimethylethyl)dimethylsilyl]oxy]estra-4,6-dien-3-one followed by trimethylsilylation of keto and desilylation with hydrochloric acid. II shows an ED50 of 2.5 mg/kg in assay to suppress serum LH.

ST nortestosterone methyl analog prepn; orally active androgen insufficiency treatment; male contraceptive kit progestogen oral

IT Progestogens

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)



- (for male contraceptive kit; synthesis and activity of orally active androgens)
- IT Androgens  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (insufficiency treatment; synthesis and activity of orally active androgens)
- IT Contraceptives  
 (male, kit of progestagen; synthesis and activity of orally active androgens)
- IT 32297-29-3P 293303-47-6P 300542-15-8P  
 300542-16-9P 300542-17-0P 300542-18-1P  
 300542-19-2P 300542-20-5P 300542-21-6P  
 300542-22-7P 300542-23-8P 300542-24-9P  
 300542-25-0P 300542-26-1P 300542-27-2P  
 300542-28-3P 300542-29-4P 300542-30-7P  
 300542-31-8P 300542-32-9P 300542-33-0P 300542-34-1P  
 RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synthesis and activity of orally active androgens)
- IT 300542-83-0P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (synthesis and activity of orally active androgens)
- IT 62-23-7, 4-Nitrobenzoic acid 74-96-4, Bromoethane 105-53-3, Diethyl malonate 540-63-6, 1,2-Ethanedithiol 1530-32-1, Ethyltriphenylphosphonium bromide 2590-41-2 3536-96-7, Vinylmagnesium chloride 5293-84-5, (Chloromethyl)triphenylphosphonium chloride 13154-15-9 21800-83-9 56896-41-4 116506-60-6 133152-37-1 213890-36-9  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (synthesis and activity of orally active androgens)
- IT 153004-23-0P 213889-77-1P 293303-46-5P 300542-35-2P  
 300542-36-3P 300542-37-4P 300542-38-5P 300542-39-6P  
 300542-40-9P 300542-41-0P 300542-42-1P 300542-43-2P  
 300542-44-3P 300542-45-4P 300542-46-5P 300542-47-6P  
 300542-48-7P 300542-49-8P 300542-50-1P 300542-51-2P  
 300542-52-3P 300542-53-4P 300542-54-5P 300542-55-6P 300542-56-7P  
 300542-57-8P 300542-58-9P 300542-59-0P 300542-60-3P  
 300542-61-4P 300542-62-5P 300542-63-6P 300542-64-7P 300542-65-8P  
 300542-66-9P 300542-67-0P 300542-68-1P 300542-69-2P 300542-70-5P  
 300542-71-6P 300542-72-7P 300542-73-8P 300542-74-9P 300542-75-0P  
 300542-76-1P 300542-77-2P 300542-78-3P 300542-79-4P  
 300542-80-7P 300542-81-8P 300542-82-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis and activity of orally active androgens)

=> s 14 and isopropyl

68087 ISOPROPYL

L7 0 L4 AND ISOPROPYL

=&gt; s 14 and acyl

94187 ACYL

L8 20 L4 AND ACYL

=&gt; d 18 ibib abs hitstr 1-20

L8 ANSWER 1 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:511349 CAPLUS

DOCUMENT NUMBER: 139:69427

TITLE: Preparation of androgenic 14.alpha.,17.alpha.-ethenosteroids

INVENTOR(S): Leysen, Dirk; Cals, Joseph Maria Gerardus Barbara

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.

SOURCE: PCT Int. Appl., 9 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

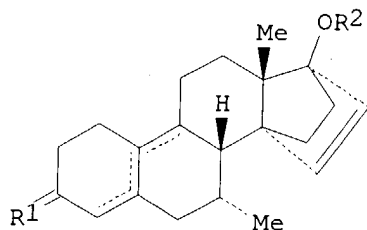
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003053993	A1	20030703	WO 2002-EP14282	20021216
W: AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, RO, RU, SG, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.:

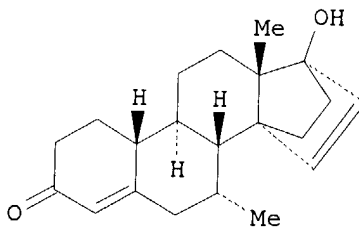
EP 2001-205154 A 20011221

OTHER SOURCE(S): MARPAT 139:69427

GI



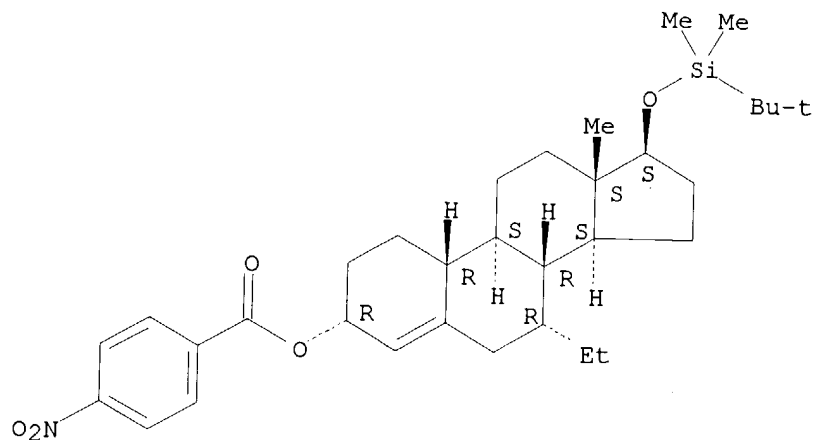
I



II

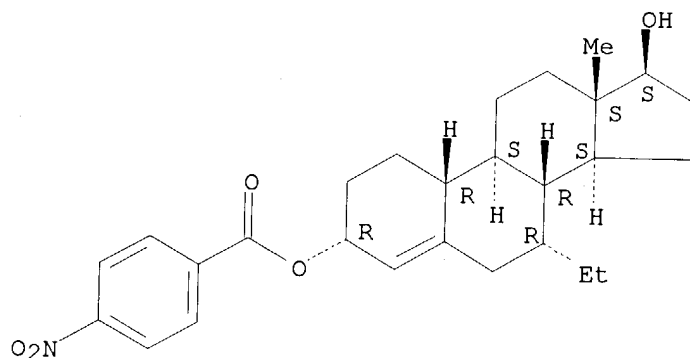
AB Ethenosteroids of formula I [R<sub>1</sub> = O, H<sub>2</sub>, OH, NOH wherein OH is optionally etherified or esterified; R<sub>2</sub> = H, **acyl**] are prepd. for use as androgenic medicines. Thus, II was prepd. and had 60.5% androgenic activity in Chinese hamster ovary cells transfected with human androgen receptor.

IT 551960-35-1P



RN 300542-82-9 CAPLUS  
 CN Estr-4-ene-3,17-diol, 7-ethyl-, 3-(4-nitrobenzoate),  
 (3.alpha.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2000:646025 CAPLUS  
 DOCUMENT NUMBER: 133:238171  
 TITLE: preparation of 14.beta.,17.alpha.-  
 hydroxymethylandrostane derivatives as androgens  
 INVENTOR(S): Loozen, Hubert Jan Jozef; Leysen, Dirk; Van der Louw,  
 Jaap  
 PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.  
 SOURCE: PCT Int. Appl., 66 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000053619	A1	20000914	WO 2000-EP1755	20000302
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2359218	AA	20000914	CA 2000-2359218	20000302
EP 1163259	A1	20011219	EP 2000-909281	20000302
EP 1163259	B1	20021120		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539135	T2	20021119	JP 2000-604054	20000302
AT 228143	E	20021215	AT 2000-909281	20000302
PRIORITY APPLN. INFO.:			EP 1999-200665	A 19990308
			WO 2000-EP1755	W 20000302
OTHER SOURCE(S):			MARPAT 133:238171	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I wherein R1 = O, (H,H), (H, OR), NOR, R = H, (C1-6) alkyl, (C1-6) **acyl**; R2 = H, (C1-6) alkyl, or halo; R3 = H, (C1-6) alkyl, (C2-6) alkenyl, (C2-6) alkynyl; R4 = H, halo, or cyano; or R4 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, (C2-6) alkynyl; R5 = H, or (C1-6) alkyl; R6 = H, (C1-6) alkoxy, or halo; or R6 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, (C2-6) alkynyl, a (C1-6) alkylidene group, or a (C2-6) alkylidene group; R7 = H, or (C1-6) alkyl; R8 = (C1-6) alkyl; R9 = H, halo cyano; or R9 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, or (C2-6) alkynyl; R10 = H, (C1-6) alkoxy, halo, or cyano; or R10 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl or (C2-6) alkynyl; R10 R11 may form a cyclopropane ring; R11 = H, (C1-6) alkoxy, halo, cyano; or R11 = (un)substituted (C2-6) alkenyl or (C2-6) alkynyl, R11 R10 may form a cyclopropane ring; R12 = H, OH, halo, or cyano; or R12 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl or (C2-6) alkynyl; R13, R14 = H, cyano, (un)substituted Ph; or R13, R14 = (un)substituted (C1-6) alkyl, (C2-6) alkenyl, (C3-6) cycloalkyl, (C5-6) cycloalkenyl, (C2-6) alkynyl; R13 R14 may form a (C3-6) cycloalkane ring or a (C5-6) cycloalkene ring; R15 = H, SO3H, (C1-6) alkyl, (C1-15) **acyl**; and the dotted lines indicate optional bonds were prepd. I is not 20-hydroxy-14.beta.,17.alpha.-19-norpregn-4-en-3-one, (3.beta.,5.alpha.,14.beta.,17.alpha.)-pregna-3,20-diol, (3.beta.,14.beta.,17.alpha.)-pregna-5,9(11)-dien-3,20-diol, and (14.beta.,17.alpha.)-20-hydroxy-19-norpregn-4-en-3-one. Thus, a soln. of (14.beta.,17.alpha.)-3-methoxyestra-2,5(10)-diene-17-methanol (II) in a mixt. of methanol and THF was treated with a soln. of oxalic acid in water, after 1.5 h stirring at room temp., the reaction mixt. was poured into water and the product was extd. with Et acetate, the combined org. phase were washed with satd. aq. soln. of sodium bicarbonate and brine, dried over sodium sulfate and concd. under reduced pressure, column chromatog. afforded (14.beta.,17.alpha.)-17-(hydroxymethyl)estr-5(10)-en-3-

one (III). I were screened for androgenic activity. They can be used for the prepn. of an agent for male contraception, as well as for the prepn. of a medicament for the treatment of androgen insufficiency.

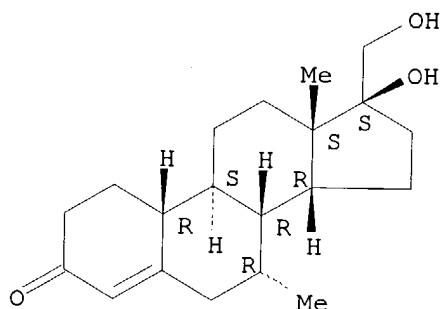
IT **293303-45-4P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of 14.beta., 17.alpha.-hydroxymethylandrostande derivs. as androgens)

RN 293303-45-4 CAPLUS

CN Estr-4-en-3-one, 17-hydroxy-17-(hydroxymethyl)-7-methyl-, (7.alpha.,14.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



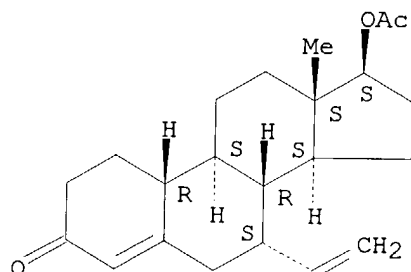
IT **293303-46-5P 293303-47-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (prepn. of 14.beta., 17.alpha.-hydroxymethylandrostande derivs. as androgens)

RN 293303-46-5 CAPLUS

CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethenyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

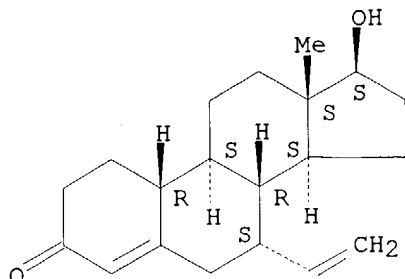
Absolute stereochemistry.



RN 293303-47-6 CAPLUS

CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1999:811541 CAPLUS

DOCUMENT NUMBER: 132:50159

TITLE: Preparation and pharmaceutical compositions. of 14,15-cyclopropanoandrostanes

INVENTOR(S): Ring, Sven; Schwarz, Sigfrid; Elger, Walter; Schneider, Birgitt; Kaufmann, Guenter; Sobek, Lothar

PATENT ASSIGNEE(S): Jenapharm G.m.b.H. und Co. K.-G., Germany

SOURCE: Ger. Offen., 8 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

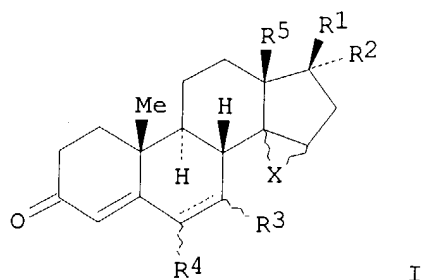
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19827523	A1	19991223	DE 1998-19827523	19980622
WO 9967275	A1	19991229	WO 1999-DE1794	19990618
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9952787	A1	20000110	AU 1999-52787	19990618
EP 1090028	A1	20010411	EP 1999-938195	19990618
EP 1090028	B1	20020123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AT 212352	E	20020215	AT 1999-938195	19990618
JP 2002518517	T2	20020625	JP 2000-555926	19990618
PT 1090028	T	20020731	PT 1999-99938195	19990618
ES 2172343	T3	20020916	ES 1999-938195	19990618
US 6534490	B1	20030318	US 2000-720135	20001221
PRIORITY APPLN. INFO.:			DE 1998-19827523 A	19980622

OTHER SOURCE(S):  
GI

MARPAT 132:50159

WO 1999-DE1794 W 19990618



AB The title compds. I (R1 = H, OH, alkoxy, aryloxy, carbamoyl, alkoxy carbonyl, etc.; R2 = H, HO, alkyl, **acyl**, aryl, aralkyl, etc.; R3, R4 = H, .alpha.- or .beta.-alkyl; R5 = alkyl) were prep'd. for hormone therapy (no data). Pharmaceutical compns. were discussed (no data). Thus,

17.beta.-acetoxy-3,5-cyclo-6.beta.-methoxy-14.beta.,15.beta.-methyleneandrostane was oxidized with perchloric acid to give 17.beta.-hydroxy-14.beta.,15.beta.-methyleneandrost-4-en-3-one, which underwent dehydrogenation followed by methylation with MeMgI to give

17.beta.-hydroxy-7.alpha.-methyl-14.beta.,15.beta.-methyleneandrost-4-en-3-one.

IT 252846-62-1P 252846-75-6P 252846-76-7P  
252846-77-8P 252846-85-8P 252846-86-9P  
252846-87-0P 252846-88-1P

RL: BAC (Biological activity or effector, except adverse); BSU

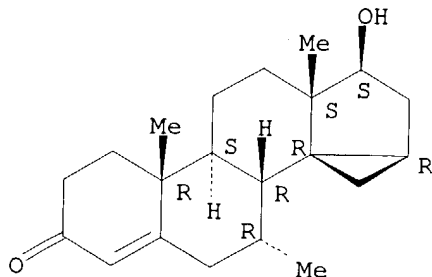
(Biological

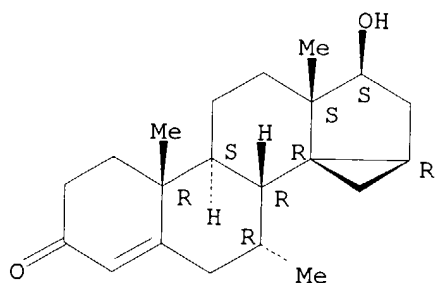
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. and pharmaceutical compns. of 14,15-cyclopropanoandrostanes)

RN 252846-62-1 CAPLUS

CN Cycloprop[14,15]androst-4-en-3-one, 3',15-dihydro-17-hydroxy-7-methyl-, (7.alpha.,14R,15.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

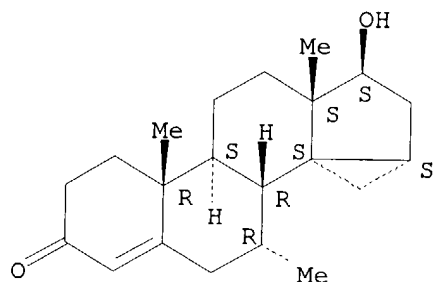




RN 252846-75-6 CAPLUS

CN Cycloprop[14,15]androst-4-en-3-one, 3',15-dihydro-17-hydroxy-7-methyl-,  
(7.alpha.,14S,15.beta.,17.beta.)- (9CI) (CA INDEX NAME)

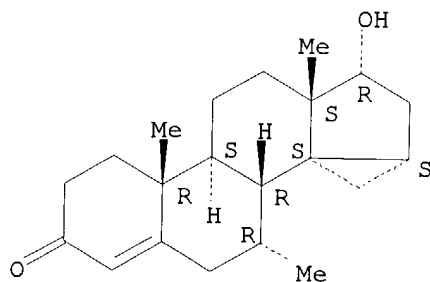
Absolute stereochemistry.



RN 252846-76-7 CAPLUS

CN Cycloprop[14,15]androst-4-en-3-one, 3',15-dihydro-17-hydroxy-7-methyl-,  
(7.alpha.,14S,15.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

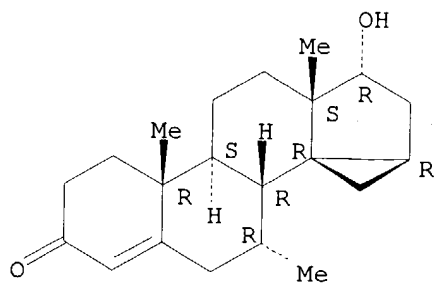


RN 252846-77-8 CAPLUS

CN Cycloprop[14,15]androst-4-en-3-one, 3',15-dihydro-17-hydroxy-7-methyl-,  
(7.alpha.,14R,15.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

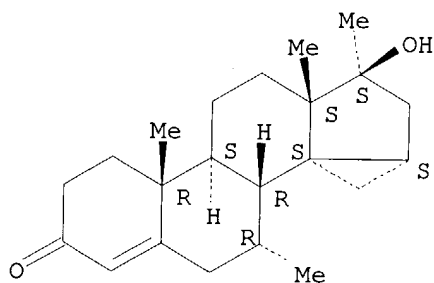
Absolute stereochemistry.





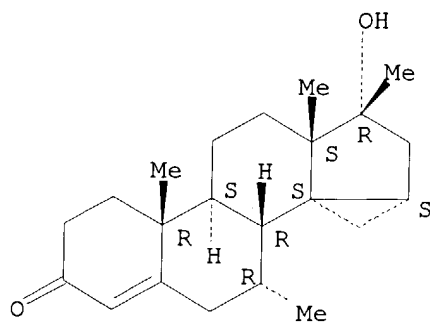
RN 252846-85-8 CAPLUS  
 CN Cycloprop[14,15]androst-4-en-3-one,  
 3',15-dihydro-17-hydroxy-7,17-dimethyl-  
 , (7.alpha.,14S,15.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

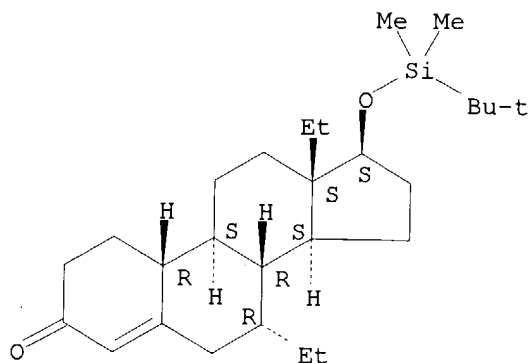


RN 252846-86-9 CAPLUS  
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 3',15-dihydro-17-hydroxy-7,17-dimethyl-  
 , (7.alpha.,14S,15.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



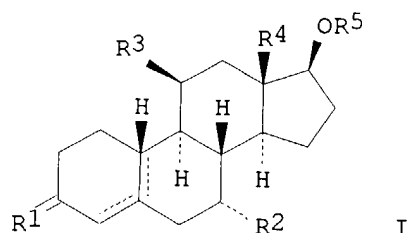
RN 252846-87-0 CAPLUS  
 CN Cycloprop[14,15]androst-4-en-3-one,  
 3',15-dihydro-17-hydroxy-7,17-dimethyl-  
 , (7.alpha.,14R,15.alpha.,17.beta.)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L8 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN  
ACCESSION NUMBER: 2000:733601 CAPLUS  
DOCUMENT NUMBER: 133:281951  
TITLE: synthesis and activity of orally active androgens  
INVENTOR(S): Van der Louw, Jaap; Leysen, Dirk; Buma Bursi, Roberta  
PATENT ASSIGNEE(S): Akzo Nobel N. V., Neth.  
SOURCE: PCT Int. Appl., 32 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000059920	A2	20001012	WO 2000-EP2851	20000331
WO 2000059920	A3	20010215		
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1043330	A1	20001011	EP 1999-201070	19990406
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EP 1212345	A2	20020612	EP 2000-936686	20000331
EP 1212345	B1	20030806		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
JP 2002541153	T2	20021203	JP 2000-609430	20000331
AT 246703	E	20030815	AT 2000-936686	20000331
PRIORITY APPLN. INFO.: EP 1999-201070 A 19990406				
WO 2000-EP2851 W 20000331				
OTHER SOURCE(S): MARPAT 133:281951				
GI				



AB Novel, orally active androgens (I) [R1 = O, (H, H), (H, OR), NOR, with R = H, alkyl, or **acyl**; R2 = alkyl, CHMe2, alkenyl, isopropenyl, propadienyl, or alkynyl, each optionally substituted by halogen; or R2 = cyclopropyl, or cyclopropenyl, each optionally substituted by alkyl, or halogen; R3 = H, alkyl, or ethenyl; R4 = alkyl; R5 = H, or **acyl**; and the dotted lines indicate optional bonds] are derivs. of 7.alpha.-methyl-19-nortestosterone. Thus, I (R1 = O, R2 = Et, R3 = H, R4 = Me, R5 = H, bond 4 5 double, bond 5 10 single) (II) is prepd. by copper catalyzed alkylation of

(17.beta.)-17-[[ (1,1-dimethylethyl)dimethylsilyl]oxy]estra-4,6-dien-3-one followed by trimethylsilylation of keto and desilylation with hydrochloric acid. II shows an ED50 of 2.5 mg/kg in assay to suppress serum LH.

IT 293303-47-6P 300542-15-8P 300542-16-9P  
300542-17-0P 300542-18-1P 300542-19-2P  
300542-20-5P 300542-22-7P 300542-23-8P  
300542-24-9P 300542-25-0P 300542-26-1P  
300542-27-2P 300542-28-3P 300542-29-4P  
300542-30-7P 300542-32-9P 300542-33-0P

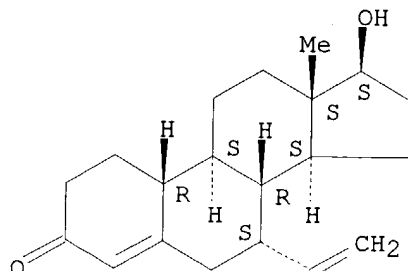
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

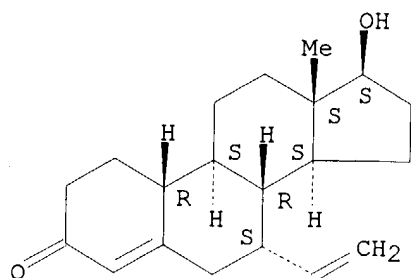
(synthesis and activity of orally active androgens)

RN 293303-47-6 CAPLUS

CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

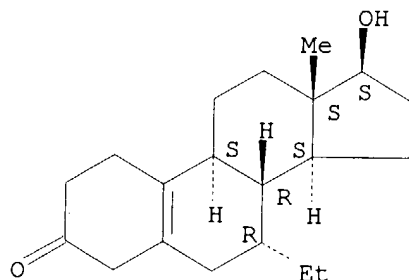
Absolute stereochemistry.





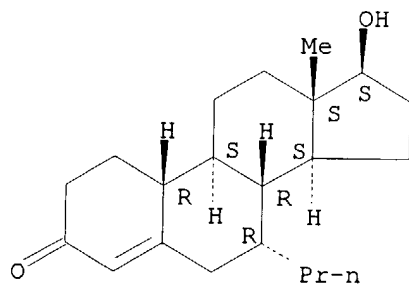
RN 300542-15-8 CAPLUS  
CN Estr-5(10)-en-3-one, 7-ethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



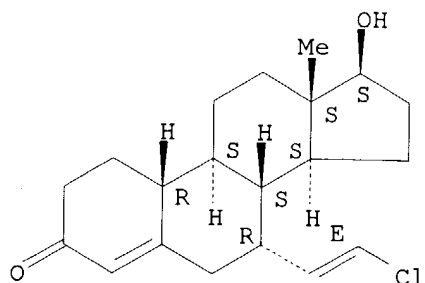
RN 300542-16-9 CAPLUS  
CN Estr-4-en-3-one, 17-hydroxy-7-propyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 300542-17-0 CAPLUS  
CN Estr-4-en-3-one, 7-[(1E)-2-chloroethenyl]-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

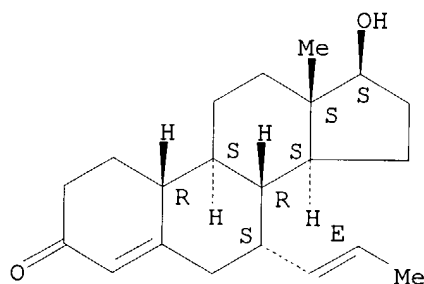


RN 300542-18-1 CAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-(1E)-1-propenyl-, (7.alpha.,17.beta.)-(9CI)

(CA INDEX NAME)

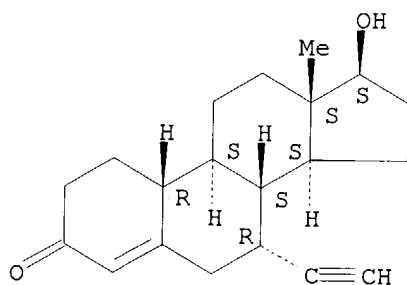
Absolute stereochemistry. Rotation (-).  
Double bond geometry as shown.



RN 300542-19-2 CAPLUS

CN Estr-4-en-3-one, 7-ethynyl-17-hydroxy-, (7.alpha.,17.beta.)-(9CI) (CA INDEX NAME)

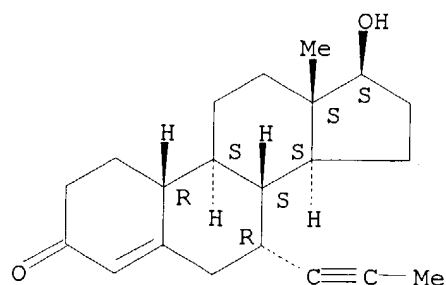
Absolute stereochemistry. Rotation (+).



RN 300542-20-5 CAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-(1-propynyl)-, (7.alpha.,17.beta.)-(9CI)  
(CA INDEX NAME)

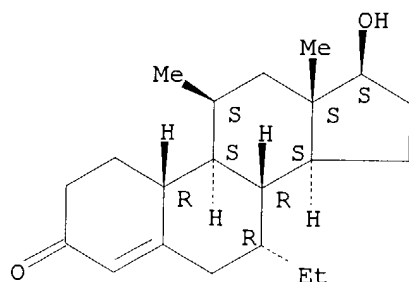
Absolute stereochemistry. Rotation (+).



RN 300542-22-7 CAPLUS

CN Estr-4-en-3-one, 7-ethyl-17-hydroxy-11-methyl-,  
(7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

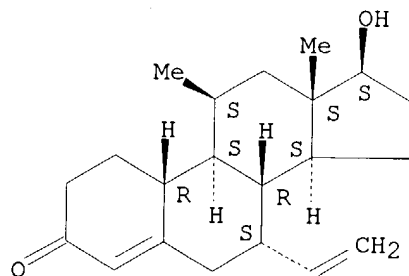
Absolute stereochemistry.



RN 300542-23-8 CAPLUS

CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-11-methyl-,  
(7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

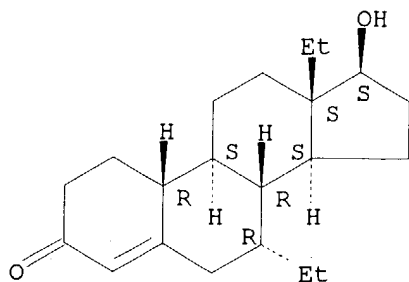
Absolute stereochemistry.



RN 300542-24-9 CAPLUS

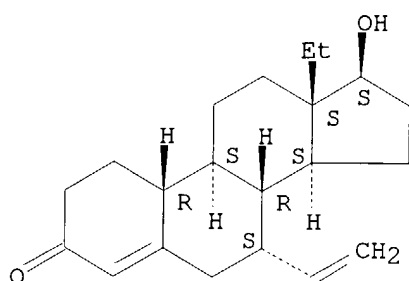
CN Gon-4-en-3-one, 7,13-diethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



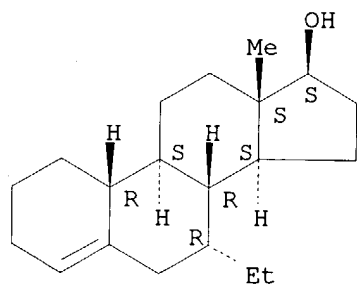
RN 300542-25-0 CAPLUS  
 CN Gon-4-en-3-one, 7-ethenyl-13-ethyl-17-hydroxy-, (7.alpha.,17.beta.)-  
 (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



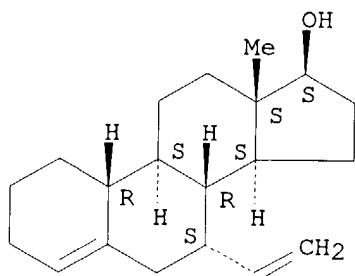
RN 300542-26-1 CAPLUS  
 CN Estr-4-en-17-ol, 7-ethyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



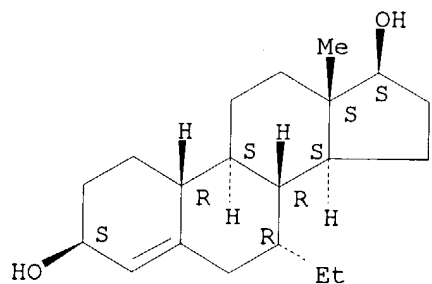
RN 300542-27-2 CAPLUS  
 CN Estr-4-en-17-ol, 7-ethenyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



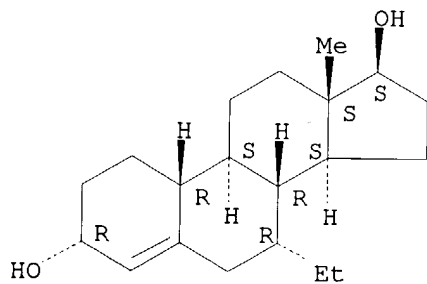
RN 300542-28-3 CAPLUS  
CN Estr-4-ene-3,17-diol, 7-ethyl-, (3.beta.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 300542-29-4 CAPLUS  
CN Estr-4-ene-3,17-diol, 7-ethyl-, (3.alpha.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

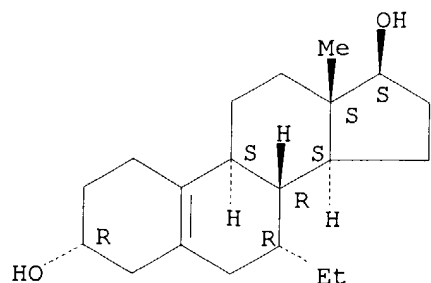
Absolute stereochemistry.



RN 300542-30-7 CAPLUS  
CN Estr-5(10)-ene-3,17-diol, 7-ethyl-, (3.alpha.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

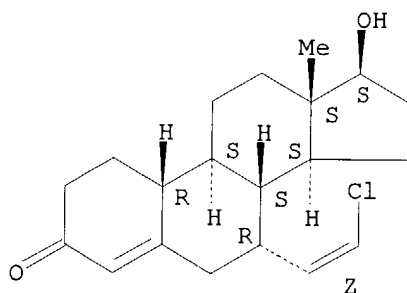




RN 300542-32-9 CAPLUS

CN Estr-4-en-3-one, 7-[(1Z)-2-chloroethenyl]-17-hydroxy-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

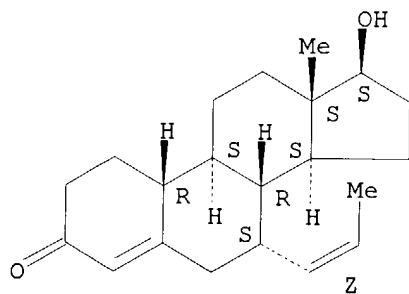
Absolute stereochemistry.  
Double bond geometry as shown.



RN 300542-33-0 CAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-(1Z)-1-propenyl-, (7.alpha.,17.beta.)-  
(9CI)  
(CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry as shown.

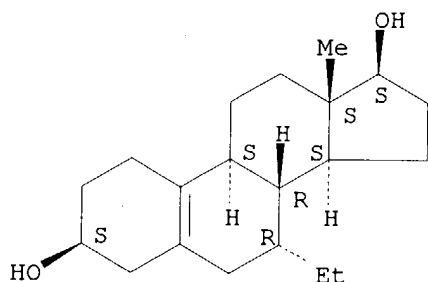


IT 300542-83-0P

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)

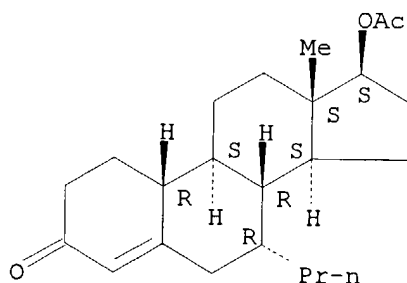
(synthesis and activity of orally active androgens)  
 RN 300542-83-0 CAPLUS  
 CN Estr-5(10)-ene-3,17-diol, 7-ethyl-, (3.beta.,7.alpha.,17.beta.)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



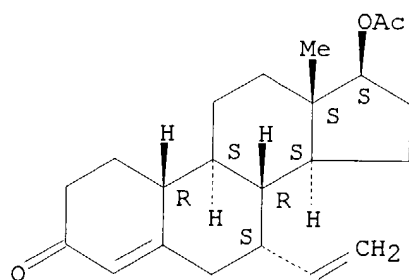
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 300542-40-9P 300542-46-5P 300542-47-6P  
 300542-50-1P 300542-51-2P 300542-59-0P  
 300542-79-4P 300542-80-7P 300542-81-8P  
 300542-82-9P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (synthesis and activity of orally active androgens)  
 RN 213889-77-1 CAPLUS  
 CN Estr-4-en-3-one, 17-(acetyloxy)-7-propyl-, (7.alpha.,17.beta.)- (9CI)  
 (CA INDEX NAME)

Absolute stereochemistry.



RN 293303-46-5 CAPLUS  
 CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethenyl-, (7.alpha.,17.beta.)- (9CI)  
 (CA INDEX NAME)

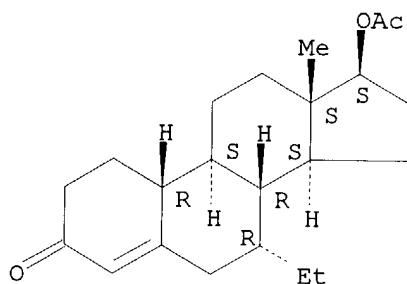
Absolute stereochemistry.



RN 300542-36-3 CAPLUS

CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

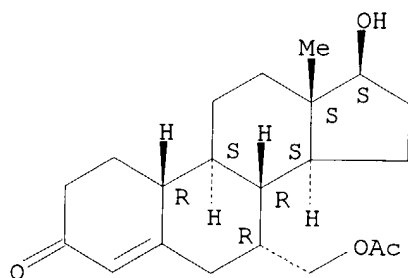
Absolute stereochemistry.



RN 300542-40-9 CAPLUS

CN Estr-4-en-3-one, 7-[(acetyloxy)methyl]-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

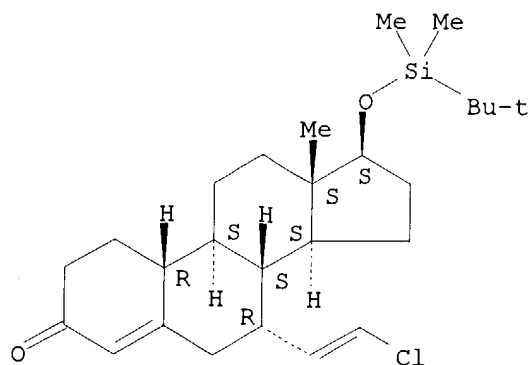


RN 300542-46-5 CAPLUS

CN Estr-4-en-3-one, 7-(2-chloroethenyl)-17-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

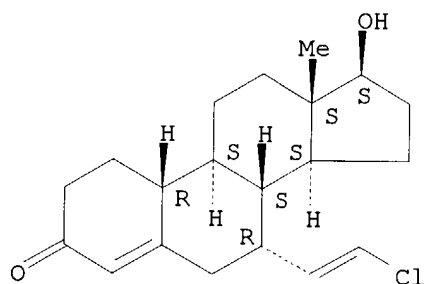


RN 300542-47-6 CAPLUS

CN Estr-4-en-3-one, 7-(2-chloroethenyl)-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

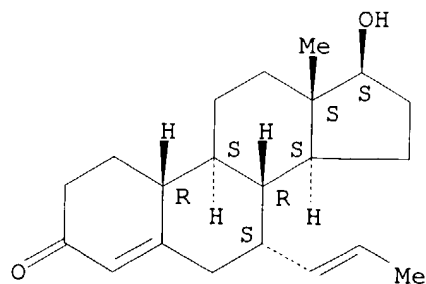


RN 300542-50-1 CAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-(1-propenyl)-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

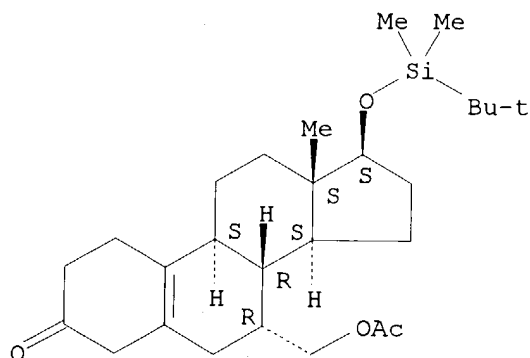


RN 300542-51-2 CAPLUS

CN Estr-5(10)-en-3-one, 7-[(acetyloxy)methyl]-17-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

NAME)

Absolute stereochemistry.

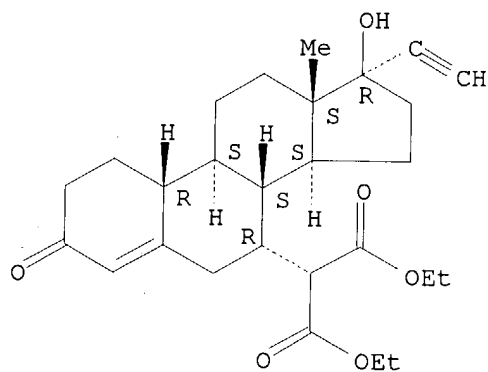


RN 300542-59-0 CAPLUS

CN Propanedioic acid,

[(7.alpha.,17.alpha.)-17-hydroxy-3-oxo-19-norpregn-4-en-20-yn-7-yl]-, diethyl ester (9CI) (CA INDEX NAME)

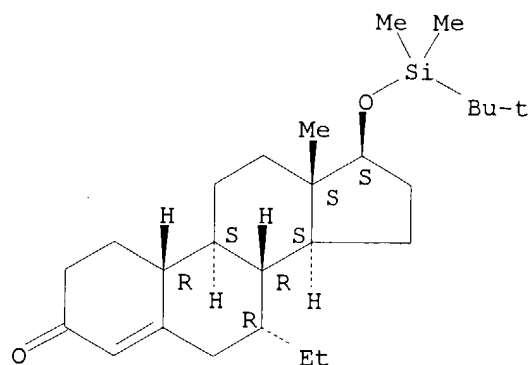
Absolute stereochemistry.



RN 300542-79-4 CAPLUS

CN Estr-4-en-3-one, 17-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7-ethyl-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

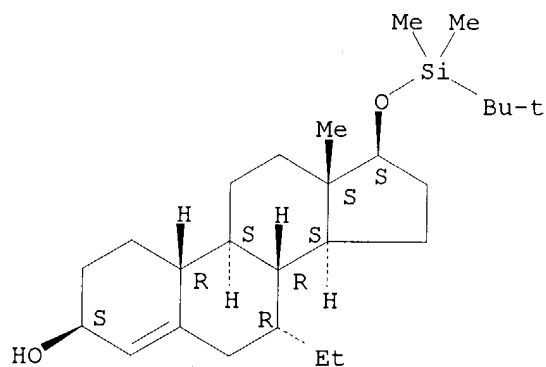
Absolute stereochemistry.



RN 300542-80-7 CAPLUS

CN Estr-4-en-3-ol, 17-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7-ethyl-, (3.β.,7.α.,17.β.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

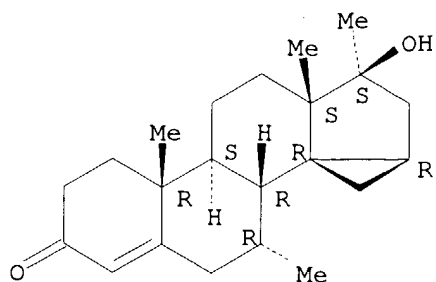


RN 300542-81-8 CAPLUS

CN Estr-4-en-3-ol, 17-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7-ethyl-, 4-nitrobenzoate, (3.α.,7.α.,17.β.)- (9CI) (CA INDEX NAME)

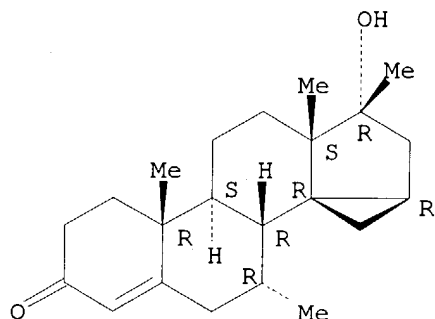
Absolute stereochemistry.

Absolute stereochemistry.



RN 252846-88-1 CAPLUS  
 CN Cycloprop[14,15]androst-4-en-3-one,  
 3',15-dihydro-17-hydroxy-7,17-dimethyl-  
 , (7.alpha.,14R,15.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1999:355788 CAPLUS  
 DOCUMENT NUMBER: 131:19186  
 TITLE: synthesis and androgenic activity of steroid  
 compounds  
 INVENTOR(S): Cook, Edgar C.; Kepler, John A.; Lee, Yue-Wei; Wani,  
 Mansukh C.  
 PATENT ASSIGNEE(S): Research Triangle Institute, USA  
 SOURCE: PCT Int. Appl., 36 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

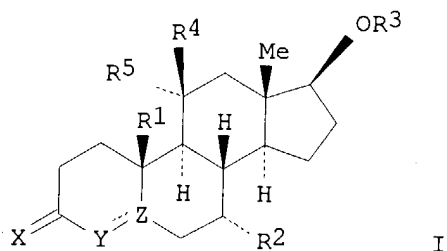
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WO 9926962	A1	19990603	WO 1998-US24527	19981123
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MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR,  
 TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
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EP 1042352	A1	20001011	EP 1998-960246	19981123
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JP 2001524487	T2	20011204	JP 2000-522119	19981123
US 2002002156	A1	20020103	US 1999-328436	19990609
US 6369047	B2	20020409		
NO 2000002676	A	20000525	NO 2000-2676	20000525
US 2002103177	A1	20020801	US 2002-51172	20020122

PRIORITY APPLN. INFO.:  
 US 1997-979369 A 19971126  
 WO 1998-US24527 W 19981123  
 US 1999-328436 A1 19990609

OTHER SOURCE(S): CASREACT 131:19186  
 GI



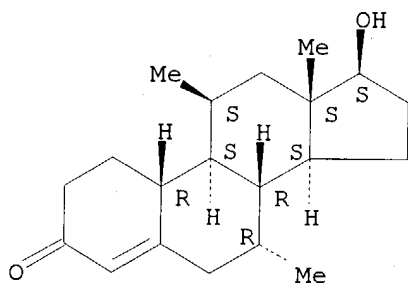
AB A process for the synthesis of androgenic steroid compd. of formula (I)  
 [R1 = H, alkyl; R2 = .alpha. (un)substituted alkyl; R3 = (un)substituted  
 alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted  
 cycloalkyl, (un)substituted aryl, (un)substituted heterocycle, H,  
 (un)substituted **acyl**; R5 = H and R4 = (un)substituted alkyl,  
 (un)substituted alkenyl, (un)substituted alkynyl or R5R4 = =CH2; X = O,  
 2H, OH, O-**acyl**; Y-Z = CH=C or CH2-CH where H is .alpha. or Y =  
 S, O, (un)substituted NH] is presented. Thus, I (X = O, Y-Z = CH2-CH,  
 R2, R4 = Me, R3 = H) (II) is prepd. in 13 steps from com. available  
 androsterone by conversion to the 4,6-dienetrione, conjugate methylation,  
 conversion to 1,4-dienetrione, std. ketalization, redn. to 11.beta.-alc.,  
 ring A aromatization, methylation, oxidn. to 11-ketone, conversion to  
 11-methylene compd., catalytic hydrogenation to 11.beta.-Me compd., redn.  
 to 17-alc. followed by Birch redn. and acid hydrolysis of the dienol  
 ether  
 to II. I show marked androgenic activity and are useful in hormone  
 treatment of a mammal for either human or animal.

IT 226066-52-0P 226066-53-1P 226066-55-3P  
 226066-56-4P 226066-57-5P 226066-58-6P  
 226066-59-7P



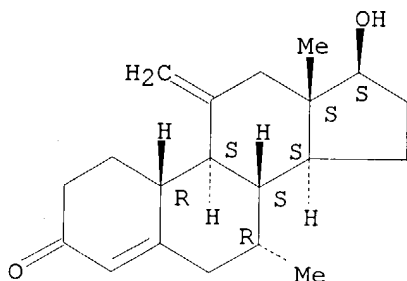
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(synthesis and androgenic activity of steroid compds.)  
RN 226066-52-0 CAPLUS  
CN Estr-4-en-3-one, 17-hydroxy-7,11-dimethyl-, (7.alpha.,11.beta.,17.beta.)-  
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



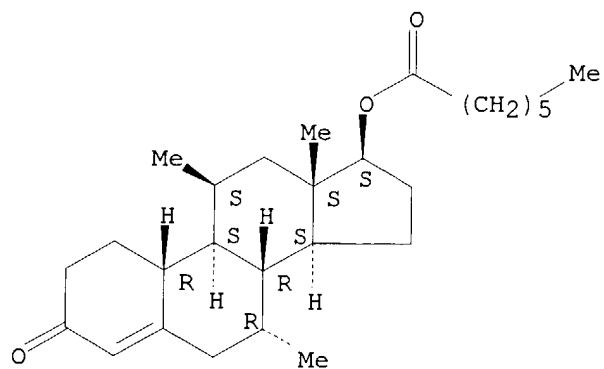
RN 226066-53-1 CAPLUS  
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(9CI) (CA INDEX NAME)

Absolute stereochemistry.



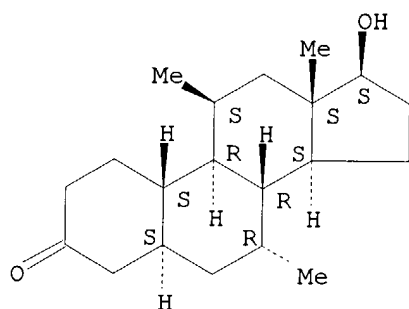
RN 226066-55-3 CAPLUS  
CN Estr-4-en-3-one, 7,11-dimethyl-17-[(1-oxoheptyl)oxy]-,  
(7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



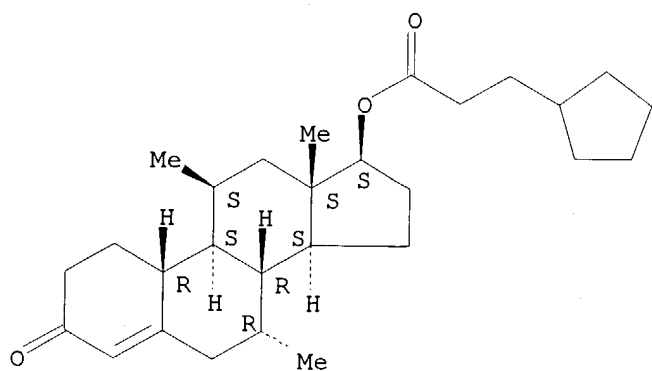
RN 226066-56-4 CAPLUS  
 CN Estran-3-one, 17-hydroxy-7,11-dimethyl-,  
 (5.alpha.,7.alpha.,11.beta.,17.be  
 ta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 226066-57-5 CAPLUS  
 CN Estr-4-en-3-one, 17-(3-cyclopentyl-1-oxopropoxy)-7,11-dimethyl-,  
 (7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

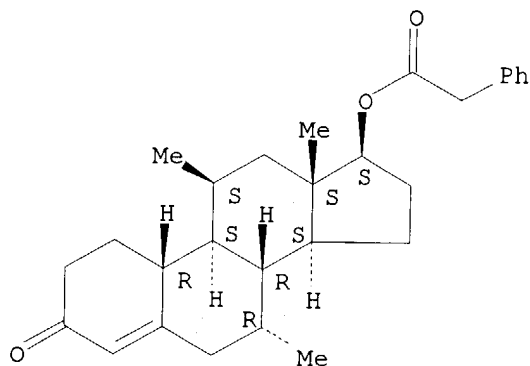
Absolute stereochemistry.



RN 226066-58-6 CAPLUS

CN Estr-4-en-3-one, 7,11-dimethyl-17-[(phenylacetyl)oxy]-,  
(7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

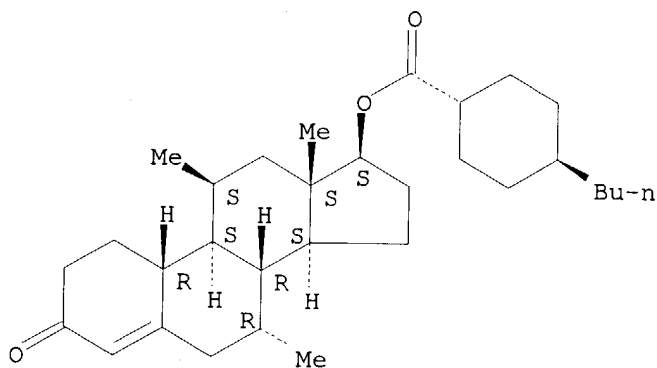
Absolute stereochemistry.



RN 226066-59-7 CAPLUS

CN Estr-4-en-3-one,  
17-[[ (trans-4-butylcyclohexyl) carbonyl]oxy]-7,11-dimethyl-  
, (7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1998:405970 CAPLUS

DOCUMENT NUMBER: 129:81885

TITLE: Processes for preparation of 9,11-epoxy steroids and  
their intermediates

INVENTOR(S): Ng, John S.; Liu, Chin; Anderson, Dennis K.; Lawson,  
Jon P.; Wieczorek, Joseph; Kunda, Sastry A.;

Letendre,

Leo J.; Pozzo, Mark J.; Sing, Yuen-lung L.; Wang,

Ping

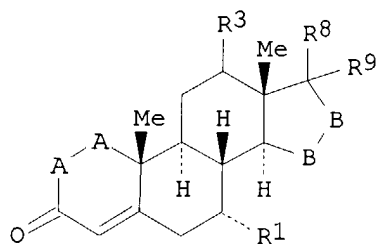
Thomas T.; Yonan, Edward E.; Weier, Richard M.; Kowar,  
 PATENT ASSIGNEE(S): R.; Baez, Julio A.; Erb, Bernhard  
 G.D. Searle & Co., USA; Ng, John S.; Liu, Chin;  
 Anderson, Dennis K.; Lawson, Jon P.; Wieczorek,  
 Joseph; Kunda, Sastry A.; Letendre, Leo J.; Pozzo,  
 Mark J.; et al.  
 SOURCE: PCT Int. Appl., 543 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 6  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9825948	A2	19980618	WO 1997-US23090	19971211
WO 9825948	A3	19981015		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9711038	A	19990125	ZA 1997-11038	19971209
AU 9857983	A1	19980703	AU 1998-57983	19971211
AU 733559	B2	20010517		
EP 944644	A2	19990929	EP 1997-954126	19971211
EP 944644	B1	20021002		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				
FI				
CN 1253564	A	20000517	CN 1997-181737	19971211
BR 9714510	A	20001128	BR 1997-14510	19971211
NZ 336004	A	20010427	NZ 1997-336004	19971211
JP 2001509792	T2	20010724	JP 1998-527032	19971211
EP 1148061	A2	20011024	EP 2001-111209	19971211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				
FI				
EP 1223174	A2	20020717	EP 2002-7309	19971211
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE,				
FI				
AT 225367	E	20021015	AT 1997-954126	19971211
NZ 510556	A	20021025	NZ 1997-510556	19971211
ES 2186017	T3	20030501	ES 1997-954126	19971211
ZA 9805088	A	19990611	ZA 1998-5088	19980611
NO 9902825	A	19990729	NO 1999-2825	19990610
AU 747959	B2	20020530	AU 2000-18440	20000221
US 2002038021	A1	20020328	US 2000-732208	20001207
US 2002045746	A1	20020418	US 2000-732209	20001207
US 2003055274	A1	20030320	US 2002-112355	20020329
US 6610844	B2	20030826		
PRIORITY APPLN. INFO.:				
			US 1996-33315P	P 19961211
			US 1997-49388P	P 19970611
			US 1995-8455P	P 19951211

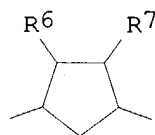
US 1996-763910	A3 19961211
EP 1997-954126	A3 19971211
NZ 1997-336004	A1 19971211
WO 1997-US23090	W 19971211
US 1999-246204	A2 19990208
US 1999-246908	A3 19990209
US 1999-169556P	P 19991208
US 1999-169608P	P 19991208
US 1999-169639P	P 19991208
US 1999-169682P	P 19991208
US 1999-169683P	P 19991208
US 1999-169690P	P 19991208
US 1999-169707P	P 19991208
US 1999-169807P	P 19991208
US 1999-319673	A2 19991213
US 2000-583137	A2 20000530
US 2000-583158	A2 20000530

OTHER SOURCE(S):  
GI

CASREACT 129:81885; MARPAT 129:81885



I



II

AB Multiple novel reaction schemes, novel process steps and novel intermediates are provided for the synthesis of epoxymexrenone and other compds. of formula (I) wherein: -A-A- represents the group -CHR4-CHR5- or -CR4=CR5-, R3, R4 and R5 are independently selected from the group consisting of hydrogen, halo, hydroxy, lower alkyl, lower alkoxy, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, cyano, aryloxy; R1 represents an alpha-oriented lower alkoxy carbonyl or hydroxyalkyl radical; -B-B- represents the group -CHR6-CHR7- or an alpha- or beta-oriented group

(II),

where R6 and R7 are independently selected from the group consisting of hydrogen, halo, lower alkoxy, **acyl**, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxy carbonyl, acyloxyalkyl, cyano and aryloxy; and R8 and R9 are independently selected from the group consisting of hydrogen, hydroxy, halo, lower alkoxy, **acyl**, hydroxyalkyl, alkoxyalkyl, hydroxycarbonyl, alkyl, alkoxy carbonyl, acyloxyalkyl, cyano and aryloxy, or R8 and R9 together comprise a carbocyclic or heterocyclic ring structure, or R8 or R9 together with R6 or R7 comprise a carbocyclic or heterocyclic ring structure fused to the pentacyclic D ring.

IT **209253-71-4P 209253-75-8P 209253-76-9P**

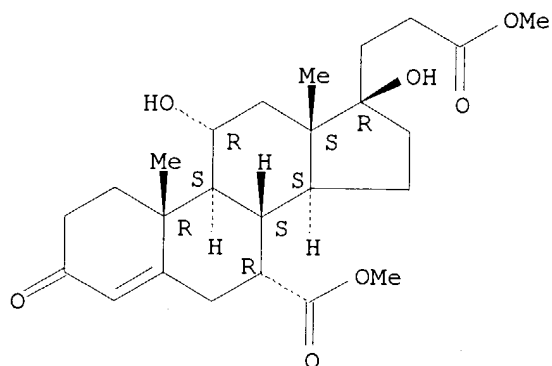
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(processes for prepn. of 9,11-epoxy steroids and their intermediates)

RN 209253-71-4 CAPLUS

CN Pregn-4-ene-7,21-dicarboxylic acid, 11,17-dihydroxy-3-oxo-, dimethyl ester, (7.alpha.,11.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

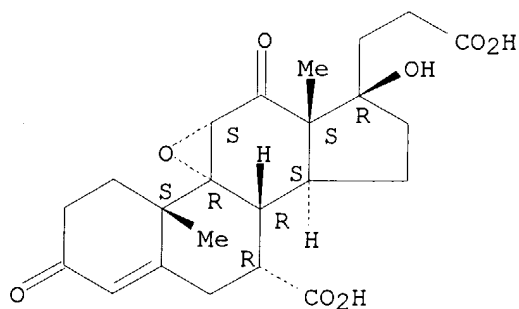
Absolute stereochemistry.



RN 209253-75-8 CAPLUS

CN Pregn-4-ene-7,21-dicarboxylic acid, 9,11-epoxy-17-hydroxy-3,12-dioxo-, dipotassium salt, (7.alpha.,11.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

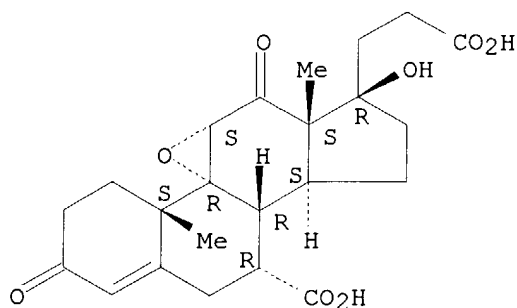


● 2 K

RN 209253-76-9 CAPLUS

CN Pregn-4-ene-7,21-dicarboxylic acid, 9,11-epoxy-17-hydroxy-3,12-dioxo-, disodium salt, (7.alpha.,11.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● 2 Na

L8 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1996:95058 CAPLUS

DOCUMENT NUMBER: 124:146583

TITLE: Process for the preparation of  
4-amino-.DELTA.4-3-keto

steroids via 4-nitro-.DELTA.4-3-keto steroids

INVENTOR(S): Weintraub, Philip M.; Gates, Cynthia A.; Angelastro,  
Michael R.; Curran, Timothy T.; Flynn, Gary A.; King,  
Chi-Hsin R.

PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals Inc., USA

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9529932	A1	19951109	WO 1995-US4399	19950411
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2188760	AA	19951109	CA 1995-2188760	19950411
AU 9522449	A1	19951129	AU 1995-22449	19950411
AU 686793	B2	19980212		
EP 758340	A1	19970219	EP 1995-915628	19950411
EP 758340	B1	19990107		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1147258	A	19970409	CN 1995-192882	19950411
CN 1042540	B	19990317		
HU 75523	A2	19970528	HU 1996-3035	19950411
JP 09512547	T2	19971216	JP 1995-528246	19950411

AT 175419	E	19990115	AT 1995-915628	19950411
ES 2128052	T3	19990501	ES 1995-915628	19950411
ZA 9503357	A	19960117	ZA 1995-3357	19950425
IL 113532	A1	20000217	IL 1995-113532	19950428
FI 9604410	A	19961101	FI 1996-4410	19961101
NO 9604627	A	19970102	NO 1996-4627	19961101
US 5750744	A	19980512	US 1997-737031	19970211
US 5869475	A	19990209	US 1997-970843	19971114

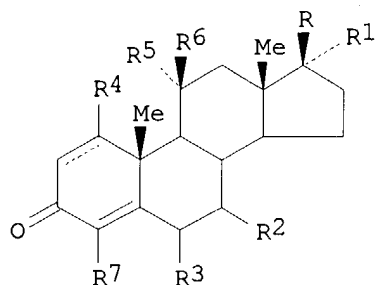
PRIORITY APPLN. INFO.:

US 1994-231433	A2	19940502
US 1995-737031	A3	19950211
WO 1995-US4399	W	19950411

OTHER SOURCE(S):

CASREACT 124:146583; MARPAT 124:146583

GI



I

AB The present invention provides 4-nitro-.DELTA.4-3-keto steroids I [R = (un)substituted OH, **acyl**, (un)substituted alkyl, CO<sub>2</sub>H, carbamoyl, alkylthio; R<sub>1</sub> = H, OH, alkyl; R<sub>2</sub>-R<sub>4</sub> = H, alkyl; R<sub>5</sub>, R<sub>6</sub> = H, OH;

R<sub>7</sub> = NH<sub>2</sub>], for use as steroid C17-20 lyase and 5.alpha.-reductase inhibitors, were prepd. by treating I [R<sub>7</sub> = H] with a strong base to create the thermodyn. dienolate, followed by addn. of a neutral nitrating agent to produce I [R<sub>7</sub> = NO<sub>2</sub>] and treating this with a suitable reducing agent. Thus, (20S)-20-hydroxymethylpregn-4-en-3-one was treated with Me<sub>3</sub>COK, followed by Me<sub>2</sub>CHONO<sub>2</sub> to give the 4-nitro deriv. which was reduced

to the amine with Lindlar catalyst. Some of the intermediate nitro compds. showed testicular C17-20 lyase-inhibiting activity.

IT **173285-59-1P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

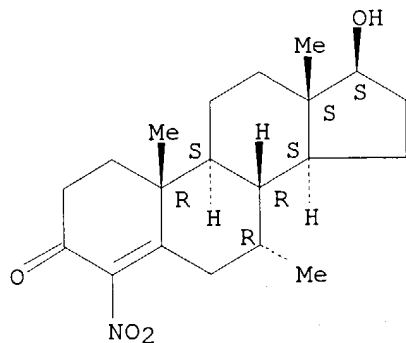
(prepn. of nitro and amino keto steroids with steroid reductase and lyase-inhibiting activity)

RN 173285-59-1 CAPLUS

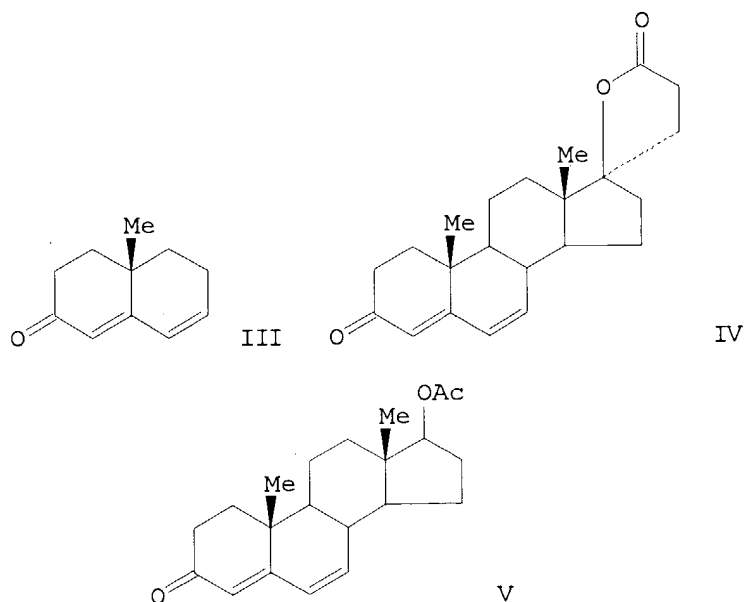
CN Androst-4-en-3-one, 17-hydroxy-7-methyl-4-nitro-, (7.alpha.,17.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.





L8 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1987:33370 CAPLUS  
 DOCUMENT NUMBER: 106:33370  
 TITLE: Synthesis of 7-**acyl**-10-methyl-3-octahydronaphtalenones and 7-**acyl** steroids  
 AUTHOR(S): Roux-Schmitt, Marie Claude; Seyden-Penne, Jacqueline  
 CORPORATE SOURCE: Univ. Paris-Sud, Orsay, 91405, Fr.  
 SOURCE: Bulletin de la Societe Chimique de France (1986),  
 (1),  
 109-14  
 CODEN: BSCFAS; ISSN: 0037-8968  
 DOCUMENT TYPE: Journal  
 LANGUAGE: French  
 OTHER SOURCE(S): CASREACT 106:33370  
 GI



AB The 1,6 addn. of lithiated  $RCH_2CN$  ( $R = Ph$ ;  $p-MeOC_6H_4$ ) (I) or  $RICH(CN)OCH(Me)OEt$  ( $R_1 = Ph$ ,  $MeCH:CH$ ) (II) to dienones III, IV, and V required anionic activation, since the yields were higher in THF-HMPA than those in pure THF. I and II attacked from the .alpha.-side of III with a high stereoselectivity. With IV, I gave a mixt. of two stereoisomers which were sepd. and to which the .alpha. or the .beta. configuration was assigned by high-field NMR. With II, IV and V produced 3-keto-7.beta.-acyl steroids, which were characterized by 400 MHz  $^1H$  NMR.

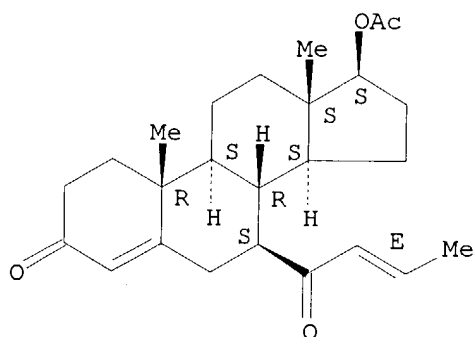
IT **106112-09-8P 106112-10-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 106112-09-8 CAPLUS

CN Androst-4-en-3-one, 17-(acetyloxy)-7-(1-oxo-2-butenyl)-,  
[7.beta.(E),17.beta.]- (9CI) (CA INDEX NAME)

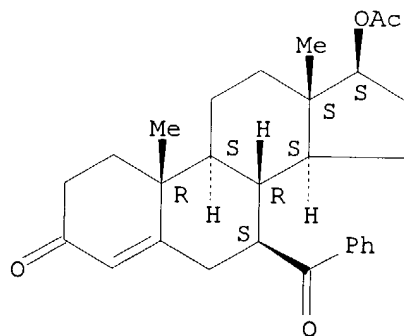
Absolute stereochemistry.  
Double bond geometry as shown.



RN 106112-10-1 CAPLUS

CN Androst-4-en-3-one, 17-(acetyloxy)-7-benzoyl-, (7.beta.,17.beta.)- (9CI)  
(CA INDEX NAME)

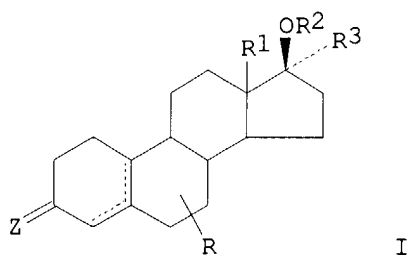
Absolute stereochemistry.



DOCUMENT NUMBER: 104:62073  
 TITLE: Steroids for use as immunomodulators  
 INVENTOR(S): Kelder, Jan; Verheul, Hermanus Antonius Maria  
 PATENT ASSIGNEE(S): AKZO N. V., Neth.  
 SOURCE: Eur. Pat. Appl., 15 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 159739	A1	19851030	EP 1985-200423	19850320
EP 159739	B1	19890510		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4701450	A	19871020	US 1985-712438	19850318
JP 60209599	A2	19851022	JP 1985-56258	19850320
JP 05041122	B4	19930622		
AT 42895	E	19890515	AT 1985-200423	19850320
PRIORITY APPLN. INFO.:			NL 1984-888	19840321
			EP 1985-200423	19850320

GI



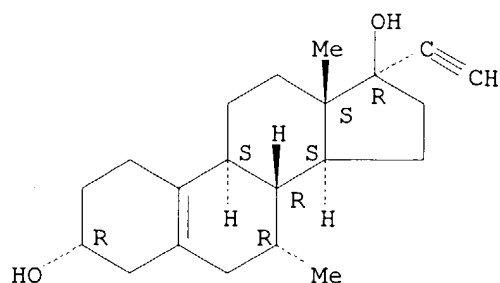
AB Estranes I [R, R1 = C1-4 alkyl; R2 = H, C1-18 **acyl**; R3 = H, C1-4 hydrocarbyl; Z = H2, H(OR4), O; R4 = H, C1-18 **acyl**; broken lines = C4-C5 or C5-C10 double bonds] are immunomodulators, esp. suitable for treatment of autoimmune diseases. Thus, tibolone (0.1 mg/animal/day) inhibited the expression of autoimmune diseases resembling Sjogren's syndrome and systemic lupus erythematosus in the NZB/W mouse model.

IT **100239-44-9 100239-45-0**  
 RL: BIOL (Biological study)  
 (immunosuppressant, for autoimmune diseases)

RN 100239-44-9 CAPLUS

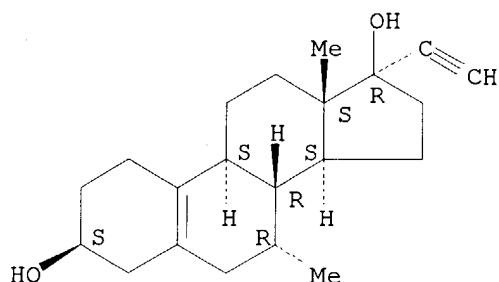
CN 19-Norpregn-5(10)-en-20-yne-3,17-diol, 7-methyl-,  
 (3.alpha.,7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 100239-45-0 CAPLUS  
 CN 19-Norpregn-5(10)-en-20-yne-3,17-diol, 7-methyl-,  
 (3.beta.,7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

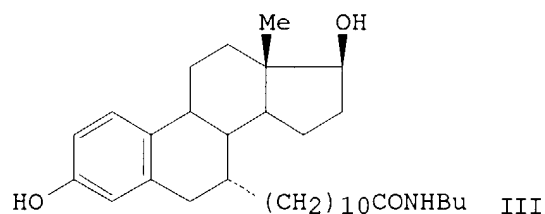
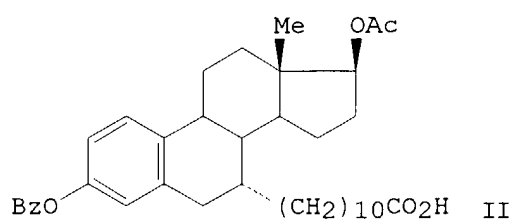
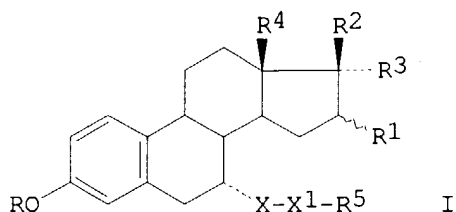
Absolute stereochemistry.



L8 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1985:505214 CAPLUS  
 DOCUMENT NUMBER: 103:105214  
 TITLE: Steroid derivatives  
 INVENTOR(S): Bowler, Jean; Tait, Brian Steele  
 PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK  
 SOURCE: Eur. Pat. Appl., 84 pp.  
 CODEN: EPXXDW  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 138504	A2	19850424	EP 1984-306715	19841002
EP 138504	A3	19860312		
EP 138504	B1	19880720		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4659516	A	19870421	US 1984-656466	19841001
AT 35814	E	19880815	AT 1984-306715	19841002
JP 60097995	A2	19850531	JP 1984-212766	19841012
JP 02016759	B4	19900418		
PRIORITY APPLN. INFO.:			GB 1983-27256	19831012
			EP 1984-306715	19841002

GI



AB Antiestrogenic (no data) estratrienes I [R = H, alkyl, **acyl**, alkoxyacetyl, carboxyacetyl; R1 = H, alkyl, HO; R2 = HO, acyloxy, carboxyacetyl; R3 = H, alkyl, alkenyl, alkynyl; R2R3 = O; R4 = alkyl; X = (un)fluorinated alkanediyl, alkynediyl, Q-Z-Q1 (Q, Q1 = (un)fluorinated alkanediyl, alkynediyl, bond; Z = O, S, SO, SO2, CO, NH, alkylimino, NHCO, CO2, C6H4); X1 = CONR6, CSNR6, NR7CO, NR7CS, NR7CONR6, NR7C(:NR8)NR6, SO2NR6, O, NR6, (NO)R6, (PO)R6, NR7CO2, NR7SO2, S, SO2; R5 = H, alkyl, alkenyl, aryl; R5R6 = alkylene to form heterocycle; R7 = H, alkyl; R8 = H,

cyano, NO2) were prepd. Thus, the estratrienylundecanoic acid II was treated N-methylmorpholine, ClCO2CH2CHMe2, and BuNH2 and the resulting amide was sapond. to give the undecanamide III.

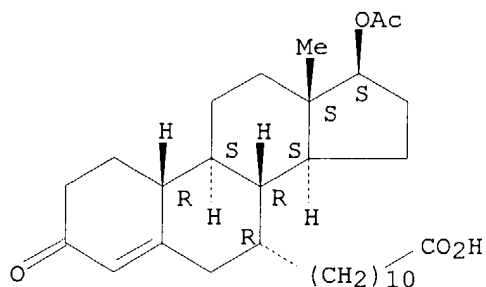
IT **91454-70-5**

RL: RCT (Reactant); RACT (Reactant or reagent)  
(bromination of)

RN 91454-70-5 CAPLUS

CN Estr-4-ene-7-undecanoic acid, 17-(acetyloxy)-3-oxo-, (7.alpha.,17.beta.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



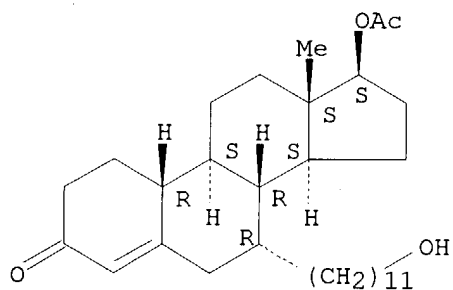
IT 98008-55-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. and acetylation, and oxidn. of)

RN 98008-55-0 CAPLUS

CN Estr-4-en-3-one, 17-(acetyloxy)-7-(11-hydroxyundecyl)-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



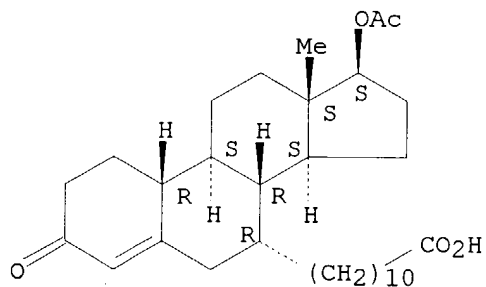
IT 91454-70-5P 98008-36-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and aromatization of)

RN 91454-70-5 CAPLUS

CN Estr-4-ene-7-undecanoic acid, 17-(acetyloxy)-3-oxo-, (7.alpha.,17.beta.)-  
(9CI) (CA INDEX NAME)

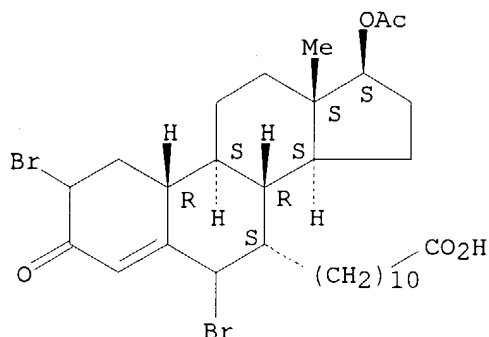
Absolute stereochemistry.



RN 98008-36-7 CAPLUS

CN Estr-4-ene-7-undecanoic acid, 17-(acetyloxy)-2,6-dibromo-3-oxo-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



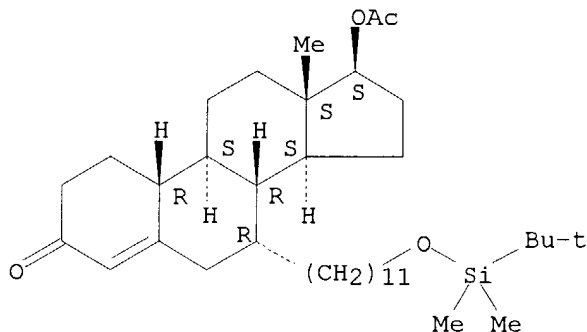
IT 98008-54-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and desilylation of)

RN 98008-54-9 CAPLUS

CN Estr-4-en-3-one,  
17-(acetyloxy)-7-[11-[[ (1,1-dimethylethyl)dimethylsilyl]oxy]  
undecyl]-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1979:575613 CAPLUS

DOCUMENT NUMBER: 91:175613

TITLE: 19-Oxygenated-5.alpha.-androstanes for the  
enhancement

of libido

INVENTOR(S): Grunwell, Joyce F.; Petrow, Vladimir

PATENT ASSIGNEE(S): Richardson-Merrell Inc., USA

SOURCE: U.S., 19 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent

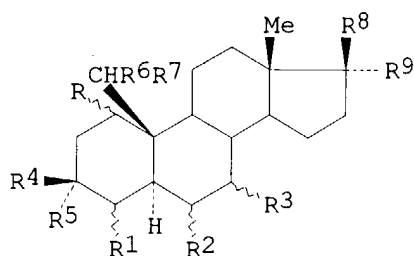
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

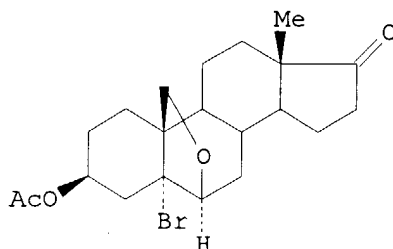
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4071625	A	19780131	US 1977-766237	19770207
PRIORITY APPLN. INFO.:			US 1974-469478	19740513

GI



I



II

AB Androstanes I [R-R3 = H, Me; R4R5 = H2, O; R6R7, R8R9 = O; R4, R6, R8 = OR10, R5, R7 = H; R9 = H, C1-6 alkyl, C2-6 alkenyl, alkynyl; R10 = H, C1-12 **acyl**, C1-3 alkyl, trialkylsilyl, Ph3Si, tetrahydropyranyl, C5-7 cycloalkenyl, 1-methoxy or 1-ethoxy substituted C5-7 cycloalkyl] (.apprx.90 compds.) were prepd. and enhanced diminished libido in mammals without evoking androgenic or estrogenic response upon secondary sex structures. Thus, bromohydroxylation of 3.beta.-acetoxyandrost-5-en-17-one by AcNHBr in aq. HClO4 gave 3.beta.-hydroxy-5.alpha.-bromo-6.beta.-hydroxyandrostan-17-one, which underwent photochem.

iodination-cyclization

to give the epoxyandrostane II. Treatment of II with Zn powder in refluxing EtOH gave 3.beta.-acetoxy-19-hydroxyandrost-5-en-17-one. Addn. of LiCH:CH2 and KC.tplbond.CH to 3.beta.,19-dihydroxy-5.alpha.-androst-17-one gave 17-vinyl- and 17-ethynyl-5.alpha.-androstane-3.beta.,19,17.beta.-triol. Dehydrogenation of 17.beta.,19-bis(propionyloxy)androst-4-en-3-one by dichlorodicyanobenzoquinone and subsequent treatment with LiCuMe3 gave 1.alpha.-methyl-17.beta.,19-bis(propionyloxy)androst-4-en-3-one. Treatment of castrated-adrenalectomized rats with testosterone or

17.beta.,19-diacetoxy-5.alpha.-

androstan-3-one (III) for 8 days caused resumption of presurgical sexual behavior, but the somatic androgenic effect upon sex accessory organs of immature castrated rats was less when treated with III compared to treatment with testosterone.

IT **67212-18-4P**

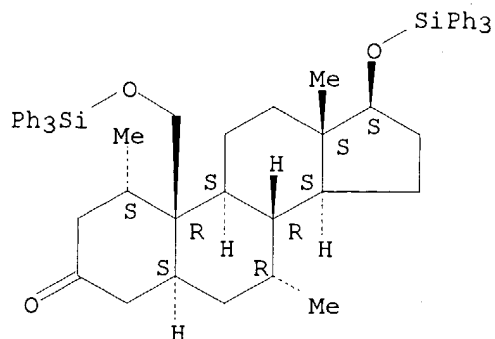
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 67212-18-4 CAPLUS

CN Androstan-3-one, 1,7-dimethyl-17,19-bis[(triphenylsilyl)oxy]-,  
(1.alpha.,5.alpha.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





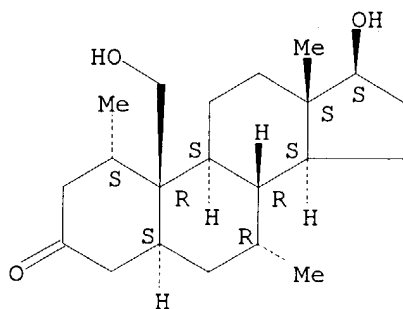
IT 67212-17-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with chlorotriphenylsilane)

RN 67212-17-3 CAPLUS

CN Androstan-3-one, 17,19-dihydroxy-1,7-dimethyl-,  
(1.alpha.,5.alpha.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1979:210129 CAPLUS

DOCUMENT NUMBER: 90:210129

TITLE: 19-Oxygenated-androst-5-enes for the enhancement of libido

INVENTOR(S): Grunwell, Joyce F.; Petrow, Vladimir

PATENT ASSIGNEE(S): Richardson-Merrell Inc., USA

SOURCE: U.S., 24 pp.  
CODEN: USXXAM

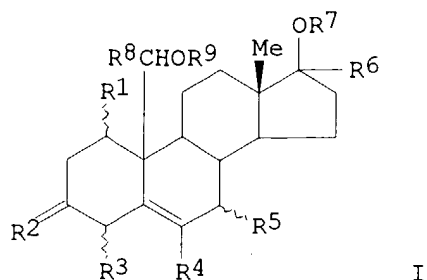
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4139617	A	19790213	US 1977-766613	19770207
PRIORITY APPLN. INFO.: GI			US 1974-469477	19740513



AB The title compds. (I), where R1, R3, R4, and R5 = H or Me; R2 = H2, O, or H, OR10; R6 = H, alkyl, alkenyl, alkynyl, or O (when taken together with OR7); R7, R9, and R10 = H, **acyl**, trialkylsilyl, triphenylsilyl, tetrahydropyranyl, cycloalkenyl, or alkoxycycloalkyl; R8 = H or O (when taken with OR8), enhanced a diminished libido in mammals without evoking any overt androgen or estrogenic response upon the secondary sex structures. Thus, 3.β.-hydroxy-5-androsten-17-one acetate [853-23-6] was treated with AcNHBr in presence of HClO4 to give 5.α.-bromo-3.β.,6.β.-dihydroxyandrostane-17-one-3-acetate (II) [4229-69-0].

II was refluxed with a suspension of Pb(OAc)4 and CaCO3 in cyclohexane and with iodine to give 5.α.-bromo-3.β.-hydroxy-6.β.,19-oxidoandrostane-17-one acetate [2685-64-5] which was refluxed with Zn powder to give 3.β.,19-dihydroxy-5-androsten-17-one 3-acetate (III) [2857-42-3]. Castrated adrenalectomized rats treated with III approached their presurgical sexual pattern of behavior after .apprx.12 days of treatment. The somatic androgenic effect upon the sex accessory organs

of immature castrated rats receiving III was less than with similar animals receiving testosterone treatment. Tablet, capsule, and i.m. injections contg. I were prepd.

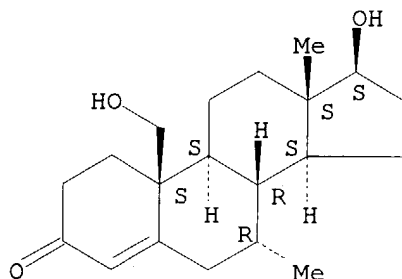
IT **67702-98-1**

RL: BIOL (Biological study)  
(isomerization and reaction with dihydropyran)

RN 67702-98-1 CAPLUS

CN Androst-4-en-3-one, 17,19-dihydroxy-7-methyl-, (7.α.,17.β.)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



IT 69996-46-9P

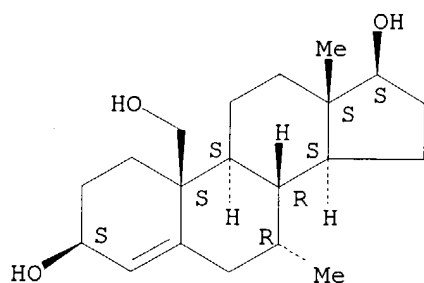
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. and oxidn. of)

RN 69996-46-9 CAPLUS

CN Androst-4-ene-3,17,19-triol, 7-methyl-, (3.beta.,7.alpha.,17.beta.)-  
(9CI)

(CA INDEX NAME)

Absolute stereochemistry.



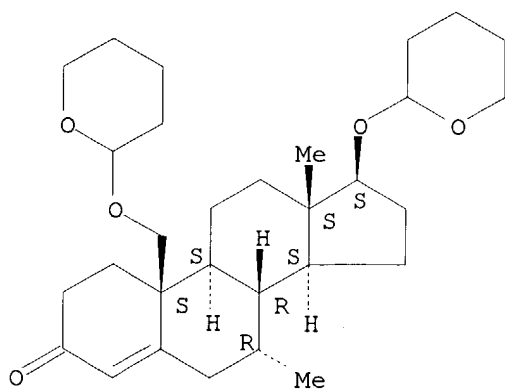
IT 67703-03-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 67703-03-1 CAPLUS

CN Androst-4-en-3-one, 7-methyl-17,19-bis[(tetrahydro-2H-pyran-2-yl)oxy]-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 1978:597806 CAPLUS

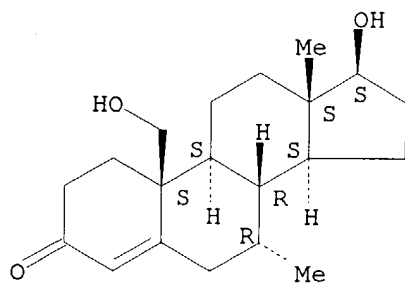
DOCUMENT NUMBER: 89:197806

TITLE: Androst-4-en-19-ols for the enhancement of libido

INVENTOR(S): Grunwell, Joyce F.; Petrow, Vladimir

PATENT ASSIGNEE(S): Richardson-Merrell Inc., USA

SOURCE: U.S., 20 pp.



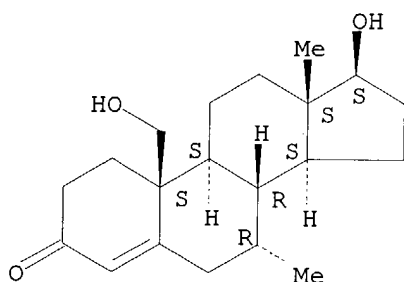
IT 67702-98-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. and reaction of, with dihydropyran)

RN 67702-98-1 CAPLUS

CN Androst-4-en-3-one, 17,19-dihydroxy-7-methyl-, (7.alpha.,17.beta.)- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



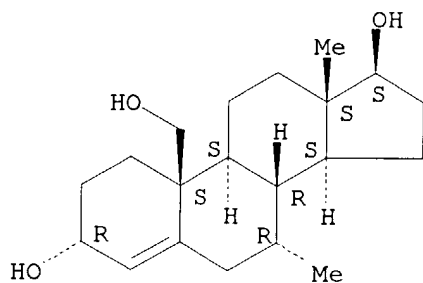
IT 67702-99-2P 67703-03-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 67702-99-2 CAPLUS

CN Androst-4-ene-3,17,19-triol, 7-methyl-, (3.alpha.,7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

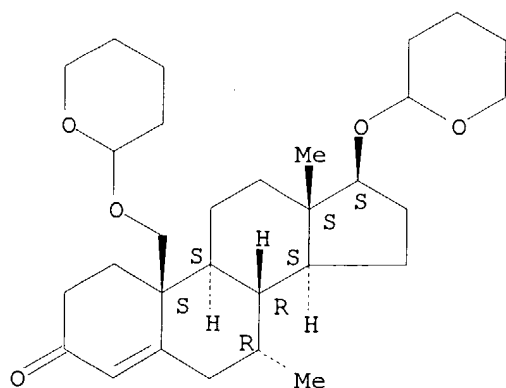
Absolute stereochemistry.



RN 67703-03-1 CAPLUS

CN Androst-4-en-3-one, 7-methyl-17,19-bis[(tetrahydro-2H-pyran-2-yl)oxy]-,  
(7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

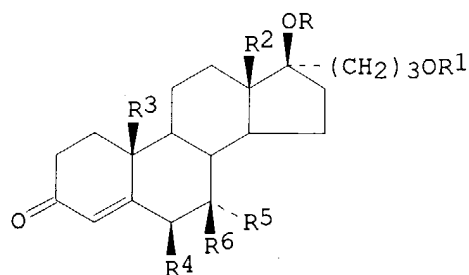


L8 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 1978:191243 CAPLUS  
 DOCUMENT NUMBER: 88:191243  
 TITLE: 7-Substituted 4-androsten-3-ones  
 INVENTOR(S): Kerb, Ulrich; Wiechert, Rudolf; Prezewowsky, Klaus;  
 Philippson, Rainer; Krieger, Bernhard;  
 Casals-Stenzel,  
 Jorge; Losert, Wolfgang  
 PATENT ASSIGNEE(S): Schering A.-G., Fed. Rep. Ger.  
 SOURCE: Ger. Offen., 28 pp. Addn. to Ger. Offen. 2,609,695.  
 CODEN: GWXXBX  
 DOCUMENT TYPE: Patent  
 LANGUAGE: German  
 FAMILY ACC. NUM. COUNT: 7  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2627186	A1	19771229	DE 1976-2627186	19760616
AU 7722633	A1	19780831	AU 1977-22633	19770224
AU 513542	B2	19801211		
CH 631462	A	19820813	CH 1977-2613	19770302
DD 128659	C	19771130	DD 1977-197658	19770303
US 4118488	A	19781003	US 1977-773982	19770303
SU 755202	D	19800807	SU 1977-2457127	19770303
AT 7701413	A	19810615	AT 1977-1413	19770303
AT 365611	B	19820210		
IL 51586	A1	19811030	IL 1977-51586	19770303
DK 7700961	A	19770906	DK 1977-961	19770304
DK 146856	B	19840123		
DK 146856	C	19840702		
SE 7702455	A	19770906	SE 1977-2455	19770304
SE 420732	B	19811026		
SE 420732	C	19820204		

NL 7702369	A	19770907	NL 1977-2369	19770304
JP 52111552	A2	19770919	JP 1977-23703	19770304
ES 456543	A1	19780301	ES 1977-456543	19770304
CA 1078826	A1	19800603	CA 1977-273185	19770304
HU 181969	B	19831128	HU 1977-SC597	19770304
HU 24156	O	19821228		
FR 2342990	A1	19770930	FR 1977-6567	19770307
FR 2342990	B1	19790309		
GB 1579298	A	19801119	GB 1977-9464	19770307
CH 629225	A	19820415	CH 1981-3067	19810512
DK 8204033	A	19820909	DK 1982-4033	19820909
PRIORITY APPLN. INFO.:			DE 1976-2609694	19760305
			DE 1976-2609695	19760305
			DE 1976-2627186	19760616
			DE 1976-2627187	19760616
			DE 1976-2644427	19760930
			DE 1976-2646043	19761008
			CH 1977-2613	19770302
			DK 1977-961	19770304

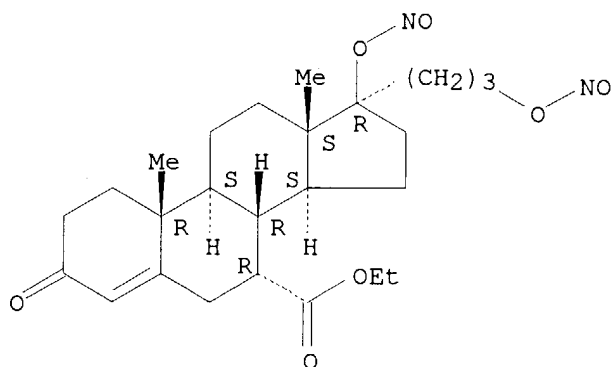
GI



I

- AB Androsthenones I (R = H, inorg. ester; R1 = H, **acyl**, alkyl; R2 = Me, Et; R3 = H, Me, R4 = R6 = H, R5 = acylthio, alkoxy carbonyl; R4R6 = CH2) were prepd. Thus, 17.β.-hydroxy-17-(3-hydroxypropyl)estra-4,6-dien-3-one was acetylated with Ac2O for 24 h to give the diacetate which was refluxed with AcSH for 1 h to give I (R = R1 = Ac, R2 = Me, R3 = R4 = R6 = H, R5 = SAc).
- IT **65928-45-2P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. and hydrolysis of)
- RN 65928-45-2 CAPLUS
- CN Androst-4-ene-7-carboxylic acid,  
 17-(nitrosooxy)-17-[3-(nitrosooxy)propyl]-  
 3-oxo-, ethyl ester, (7.α.,17.β.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



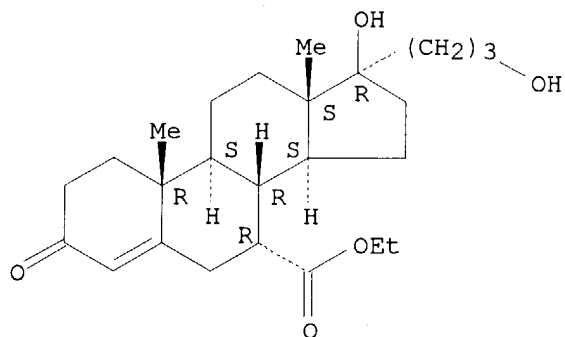
IT **65049-55-0P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 65049-55-0 CAPLUS

CN Androst-4-ene-7-carboxylic acid, 17-hydroxy-17-(3-hydroxypropyl)-3-oxo-,  
ethyl ester, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 13:43:39 ON 13 DEC 2003)

FILE 'REGISTRY' ENTERED AT 13:44:13 ON 13 DEC 2003

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L3 912 S L1 FULL

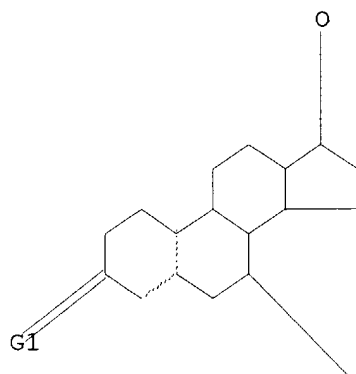
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L4 264 S L3  
L5 1 S L4 AND 1,2-PROPADIENYL  
L6 1 S L4 AND ISOPROPENYL  
L7 0 S L4 AND ISOPROPYL  
L8 20 S L4 AND ACYL

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 H,O,No,Np

Structure attributes must be viewed using STN Express query preparation.

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Executing the logoff script...

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	110.66	264.86
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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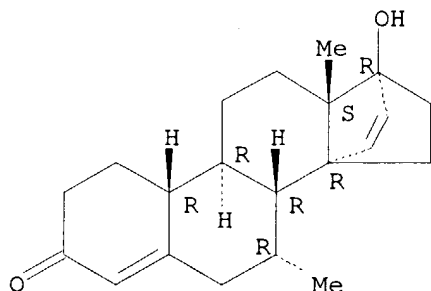
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of androgenic ethenosteroids)

RN 551960-35-1 CAPLUS

CN 14,21-Cyclo-19-norpregna-4,15-dien-3-one, 17-hydroxy-7-methyl-, (7.alpha.,14.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2003:221704 CAPLUS

DOCUMENT NUMBER: 138:238333

TITLE: Preparation of 17.alpha.-hydroxy-14.beta.-steroids with hormonal effect

INVENTOR(S): Van der Louw, Jaap; Leysen, Dirk; De Gooijer, Marcel Evert

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.

SOURCE: PCT Int. Appl., 18 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

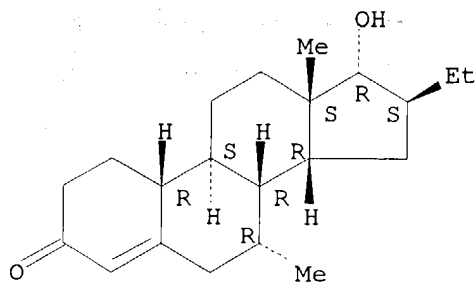
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022864	A1	20030320	WO 2002-EP10041	20020906
W:	AE, AG, AL, AU, BA, BB, BR, BZ, CA, CN, CO, CR, CU, DM, DZ, EC, GD, GE, HR, HU, ID, IL, IN, IS, JP, KE, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, RO, RU, SG, SI, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: EP 2001-203455 A 20010912

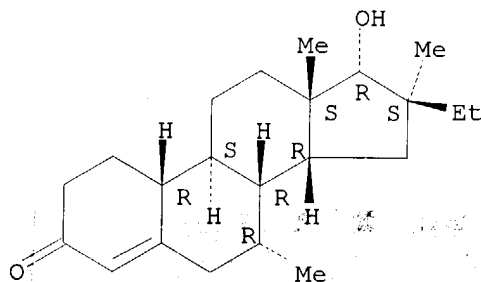
OTHER SOURCE(S): MARPAT 138:238333

GI



RN 501418-63-9 CAPLUS  
 CN Estr-4-en-3-one, 16-ethyl-17-hydroxy-7,16-dimethyl-,  
 (7.alpha.,14.beta.,16.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS  
 RECORD. ALL CITATIONS AVAILABLE IN THE RE  
 FORMAT

L8 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN  
 ACCESSION NUMBER: 2002:466029 CAPLUS  
 DOCUMENT NUMBER: 137:33455  
 TITLE: Preparation and androgenic activity of  
 16,17-methyleneestra-4-en-3-one derivatives  
 INVENTOR(S): Van der Louw, J.; Leysen, D.; De Gooijer, M. E.  
 PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.  
 SOURCE: PCT Int. Appl., 26 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048171	A1	20020620	WO 2001-EP14481	20011205
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

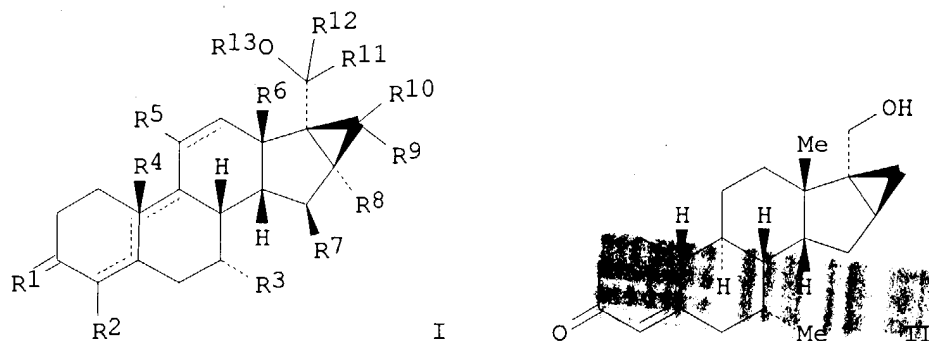
AU 2002024923 A5 20020624 AU 2002-24923 20011205  
 EP 1343806 A1 20030917 EP 2001-994774 20011205

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: EP 2000-204459 A 20001211  
 WO 2001-EP14481 W 20011205

OTHER SOURCE(S): MARPAT 137:33455

GI



AB The androgenic steroids, such as I [R1 = O, (H,H), (H,OR), NOR, with R = H, alkyl, **acyl**; R2 = H, alkyl; R3 = H, alkyl, alkenyl, alkynyl, optionally substituted by halogen; R4 = H, alkyl; R5 = H, alkyl, alkenyl; R6 = alkyl; R7 = H, alkyl, alkenyl, alkoxy; R8 = H, alkyl; R9 and R10 = independently H, alkoxy, halogen, alkyl; R11 and R12 = independently H, alkyl, alkenyl, cycloalkyl, cycloalkenyl, alkynyl, each optionally substituted by alkoxy, or halogen; R13 = H, SO<sub>3</sub>H, **acyl**; and the dotted lines indicate optional bonds], were prepd. The prepd. steroids can be used for the prepn. of an agent for male contraception, as well as for the prepn. of a medicament for the treatment of androgen insufficiency. Thus, (7.alpha.,14.beta.)-3-methoxy-7-methylestra-1,3,5(10)-trien-17-one was converted in 9 steps into II. II had excellent

androgenic activity as detd. by a no. of procedures detailed within.

IT **436144-66-0P**

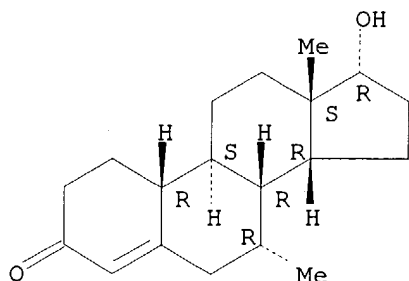
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and androgenic activity of 16,17-methyleneestra-4-en-3-one derivs.)

RN 436144-66-0 CAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,14.beta.,17.alpha.)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L8 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2002:466027 CAPLUS

DOCUMENT NUMBER: 137:33454

TITLE: Preparation of 14(15)-unsaturated 15- and/or 16-  
substituted androgens with mixed profile of

androgenic

and progestagenic activities

INVENTOR(S): Van der Louw, Jaap; Leysen, Dirk; De Gooijer, Marcel  
Evert

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXXD2

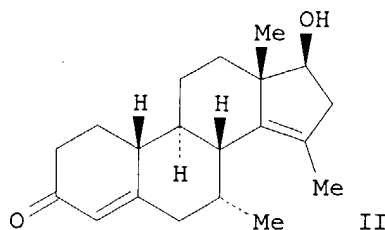
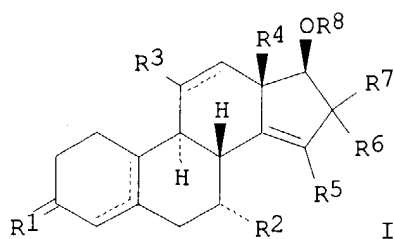
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048169	A1	20020620	WO 2001-EP14776	20011210
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CO, CR, CU, CZ, DM, DZ, EC, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002029663	A5	20020624	AU 2002-29663	20011210
EP 1343804	A1	20030917	EP 2001-990564	20011210
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001016127	A	20031104	BR 2001-16127	20011210
PRIORITY APPLN. INFO.: EP 2000-204543 A 20001215				
WO 2001-EP14776 W 20011210				
OTHER SOURCE(S): MARPAT 137:33454				
GI				



AB The androgenic steroids, such as I [R1 = O, (H,H), (H,OR), NOR, with R = H, alkyl, **acyl**; R2 = H, alkyl, alkenyl; R3 = H, alkyl, alkenyl, alkynyl; R4 = alkyl; R5 = H, alkyl, alkenyl; R6 and R7 = independently H, alkyl, alkenyl; R8 = H, **acyl**; and the dotted lines indicate optional bonds], were prepd. The prepd. steroids are characterized by possessing a mixed profile of androgenic and progestagenic activities. Thus, (7.alpha.)-3-methoxy-7-methylestra-1,3,5(10),15-tetraen-17-one was converted in 7 steps into II. II showed high androgenic activity and

high

progestational activity as detd. by procedures detailed within. This makes these compds. suitable for male or female hormone replacement therapy, as well as male contraception.

IT **436143-68-9P**

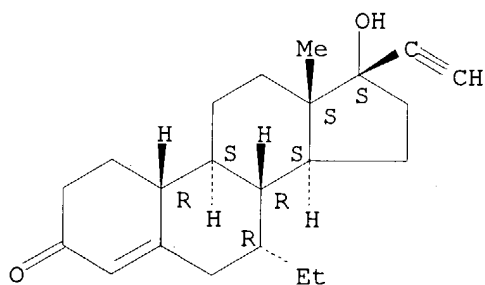
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of 14(15)-unsatd. 15- and/or 16- substituted androgens with mixed profile of androgenic and progestagenic activities)

RN 436143-68-9 CAPLUS

CN 19-Norpregn-4-en-20-yn-3-one, 7-ethyl-17-hydroxy-, (7.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L8 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:416964 CAPLUS

DOCUMENT NUMBER: 135:33598

TITLE: Preparation of androgenic 14,15-methyleneestr-4-en-3-

one derivatives.  
 INVENTOR(S): Leysen, Dirk; Van Der Louw, Jaap; Buma Bursi, Roberta;  
 De Gooyer, Marcel Evert  
 PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.  
 SOURCE: PCT Int. Appl., 38 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040255	A2	20010607	WO 2000-EP12009	20001129
WO 2001040255	A3	20011115		
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ,				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000016092	A	20020730	BR 2000-16092	20001129
EP 1237904	A2	20020911	EP 2000-993259	20001129
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003515543	T2	20030507	JP 2001-541010	20001129
NO 2002002564	A	20020530	NO 2002-2564	20020530
US 2003100543	A1	20030529	US 2002-148820	20020911
PRIORITY APPLN. INFO.:			EP 1999-204080	A 19991202
			WO 2000-EP12009	W 20001129
OTHER SOURCE(S):		MARPAT 135:33598		
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The androgenic title compds. I (R1 = O, (H,H), (H,OR), NOR, with R = H, (C1-6) alkyl, (C1-6) **acyl**; R2 = H or (C1-6) alkyl; R3 = H, (C2-6) alkenyl, or (C2-6) alkynyl, each optionally substituted by halogen;  
 R4 = H, (C1-6) alkyl, or (C2-6) alkenyl; R5 = (C1-6) alkyl; R6 = H, halogen, or (C1-4) alkyl; R7 = H or (C1-6) alkyl; R7 = H or (C1-6) alkyl; R8 = H, OH, (C1-6) alkoxy, halogen, or (C1-6) alkyl; R9 and R10 = independently H; or R9 and R10 = independently (C1-6) alkyl, (C2-6) alkenyl, (C3-6) cycloalkyl, (C5-6) cycloalkenyl, or (C2-6) alkynyl, each optionally substituted by (C1-4) alkoxy, or halogen; R11 = H, SO3H, (C1-15) **acyl**; and the dotted lines indicate optional bonds, selected from a .DELTA.4, .DELTA.5(10), or .DELTA.11 double bond, or a .DELTA.4,9 or .DELTA.4,11 diene system) were prepd. These derivs. can be used for the prepn. of an agent for male contraception, as well as for the

prepn. of a medicament for the treatment of androgen insufficiency.  
Thus,

(7.alpha.,17.beta.)-3-methoxy-7-methylestra-1,3,5(10),14-tetraen-17-ol II was converted in 7 steps into (7.alpha.,14.beta.,15.beta.,17.alpha.)-17-(hydroxymethyl)-7-methyl-14,15-methyleneestr-4-en-3-one III. III had excellent androgenic activity as detd. by a no. of procedures detailed within.

IT 343626-97-1P 343627-19-0P 343627-20-3P  
343627-23-6P 343627-29-2P

RL: BAC (Biological activity or effector, except adverse); BSU

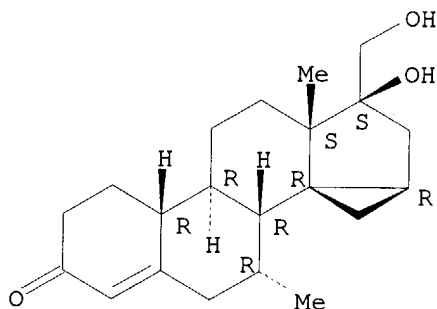
(Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of androgenic 14,15-methyleneestr-4-en-3-one derivs.)

RN 343626-97-1 CAPLUS

CN Cycloprop[14,15]estr-4-en-3-one, 3',15-dihydro-17-hydroxy-17-(hydroxymethyl)-7-methyl-, (7.alpha.,14R,15.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

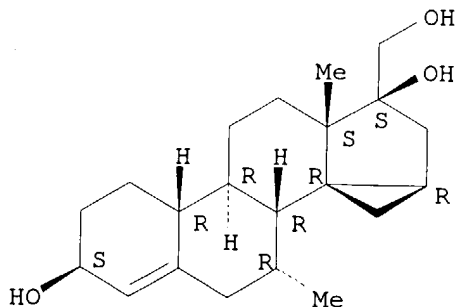
Absolute stereochemistry.



RN 343627-19-0 CAPLUS

CN Cycloprop[14,15]estr-4-ene-3,17-diol, 3',15-dihydro-17-(hydroxymethyl)-7-methyl-, (3.beta.,7.alpha.,14R,15.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

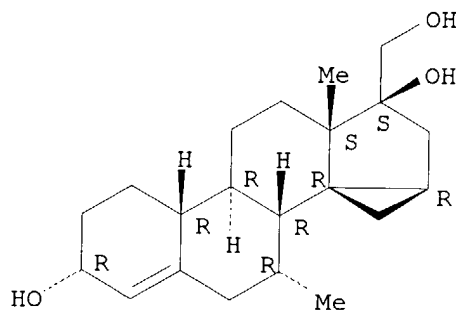


RN 343627-20-3 CAPLUS

CN Cycloprop[14,15]estr-4-ene-3,17-diol, 3',15-dihydro-17-(hydroxymethyl)-7-

methyl-, (3.alpha.,7.alpha.,14R,15.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

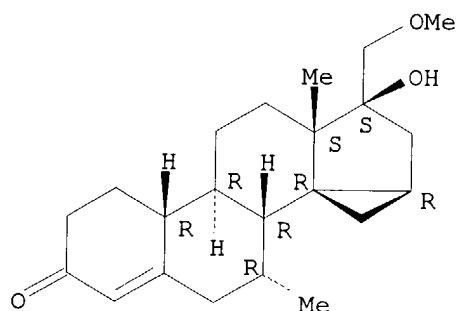
Absolute stereochemistry.



RN 343627-23-6 CAPLUS

CN Cycloprop[14,15]estr-4-en-3-one, 3',15-dihydro-17-hydroxy-17-(methoxymethyl)-7-methyl-, (7.alpha.,14R,15.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

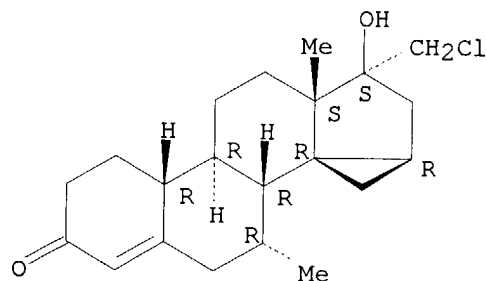
Absolute stereochemistry.



RN 343627-29-2 CAPLUS

CN Cycloprop[14,15]estr-4-en-3-one, 17-(chloromethyl)-3',15-dihydro-17-hydroxy-7-methyl-, (7.alpha.,14R,15.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.





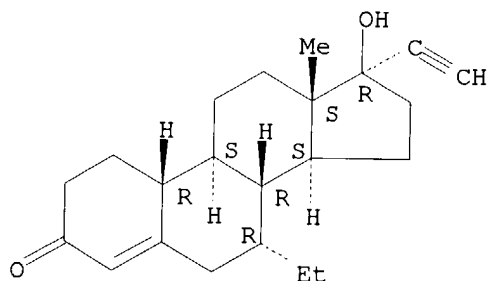
IT 319003-87-7P 343627-25-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(prepn. of androgenic 14,15-methyleneestr-4-en-3-one derivs.)

RN 319003-87-7 CAPLUS

CN 19-Norpregn-4-en-20-yn-3-one, 7-ethyl-17-hydroxy-, (7.alpha.,17.alpha.)-  
(9CI) (CA INDEX NAME)

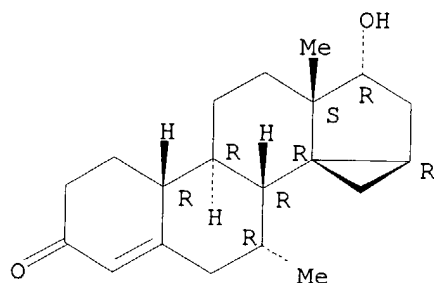
Absolute stereochemistry.



RN 343627-25-8 CAPLUS

CN Cycloprop[14,15]estr-4-en-3-one, 3',15-dihydro-17-hydroxy-7-methyl-,  
(7.alpha.,14R,15.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L8 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2003 ACS on STN

ACCESSION NUMBER: 2001:185776 CAPLUS

DOCUMENT NUMBER: 134:208008

TITLE: Preparation of non-aromatic estrogenic steroids with  
a

INVENTOR(S): hydrocarbon substituent in position 11  
Loozen, Hubert Jan Jozef; Veeneman, Gerrit Herman;  
Schoonen, Wilhelmus Gerardus Eduardus Joseph

PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

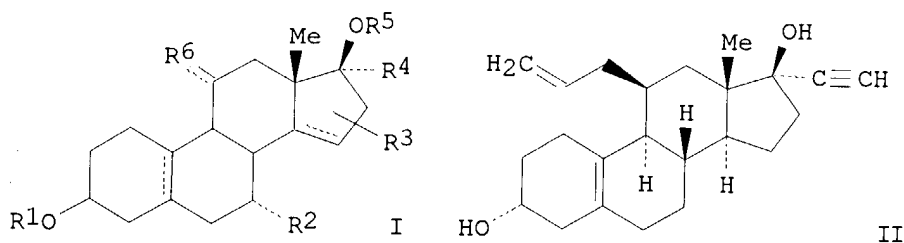
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001018027	A1	20010315	WO 2000-EP8406	20000828
W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
BR 2000013769	A	20020430	BR 2000-13769	20000828
EP 1224204	A1	20020724	EP 2000-964053	20000828
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NZ 517281	A	20030926	NZ 2000-517281	20000828
NO 2002001079	A	20020429	NO 2002-1079	20020305
PRIORITY APPLN. INFO.:			EP 1999-202900	A 19990906
			WO 2000-EP8406	W 20000828
OTHER SOURCE(S):			MARPAT 134:208008	
GI				



AB Disclosed are novel, selective estrogens of formula I [R1, R5 = H, alkyl, acyl; R2, R3 = H, alkyl, alkenyl, alkynyl; R4 = H, alkyl, alkenyl, ethynyl, alkynyl; R6 = alkyl, alkenyl, alkynyl, alkylidene] having a steroid skeleton with a non-arom. A-ring and a free or capped hydroxyl group at carbon atom No. 3. Thus, II is prepd. and tested for estrogen receptor activity and antiestrogenic activity.

IT 329027-10-3P 329027-11-4P 329027-18-1P  
329027-19-2P 329027-29-4P

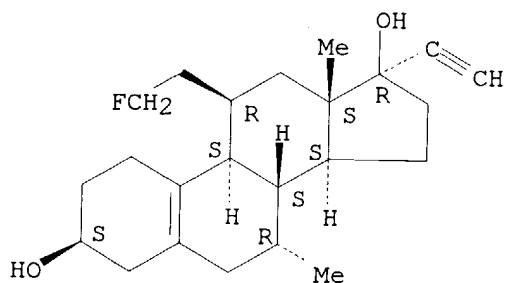
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)

RN 329027-10-3 CAPLUS

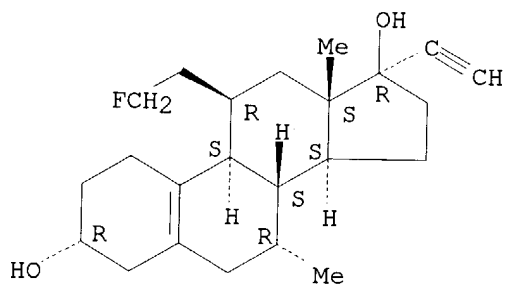
CN 19-Norpregn-5(10)-en-20-yne-3,17-diol, 11-(2-fluoroethyl)-7-methyl-, (3.beta.,7.alpha.,11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



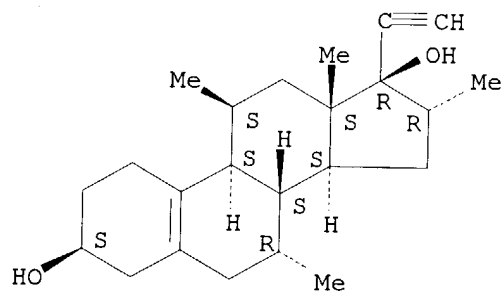
RN 329027-11-4 CAPLUS  
 CN 19-Norpregn-5(10)-en-20-yne-3,17-diol, 11-(2-fluoroethyl)-7-methyl-,  
 (3.alpha.,7.alpha.,11.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



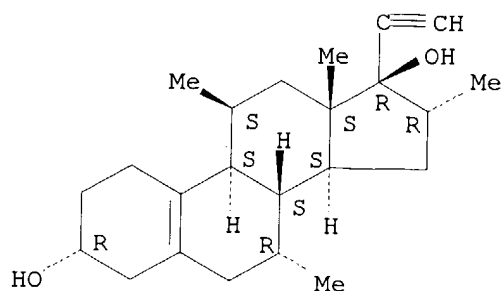
RN 329027-18-1 CAPLUS  
 CN 19-Norpregn-5(10)-en-20-yne-3,17-diol, 7,11,16-trimethyl-,  
 (3.beta.,7.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



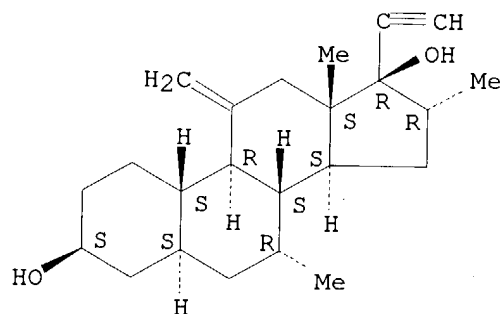
RN 329027-19-2 CAPLUS  
 CN 19-Norpregn-5(10)-en-20-yne-3,17-diol, 7,11,16-trimethyl-,  
 (3.alpha.,7.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



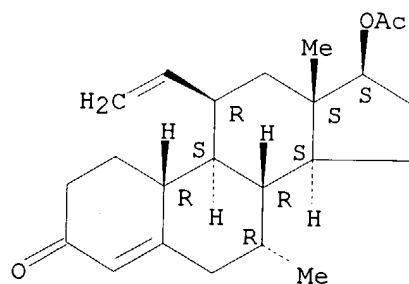
RN 329027-29-4 CAPLUS  
 CN 19-Norpregn-20-yne-3,17-diol, 7,16-dimethyl-11-methylene-,  
 (3.beta.,5.alpha.,7.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 329027-00-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (prepn. of 11-substituted non-arom. estrogenic steroids for the  
 treatment of estrogen-deficiency dependent disorders)  
 RN 329027-00-1 CAPLUS  
 CN Estr-4-en-3-one, 17-(acetyloxy)-11-ethenyl-7-methyl-,  
 (7.alpha.,11.beta.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 226066-52-0P 226066-53-1P 329027-09-0P  
 329027-17-0P 329027-27-2P 329027-28-3P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

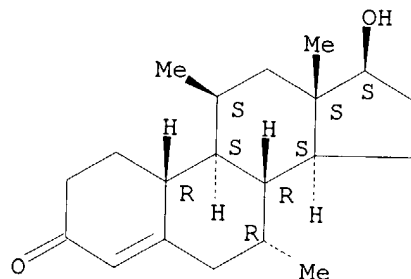
(Reactant or reagent)

(prepn. of 11-substituted non-arom. estrogenic steroids for the treatment of estrogen-deficiency dependent disorders)

RN 226066-52-0 CAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7,11-dimethyl-, (7.alpha.,11.beta.,17.beta.)-(9CI) (CA INDEX NAME)

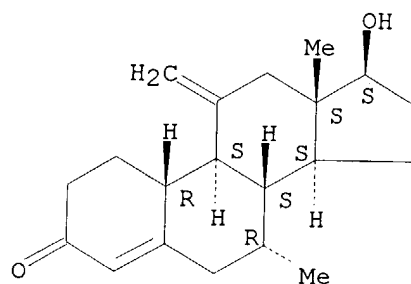
Absolute stereochemistry.



RN 226066-53-1 CAPLUS

CN Estr-4-en-3-one, 17-hydroxy-7-methyl-11-methylene-, (7.alpha.,17.beta.)-(9CI) (CA INDEX NAME)

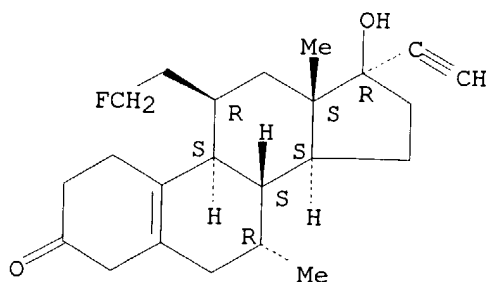
Absolute stereochemistry.

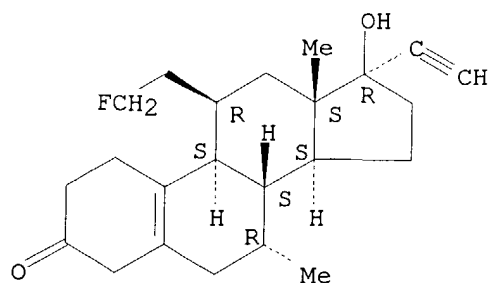


RN 329027-09-0 CAPLUS

CN 19-Norpregn-5(10)-en-20-yn-3-one, 11-(2-fluoroethyl)-17-hydroxy-7-methyl-, (7.alpha.,11.beta.,17.alpha.)-(9CI) (CA INDEX NAME)

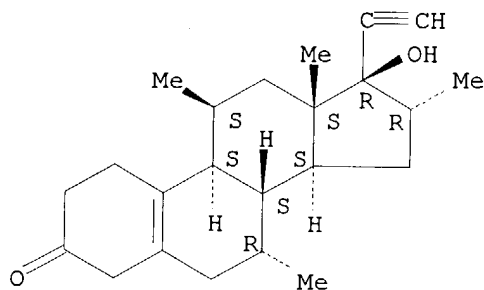
Absolute stereochemistry.





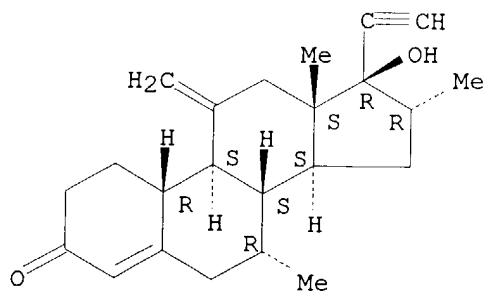
RN 329027-17-0 CAPLUS  
 CN 19-Norpregn-5(10)-en-20-yn-3-one, 17-hydroxy-7,11,16-trimethyl-,  
 (7.alpha.,11.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 329027-27-2 CAPLUS  
 CN 19-Norpregn-4-en-20-yn-3-one, 17-hydroxy-7,16-dimethyl-11-methylene-,  
 (7.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

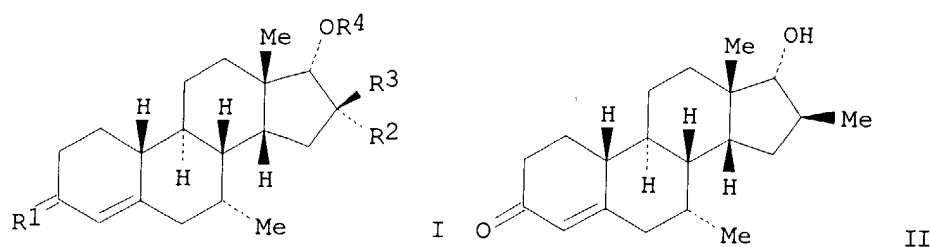
Absolute stereochemistry.



RN 329027-28-3 CAPLUS  
 CN 19-Norpregn-20-yn-3-one, 17-hydroxy-7,16-dimethyl-11-methylene-,  
 (5.alpha.,7.alpha.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

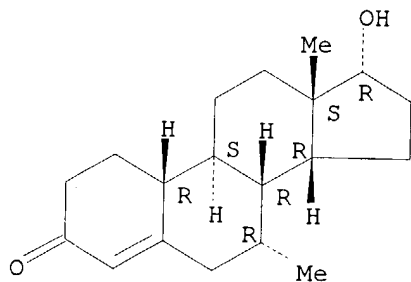
Absolute stereochemistry.





- AB Steroidal compds. of formula I [R1 = O, H2, OH, NOH, whereby OH is optionally etherified or esterified; R2, R3 = H, alkyl; R4 = H, acyl] for use in androgen-related treatments, such as androgen insufficiency and male contraception. Thus, II was prepd. from (7.alpha.,14.beta.)-3-methoxy-7-methylestra-1,3,5(10)-trien-17-one. II had 99% androgen activity compared to 5.alpha.-dihydrotestosterone.
- IT **436144-66-0P 501418-59-3P 501418-60-6P**  
**501418-61-7P 501418-62-8P 501418-63-9P**  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of 17.alpha.-hydroxy-14.beta.-estrenes for use in androgen-related treatments)
- RN 436144-66-0 CAPLUS
- CN Estr-4-en-3-one, 17-hydroxy-7-methyl-, (7.alpha.,14.beta.,17.alpha.)-(9CI) (CA INDEX NAME)

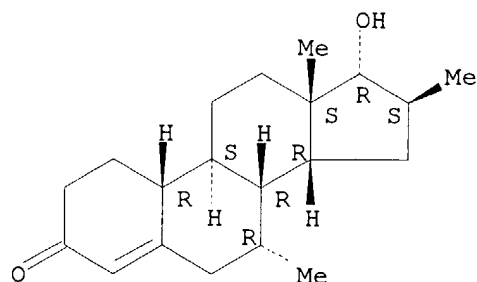
Absolute stereochemistry. Rotation (+).



- RN 501418-59-3 CAPLUS
- CN Estr-4-en-3-one, 17-hydroxy-7,16-dimethyl-, (7.alpha.,14.beta.,16.beta.,17.alpha.)-(9CI) (CA INDEX NAME)

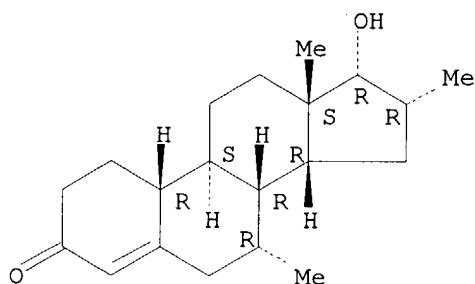
Absolute stereochemistry. Rotation (+).





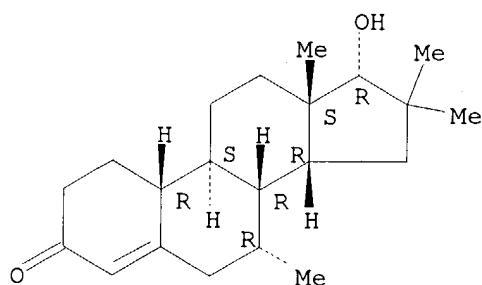
RN 501418-60-6 CAPLUS  
 CN Estr-4-en-3-one, 17-hydroxy-7,16-dimethyl-,  
 (7.alpha.,14.beta.,16.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 501418-61-7 CAPLUS  
 CN Estr-4-en-3-one, 17-hydroxy-7,16,16-trimethyl-,  
 (7.alpha.,14.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

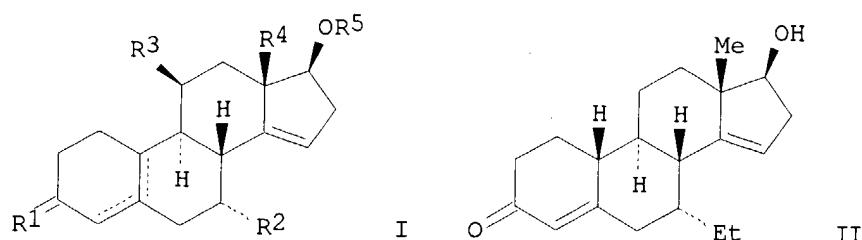
Absolute stereochemistry. Rotation (+).



RN 501418-62-8 CAPLUS  
 CN Estr-4-en-3-one, 16-ethyl-17-hydroxy-7-methyl-,  
 (7.alpha.,14.beta.,16.beta.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

OTHER SOURCE(S): MARPAT 134:101064  
GI



AB Novel 7.alpha.-substituted .DELTA.14 orally active androgens of formula I [R1 = O, H2, (substituted) OH, N-alkoxy; R2 = alkyl, alkenyl, cyclopropyl, etc.; R3 = H, alkyl, ethenyl; R4 = alkyl; R5 = H, **acyl**] are prepd. Thus, II was prepd. from 17.alpha.-hydroxy-19-norpregna-4,6-dien-20-yn-3-one in several steps. Compd. II was shown to be orally active in the LH suppression assay, and has metabolic stability.

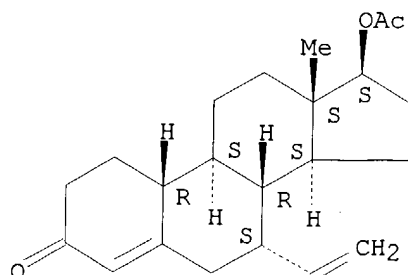
IT 293303-46-5P 293303-47-6P 300542-24-9P  
300542-25-0P 319003-87-7P 319003-95-7P  
319003-96-8P 319004-18-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(prepn. of orally active androgens)

RN 293303-46-5 CAPLUS

CN Estr-4-en-3-one, 17-(acetyloxy)-7-ethenyl-, (7.alpha.,17.beta.)- (9CI)  
(CA INDEX NAME)

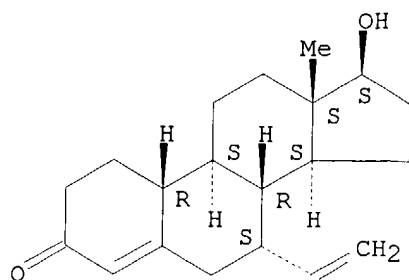
Absolute stereochemistry.



RN 293303-47-6 CAPLUS

CN Estr-4-en-3-one, 7-ethenyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

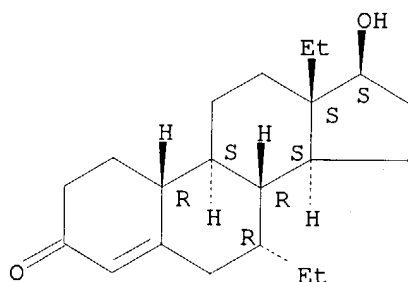
Absolute stereochemistry.



RN 300542-24-9 CAPLUS

CN Gon-4-en-3-one, 7,13-diethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

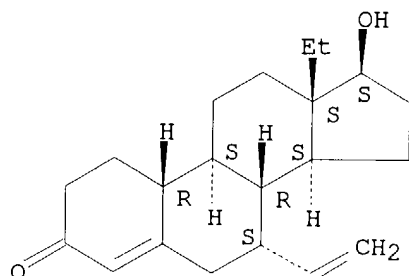
Absolute stereochemistry.



RN 300542-25-0 CAPLUS

CN Gon-4-en-3-one, 7-ethenyl-13-ethyl-17-hydroxy-, (7.alpha.,17.beta.)- (9CI)  
(CA INDEX NAME)

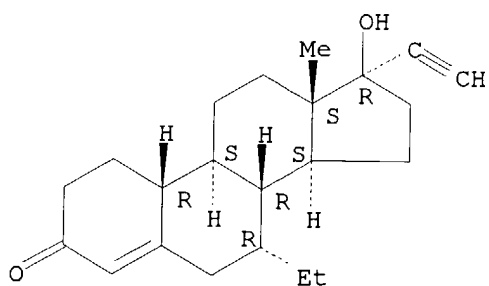
Absolute stereochemistry.



RN 319003-87-7 CAPLUS

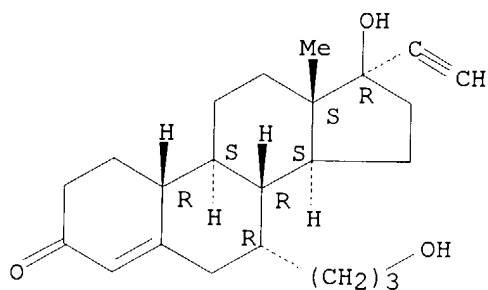
CN 19-Norpregn-4-en-20-yn-3-one, 7-ethyl-17-hydroxy-, (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



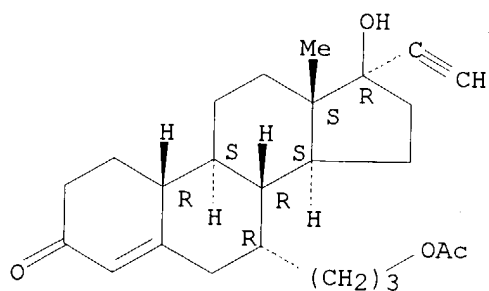
RN 319003-95-7 CAPLUS  
 CN 19-Norpregn-4-en-20-yn-3-one, 17-hydroxy-7-(3-hydroxypropyl)-,  
 (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 319003-96-8 CAPLUS  
 CN 19-Norpregn-4-en-20-yn-3-one, 7-[3-(acetyloxy)propyl]-17-hydroxy-,  
 (7.alpha.,17.alpha.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 319004-18-7 CAPLUS  
 CN Gon-4-en-3-one, 17-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]-7,13-diethyl-,  
 (7.alpha.,17.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.